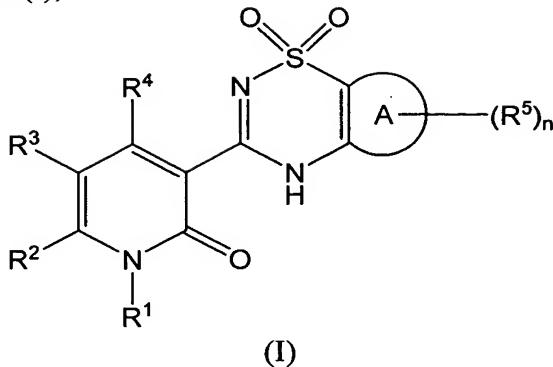


WHAT IS CLAIMED IS

1. A compound of formula (I),



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or a pharmaceutically acceptable salt form, stereoisomer or tautomer thereof, wherein:

A is a monocyclic or bicyclic ring selected from the group consisting of aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocycle;

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R<sup>1</sup> is selected from the group consisting of hydrogen, alkenyl, alkoxyalkyl, alkoxy carbonylalkyl, alkyl, alkyl carbonylalkyl, alkylsulfanylalkyl, alkylsulfinylalkyl, alkylsulfonylalkyl, alkynyl, aryl, arylalkenyl, arylalkyl, arylsulfanylalkyl, arylsulfonylalkyl, carboxyalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, (cycloalkyl)alkenyl, (cycloalkyl)alkyl, formylalkyl, haloalkoxyalkyl, haloalkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heteroarylalkylsulfonylalkyl, heterocycle, heterocyclealkenyl, heterocyclealkyl, hydroxyalkyl, nitroalkyl, R<sub>a</sub>R<sub>b</sub>N-, R<sub>a</sub>R<sub>b</sub>Nalkyl-, R<sub>a</sub>R<sub>b</sub>NC(O)alkyl-, R<sub>a</sub>R<sub>b</sub>NC(O)Oalkyl-, R<sub>a</sub>R<sub>b</sub>NC(O)NR<sub>c</sub>alkyl-, R<sub>f</sub>R<sub>g</sub>C=N- and R<sub>k</sub>O-, wherein R<sup>1</sup> is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>e</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>e</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>e</sub>;

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R<sup>2</sup> and R<sup>3</sup> are independently selected from the group consisting of hydrogen, alkenyl, alkynyl, alkoxyalkyl, alkoxy carbonyl, alkyl, aryl, arylalkyl, heteroaryl, heterocycle, heteroarylalkyl, cyano, halo, -N(R<sub>a</sub>)(R<sub>b</sub>), R<sub>a</sub>R<sub>b</sub>NC(O)-, -SR<sub>a</sub>, -S(O)R<sub>a</sub>, -S(O)<sub>2</sub>R<sub>a</sub> and R<sub>a</sub>C(O)-; wherein R<sup>2</sup> and R<sup>3</sup> are independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of R<sub>a</sub>, alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, -(alkyl)(OR<sub>k</sub>), -(alkyl)(NR<sub>a</sub>R<sub>b</sub>), -SR<sub>a</sub>, -S(O)R<sub>a</sub>, -S(O)<sub>2</sub>R<sub>a</sub>, -OR<sub>k</sub>, -N(R<sub>a</sub>)(R<sub>b</sub>), -C(O)R<sub>a</sub>, -C(O)OR<sub>a</sub> and -C(O)NR<sub>a</sub>R<sub>b</sub>;

alternatively, R<sup>2</sup> and R<sup>3</sup>, together with the carbon atoms to which they are attached

form a five- or six-membered ring selected from the group consisting of aryl, cycloalkyl, heteroaryl and heterocycle, wherein said aryl, cycloalkyl, heteroaryl and heterocycle is optionally substituted with  $(R^6)_m$ ;

5         $R^4$  is selected from the group consisting of alkoxy, arylalkoxy, aryloxy, halo, hydroxy,  $R_aR_bN-$ ,  $N_3-$ ,  $R_eS-$ , wherein  $R^4$  is independently substituted with 0, 1 or 2 substituents independently selected from the group consisting of halo, nitro, cyano, -OH, -NH<sub>2</sub>, and -COOH;

10        $R^5$  is independently selected at each occurrence from the group consisting of alkenyl, alkoxy, alkyl, alkynyl, aryl, arylalkyl, arylcarbonyl, aryloxy, azidoalkyl, formyl, halo, haloalkyl, halocarbonyl, heteroaryl, heteroarylalkyl, heterocycle, heterocyclealkyl, hydroxyalkyl, cycloalkyl, cyano, cyanoalkyl, nitro,  $R_aR_bN-$ ,  $R_aC(O)-$ ,  $R_aS-$ ,  $R_a(O)S-$ ,  $R_a(O)_2S-$ ,  $R_aR_bNalkyl-$ ,  $R_a(O)SN(R_f)-$ ,  $R_aSO_2N(R_f)-$ ,  $R_a(O)SN(R_f)alkyl-$ ,  $R_aSO_2N(R_f)alkyl-$ ,  
15        $R_aR_bNSO_2N(R_f)-$ ,  $R_aR_bNSO_2N(R_f)alkyl-$ ,  $R_aR_bNC(O)-$ ,  $R_kOC(O)-$ ,  $R_kOC(O)alkyl-$ ,  $R_kOalkyl-$ ,  $R_aR_bNSO_2-$ ,  $R_aR_bNSO_2alkyl-$ ,  $(R_bO)(R_a)P(O)O-$  and - $OR_k$ , wherein each  $R^5$  is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>),  
20       -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

25        $R^6$  is independently selected at each occurrence from the group consisting of alkyl, alkenyl, alkynyl, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, heterocyclealkyl, -(alkyl)(OR<sub>k</sub>), -(alkyl)(NR<sub>a</sub>R<sub>b</sub>), -SR<sub>a</sub>, -S(O)R<sub>a</sub>, -S(O)<sub>2</sub>R<sub>a</sub>, -OR<sub>k</sub>, -N(R<sub>a</sub>)(R<sub>b</sub>), -C(O)R<sub>a</sub>, -C(O)OR<sub>a</sub> and -C(O)NR<sub>a</sub>R<sub>b</sub>; wherein each  $R^6$  is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, haloalkyl, cyano, nitro, -OR<sub>a</sub>, -NR<sub>a</sub>R<sub>b</sub>, -SR<sub>a</sub>, -SOR<sub>a</sub>, -SO<sub>2</sub>R<sub>a</sub>, -C(O)OR<sub>a</sub>, -C(O)NR<sub>a</sub>R<sub>b</sub> and -NC(O)R<sub>a</sub>;

30        $R_a$  and  $R_b$ , at each occurrence, are independently selected from the group consisting of hydrogen, alkenyl, alkyl, alkylsulfanylalkyl, aryl, arylalkenyl, arylalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, formylalkyl, haloalkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heterocycle, heterocyclealkenyl, heterocyclealkyl, hydroxyalkylcarbonyl, nitroalkyl,  $R_cR_dN-$ ,  $R_kO-$ ,  $R_kOalkyl-$ ,  $R_cR_dNalkyl-$ ,  $R_cR_dNC(O)alkyl-$ ,  $R_cSO_2-$ ,  $R_cSO_2alkyl-$ ,  $R_cC(O)-$ ,  $R_cC(O)alkyl-$ ,  $R_cOC(O)-$ ,  $R_cOC(O)alkyl-$ ,  $R_cR_dNalkylC(O)-$ ,  $R_cR_dNC(O)-$ ,  $R_cR_dNC(O)Oalkyl-$ ,  $R_cR_dNC(O)N(R_e)alkyl-$ , wherein  $R_a$  and  $R_b$  are substituted with 0, 1 or 2 substituents selected from the group consisting of alkyl,

alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

5 alternatively, R<sub>a</sub> and R<sub>b</sub>, together with the nitrogen atom to which they are attached form a three- to six-membered ring selected from the group consisting of heteroaryl and heterocycle, wherein the heteroaryl and heterocycle are independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -alkylSO<sub>2</sub>NR<sub>c</sub>R<sub>d</sub>, -alkylC(O)NR<sub>c</sub>R<sub>d</sub>, -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

15 R<sub>c</sub> and R<sub>d</sub>, at each occurrence, are independently selected from the group consisting of hydrogen, -NR<sub>f</sub>R<sub>h</sub>, -OR<sub>f</sub>, -CO(R<sub>f</sub>), -SR<sub>f</sub>, -SOR<sub>f</sub>, -SO<sub>2</sub>R<sub>f</sub>, -C(O)NR<sub>f</sub>R<sub>h</sub>, -SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, -C(O)OR<sub>f</sub>, alkenyl, alkyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, arylalkyl, haloalkyl, heteroaryl, heteroarylalkyl, heterocycle and heterocyclealkyl; wherein each R<sub>c</sub> and R<sub>d</sub> is independently substituted with 0, 1, 2, or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, 20 halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>f</sub>), -(alkyl)(NR<sub>f</sub>R<sub>h</sub>), -SR<sub>f</sub>, -S(O)R<sub>f</sub>, -S(O)<sub>2</sub>R<sub>f</sub>, -OR<sub>f</sub>, -N(R<sub>f</sub>)(R<sub>h</sub>), -C(O)R<sub>f</sub>, -C(O)OR<sub>f</sub>, -C(O)NR<sub>f</sub>R<sub>h</sub>, -C(O)N(H)NR<sub>f</sub>R<sub>h</sub>, -N(R<sub>e</sub>)C(O)OR<sub>f</sub>, -N(R<sub>e</sub>)SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, -N(R<sub>e</sub>)C(O)NR<sub>f</sub>R<sub>h</sub>, -alkylN(R<sub>e</sub>)C(O)OR<sub>f</sub>, -alkylN(R<sub>e</sub>)SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, and -alkylN(R<sub>e</sub>)C(O)NR<sub>f</sub>R<sub>h</sub>;

25 alternatively, R<sub>c</sub> and R<sub>d</sub>, together with the nitrogen atom to which they are attached form a three- to six-membered ring selected from the group consisting of heteroaryl and heterocycle, wherein the heteroaryl and heterocycle are independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>f</sub>), -(alkyl)(NR<sub>f</sub>R<sub>h</sub>), -SR<sub>f</sub>, -S(O)R<sub>f</sub>, -S(O)<sub>2</sub>R<sub>f</sub>, -OR<sub>f</sub>, -N(R<sub>f</sub>)(R<sub>h</sub>), -C(O)R<sub>f</sub>, -C(O)OR<sub>f</sub> and -C(O)NR<sub>f</sub>R<sub>h</sub>;

35 R<sub>e</sub> is selected from the group consisting of hydrogen, alkenyl, alkyl and cycloalkyl;

R<sub>f</sub>, R<sub>g</sub> and R<sub>h</sub>, at each occurrence, are independently selected from the group consisting of hydrogen, alkyl, alkenyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, heterocycle, heterocyclealkyl, heteroaryl and

heteroarylalkyl; wherein each  $R_f$ ,  $R_g$  and  $R_h$  is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, cyano, halo, oxo, nitro, aryl, arylalkyl, cycloalkyl, cycloalkenyl, heterocycle, heteroaryl, heteroarylalkyl,  $-OH$ ,  $-O(alkyl)$ ,  $-NH_2$ ,  $-N(H)(alkyl)$ ,  $-N(alkyl)_2$ ,  $-S(alkyl)$ ,  $-S(O)(alkyl)$ ,  $-SO_2alkyl$ ,  $-alkyl-OH$ ,  $-alkyl-O-alkyl$ ,  $-alkylNH_2$ ,  $-alkylN(H)(alkyl)$ ,  $-alkylN(alkyl)_2$ ,  $-alkylS(alkyl)$ ,  $-alkylS(O)(alkyl)$ ,  $-alkylSO_2alkyl$ ,  $-N(H)C(O)NH_2$ ,  $-C(O)OH$ ,  $-C(O)O(alkyl)$ ,  $-C(O)alkyl$ ,  $-C(O)NH_2$ ,  $-C(O)N(H)(alkyl)$ , and  $-C(O)N(alkyl)_2$ ;

alternatively,  $R_f$  and  $R_g$  together with the carbon atom to which they are attached form a three- to seven-membered ring selected from the group consisting of cycloalkyl, cycloalkenyl and heterocycle;

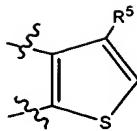
alternatively,  $R_f$  and  $R_h$  together with the nitrogen atom to which they are attached form a three- to seven-membered ring selected from the group consisting of heterocycle and heteroaryl; wherein each of the heterocycle and heteroaryl is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, cyano, halo, oxo, nitro, aryl, arylalkyl, cycloalkyl, cycloalkenyl, heterocycle, heteroaryl, heteroarylalkyl,  $-OH$ ,  $-O(alkyl)$ ,  $-NH_2$ ,  $-N(H)(alkyl)$ ,  $-N(alkyl)_2$ ,  $-S(alkyl)$ ,  $-S(alkyl)$ ,  $-S(O)(alkyl)$ ,  $-alkyl-OH$ ,  $-alkyl-O-alkyl$ ,  $-alkylNH_2$ ,  $-alkylN(H)(alkyl)$ ,  $-alkylS(alkyl)$ ,  $-alkylS(O)(alkyl)$ ,  $-alkylSO_2alkyl$ ,  $-alkylN(alkyl)_2$ ,  $-N(H)C(O)NH_2$ ,  $-C(O)OH$ ,  $-C(O)O(alkyl)$ ,  $-C(O)alkyl$ ,  $-C(O)NH_2$ ,  $-C(O)N(H)(alkyl)$ , and  $-C(O)N(alkyl)_2$ ;

$R_k$  is selected from the group consisting of hydrogen, alkenyl, alkyl, aryl, arylalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkyl, formylalkyl, haloalkyl, heteroaryl, heteroarylalkyl, heterocycle, heterocyclealkyl, nitroalkyl,  $R_aR_bNalkyl$ -,  $R_aOalkyl$ -,  $R_aR_bNC(O)-$ ,  $R_aR_bNC(O)alkyl$ ,  $R_aS-$ ,  $R_aS(O)-$ ,  $R_aSO_2-$ ,  $R_aSalkyl$ -,  $R_a(O)Salkyl$ -,  $R_aSO_2alkyl$ -,  $R_aOC(O)-$ ,  $R_aOC(O)alkyl$ -,  $R_aC(O)-$ ,  $R_aC(O)alkyl$ -, wherein each  $R_k$  is substituted with 0, 1, 2, or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl,  $-(alkyl)(OR_c)$ ,  $-(alkyl)(NR_cR_d)$ ,  $-SR_c$ ,  $-S(O)R_c$ ,  $-S(O)_2R_c$ ,  $-OR_c$ ,  $-N(R_c)(R_d)$ ,  $-C(O)R_c$ ,  $-C(O)OR_c$  and  $-C(O)NR_cR_d$ ;

$m$  is 0, 1, 2, 3, or 4; and

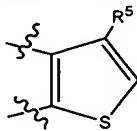
$n$  is 0, 1, 2, 3, or 4;

with the proviso that when A is a monocyclic ring other than



and  $R^4$  is alkoxy, aryloxy, hydroxy or  $R_eS-$ , and  $R^5$  is hydrogen, alkenyl, alkoxy, alkyl, alkynyl, aryl, halo, heteroaryl, heterocyclealkyl, cycloalkyl, cyano, nitro,  $R_aR_bN-$ ,  $R_aC(O)-$ ,  
5  $R_aS-$ ,  $R_a(O)S-$ ,  $R_a(O)_2S-$ ,  $R_aSO_2N(R_f)-$ ,  $R_aR_bNC(O)-$ ,  $R_kOC(O)-$ ,  $R_aR_bNSO_2-$  or  $-OR_k$ , and  $R^6$  is hydrogen, alkyl, alkenyl, alkynyl, halo, cyano, nitro, aryl, heteroaryl, heterocyclealkyl,  $-SR_a$ ,  $-S(O)R_a$ ,  $-S(O)_2R_a$ ,  $-OR_k$ ,  $-N(R_a)(R_b)$ ,  $-C(O)R_a$ ,  $-C(O)OR_a$  and  $-C(O)NR_aR_b$ , then  $R^1$  is not hydrogen, alkenyl, alkyl, alkynyl, aryl, arylalkenyl, arylalkyl, cycloalkyl, (cycloalkyl)alkenyl, (cycloalkyl)alkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl,  
10 heterocyclealkenyl or heterocyclealkyl;

and with the further proviso that when A is



and  $R^4$  is hydroxy or  $R_eS-$ , and  $R^5$  is hydrogen, unsubstituted alkyl, halo or  $-OR_k$ , and  $R^6$  is hydrogen, alkyl, alkenyl, alkynyl, halo, cyano, nitro, aryl, heteroaryl, heterocyclealkyl,  $-SR_a$ ,  $-S(O)R_a$ ,  $-S(O)_2R_a$ ,  $-OR_k$ ,  $-N(R_a)(R_b)$ ,  $-C(O)R_a$ ,  $-C(O)OR_a$  and  $-C(O)NR_aR_b$ , then  $R^1$  is not hydrogen, alkenyl, alkyl, alkynyl, aryl, arylalkenyl, arylalkyl, cycloalkyl, (cycloalkyl)alkenyl, (cycloalkyl)alkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heterocyclealkenyl or heterocyclealkyl.

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2. The compound of claim 1 wherein A is a monocyclic ring selected from the group consisting of aryl and heteroaryl.

3. The compound of claim 2 wherein

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A is aryl; and

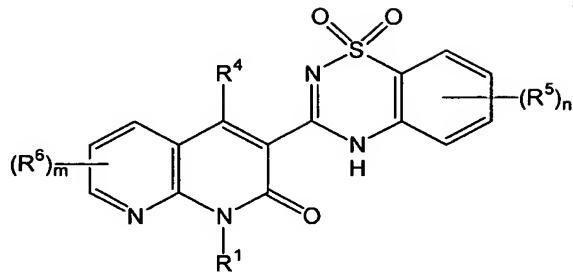
$R^2$  and  $R^3$ , together with the carbon atoms to which they are attached form a five- or six-membered ring selected from the group consisting of phenyl, pyridyl, pyrimidinyl, pyridazinyl, thienyl, furanyl, pyrazolyl, oxazolyl, thiazolyl, imidazolyl, isoxazolyl, isothiazolyl, triazolyl, thiadiazolyl, tetrazolyl, cyclopentyl and cyclohexyl.

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4. The compound of claim 3 wherein A is phenyl.

5. The compound of claim 4 wherein  $R_2$  and  $R_3$  together with the carbon atoms to which they are attached form a pyridyl ring.

6. The compound of claim 1 of formula (II)



(II)

or a pharmaceutically acceptable salt form, stereoisomer or tautomer thereof, wherein:

R¹ is selected from the group consisting of hydrogen, alkenyl, alkoxyalkyl, alkoxy carbonylalkyl, alkyl, alkyl carbonylalkyl, alkylsulfanylalkyl, alkylsulfinylalkyl, alkylsulfonylalkyl, alkynyl, aryl, arylalkenyl, arylalkyl, arylsulfanylalkyl, arylsulfonylalkyl, carboxyalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, (cycloalkyl)alkenyl, (cycloalkyl)alkyl, formylalkyl, haloalkoxyalkyl, haloalkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heteroarylsulfonylalkyl, heterocycle, heterocyclealkenyl, heterocyclealkyl, hydroxyalkyl, nitroalkyl, R<sub>a</sub>R<sub>b</sub>N-, R<sub>a</sub>R<sub>b</sub>Nalkyl-, R<sub>a</sub>R<sub>b</sub>NC(O)alkyl-, R<sub>a</sub>R<sub>b</sub>NC(O)Oalkyl-, R<sub>a</sub>R<sub>b</sub>NC(O)NR<sub>c</sub>alkyl-, R<sub>f</sub>R<sub>g</sub>C=N- and R<sub>k</sub>O-, wherein R¹ is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>c</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>c</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>c</sub>;

R⁴ is selected from the group consisting of alkoxy, arylalkoxy, aryloxy, halo, hydroxy, R<sub>a</sub>R<sub>b</sub>N-, N<sub>3</sub>-, R<sub>c</sub>S-, wherein R⁴ is independently substituted with 0, 1 or 2 substituents independently selected from the group consisting of halo, nitro, cyano, -OH, -NH<sub>2</sub>, and -COOH;

R⁵ is independently selected at each occurrence from the group consisting of alkenyl, alkoxy, alkyl, alkynyl, aryl, arylalkyl, arylcarbonyl, aryloxy, azidoalkyl, formyl, halo, haloalkyl, halocarbonyl, heteroaryl, heteroarylalkyl, heterocycle, heterocyclealkyl, hydroxyalkyl, cycloalkyl, cyano, cyanoalkyl, nitro, R<sub>a</sub>R<sub>b</sub>N-, R<sub>a</sub>C(O)-, R<sub>a</sub>S-, R<sub>a</sub>(O)S-, R<sub>a</sub>(O)₂S-, R<sub>a</sub>R<sub>b</sub>Nalkyl-, R<sub>a</sub>(O)SN(R<sub>f</sub>)-, R<sub>a</sub>SO<sub>2</sub>N(R<sub>f</sub>)-, R<sub>a</sub>(O)SN(R<sub>f</sub>)alkyl-, R<sub>a</sub>SO<sub>2</sub>N(R<sub>f</sub>)alkyl-, R<sub>a</sub>R<sub>b</sub>NSO<sub>2</sub>N(R<sub>f</sub>)-, R<sub>a</sub>R<sub>b</sub>NSO<sub>2</sub>N(R<sub>f</sub>)alkyl-, R<sub>a</sub>R<sub>b</sub>NC(O)-, R<sub>k</sub>OC(O)-, R<sub>k</sub>OC(O)alkyl-, R<sub>k</sub>Oalkyl-, R<sub>a</sub>R<sub>b</sub>NSO<sub>2</sub>- , R<sub>a</sub>R<sub>b</sub>NSO<sub>2</sub>alkyl-, (R<sub>b</sub>O)(R<sub>a</sub>)P(O)O- and -OR<sub>k</sub>, wherein each R⁵ is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group

consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

5

R<sup>6</sup> is independently selected at each occurrence from the group consisting of alkyl, alkenyl, alkynyl, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, heterocyclealkyl, -(alkyl)(OR<sub>k</sub>), -(alkyl)(NR<sub>a</sub>R<sub>b</sub>), -SR<sub>a</sub>, -S(O)R<sub>a</sub>, -S(O)<sub>2</sub>R<sub>a</sub>, -OR<sub>k</sub>, -N(R<sub>a</sub>)(R<sub>b</sub>), -C(O)R<sub>a</sub>, -C(O)OR<sub>a</sub> and -C(O)NR<sub>a</sub>R<sub>b</sub>; wherein each R<sup>6</sup> is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, haloalkyl, cyano, nitro, -OR<sub>a</sub>, -NR<sub>a</sub>R<sub>b</sub>, -SR<sub>a</sub>, -SOR<sub>a</sub>, -SO<sub>2</sub>R<sub>a</sub>, -C(O)OR<sub>a</sub>, -C(O)NR<sub>a</sub>R<sub>b</sub> and -NC(O)R<sub>a</sub>;

R<sub>a</sub> and R<sub>b</sub>, at each occurrence, are independently selected from the group consisting of hydrogen, alkenyl, alkyl, alkylsulfanylalkyl, aryl, arylalkenyl, arylalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, formylalkyl, haloalkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heterocycle, heterocyclealkenyl, heterocyclealkyl, hydroxyalkylcarbonyl, nitroalkyl, R<sub>c</sub>R<sub>d</sub>N-, R<sub>k</sub>O-. R<sub>k</sub>Oalkyl-, R<sub>c</sub>R<sub>d</sub>Nalkyl-, R<sub>c</sub>R<sub>d</sub>NC(O)alkyl-, R<sub>c</sub>SO<sub>2</sub>-, R<sub>c</sub>SO<sub>2</sub>alkyl-, R<sub>c</sub>C(O)-, R<sub>c</sub>C(O)alkyl-, R<sub>c</sub>OC(O)-, R<sub>c</sub>OC(O)alkyl-, R<sub>c</sub>R<sub>d</sub>NalkylC(O)-, R<sub>c</sub>R<sub>d</sub>NC(O)-, R<sub>c</sub>R<sub>d</sub>NC(O)Oalkyl-, R<sub>c</sub>R<sub>d</sub>NC(O)N(R<sub>e</sub>)alkyl-, wherein R<sub>a</sub> and R<sub>b</sub> are substituted with 0, 1 or 2 substituents selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

25

alternatively, R<sub>a</sub> and R<sub>b</sub>, together with the nitrogen atom to which they are attached form a three- to six-membered ring selected from the group consisting of heteroaryl and heterocycle, wherein the heteroaryl and heterocycle are independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -alkylSO<sub>2</sub>NR<sub>c</sub>R<sub>d</sub>, -alkylC(O)NR<sub>c</sub>R<sub>d</sub>, -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

35

R<sub>c</sub> and R<sub>d</sub>, at each occurrence, are independently selected from the group consisting of hydrogen, -NR<sub>f</sub>R<sub>h</sub>, -OR<sub>f</sub>, -CO(R<sub>f</sub>), -SR<sub>f</sub>, -SOR<sub>f</sub>, -SO<sub>2</sub>R<sub>f</sub>, -C(O)NR<sub>f</sub>R<sub>h</sub>, -SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, -C(O)OR<sub>f</sub>, alkenyl, alkyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, arylalkyl, haloalkyl, heteroaryl, heteroarylalkyl, heterocycle and

heterocyclealkyl; wherein each  $R_c$  and  $R_d$  is independently substituted with 0, 1, 2, or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>f</sub>), -(alkyl)(NR<sub>f</sub>R<sub>h</sub>), -SR<sub>f</sub>, -S(O)R<sub>f</sub>, -S(O)<sub>2</sub>R<sub>f</sub>, -OR<sub>f</sub>, -N(R<sub>f</sub>)(R<sub>h</sub>), -C(O)R<sub>f</sub>, -C(O)OR<sub>f</sub>, -C(O)NR<sub>f</sub>R<sub>h</sub>, -C(O)N(H)NR<sub>f</sub>R<sub>h</sub>, -N(R<sub>e</sub>)C(O)OR<sub>f</sub>, -N(R<sub>e</sub>)SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, -N(R<sub>e</sub>)C(O)NR<sub>f</sub>R<sub>h</sub>, -alkylN(R<sub>e</sub>)C(O)OR<sub>f</sub>, -alkylN(R<sub>e</sub>)SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, and -alkylN(R<sub>e</sub>)C(O)NR<sub>f</sub>R<sub>h</sub>;

alternatively,  $R_c$  and  $R_d$ , together with the nitrogen atom to which they are attached 10 form a three- to six-membered ring selected from the group consisting of heteroaryl and heterocycle, wherein the heteroaryl and heterocycle are independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>f</sub>), -(alkyl)(NR<sub>f</sub>R<sub>h</sub>), -SR<sub>f</sub>, -S(O)R<sub>f</sub>, -S(O)<sub>2</sub>R<sub>f</sub>, -OR<sub>f</sub>, -N(R<sub>f</sub>)(R<sub>h</sub>), -C(O)R<sub>f</sub>, -C(O)OR<sub>f</sub> and -C(O)NR<sub>f</sub>R<sub>h</sub>;

$R_e$  is selected from the group consisting of hydrogen, alkenyl, alkyl and cycloalkyl;

$R_f$ ,  $R_g$  and  $R_h$ , at each occurrence, are independently selected from the group 20 consisting of hydrogen, alkyl, alkenyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, heterocycle, heterocyclealkyl, heteroaryl and heteroarylalkyl; wherein each  $R_f$ ,  $R_g$  and  $R_h$  is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, cyano, halo, oxo, nitro, aryl, arylalkyl, cycloalkyl, cycloalkenyl, heterocycle, heteroaryl, heteroarylalkyl, -OH, -O(alkyl), -NH<sub>2</sub>, -N(H)(alkyl), -N(alkyl)<sub>2</sub>, -S(alkyl), -S(O)(alkyl), -SO<sub>2</sub>alkyl, -alkyl-OH, -alkyl-O-alkyl, -alkylNH<sub>2</sub>, -alkylN(H)(alkyl), -alkylN(alkyl)<sub>2</sub>, -alkylS(alkyl), -alkylS(O)(alkyl), -alkylSO<sub>2</sub>alkyl, -N(H)C(O)NH<sub>2</sub>, -C(O)OH, -C(O)O(alkyl), -C(O)alkyl, -C(O)NH<sub>2</sub>, -C(O)NH<sub>2</sub>, -C(O)N(H)(alkyl), and -C(O)N(alkyl)<sub>2</sub>;

30 alternatively,  $R_f$  and  $R_g$  together with the carbon atom to which they are attached form a three- to seven-membered ring selected from the group consisting of cycloalkyl, cycloalkenyl and heterocycle;

alternatively,  $R_f$  and  $R_h$  together with the nitrogen atom to which they are attached 35 form a three- to seven-membered ring selected from the group consisting of heterocycle and heteroaryl; wherein each of the heterocycle and heteroaryl is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, cyano, halo, oxo, nitro, aryl, arylalkyl, cycloalkyl, cycloalkenyl, heterocycle,

heteroaryl, heteroarylalkyl, -OH, -O(alkyl), -NH<sub>2</sub>, -N(H)(alkyl), -N(alkyl)<sub>2</sub>, -S(alkyl), -S(alkyl), -S(O)(alkyl), -alkyl-OH, -alkyl-O-alkyl, -alkylNH<sub>2</sub>, -alkylN(H)(alkyl), -alkylS(alkyl), -alkylS(O)(alkyl), -alkylSO<sub>2</sub>alkyl, -alkylN(alkyl)<sub>2</sub>, -N(H)C(O)NH<sub>2</sub>, -C(O)OH, -C(O)O(alkyl), -C(O)alkyl, -C(O)NH<sub>2</sub>, -C(O)NH<sub>2</sub>, -C(O)N(H)(alkyl), and -C(O)N(alkyl)<sub>2</sub>;

5

R<sub>k</sub> is selected from the group consisting of hydrogen, alkenyl, alkyl, aryl, arylalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkyl, formylalkyl, haloalkyl, heteroaryl, heteroarylalkyl, heterocycle, heterocyclealkyl, nitroalkyl, R<sub>a</sub>R<sub>b</sub>Nalkyl-, R<sub>a</sub>Oalkyl-, R<sub>a</sub>R<sub>b</sub>NC(O)-, R<sub>a</sub>R<sub>b</sub>NC(O)alkyl, R<sub>a</sub>S-, R<sub>a</sub>S(O)-, R<sub>a</sub>SO<sub>2</sub>-, R<sub>a</sub>Salkyl-, R<sub>a</sub>(O)Salkyl-, R<sub>a</sub>SO<sub>2</sub>alkyl-, R<sub>a</sub>OC(O)-, R<sub>a</sub>OC(O)alkyl-, R<sub>a</sub>C(O)-, R<sub>a</sub>C(O)alkyl-, wherein each R<sub>k</sub> is substituted with 0, 1, 2, or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

10

15 m is 0, 1, 2, 3, or 4; and

n is 0, 1, 2, 3, or 4;

20 with the proviso that when R<sup>4</sup> is alkoxy, aryloxy, hydroxy or R<sub>e</sub>S-, and R<sup>5</sup> is hydrogen, alkenyl, alkoxy, alkyl, alkynyl, aryl, halo, heteroaryl, heterocyclealkyl, cycloalkyl, cyano, nitro, R<sub>a</sub>R<sub>b</sub>N-, R<sub>a</sub>C(O)-, R<sub>a</sub>S-, R<sub>a</sub>(O)S-, R<sub>a</sub>(O)<sub>2</sub>S-, R<sub>a</sub>SO<sub>2</sub>N(R<sub>f</sub>)-, R<sub>a</sub>R<sub>b</sub>NC(O)-, R<sub>k</sub>OC(O)-, R<sub>a</sub>R<sub>b</sub>NSO<sub>2</sub>- or -OR<sub>k</sub>, and R<sup>6</sup> is hydrogen, alkyl, alkenyl, alkynyl, halo, cyano, nitro, aryl, heteroaryl, heterocyclealkyl, -SR<sub>a</sub>, -S(O)R<sub>a</sub>, -S(O)<sub>2</sub>R<sub>a</sub>, -OR<sub>k</sub>, -N(R<sub>a</sub>)(R<sub>b</sub>), -C(O)R<sub>a</sub>, 25 -C(O)OR<sub>a</sub> and -C(O)NR<sub>a</sub>R<sub>b</sub>, then R<sup>1</sup> is not hydrogen, alkenyl, alkyl, alkynyl, aryl, arylalkenyl, arylalkyl, cycloalkyl, (cycloalkyl)alkenyl, (cycloalkyl)alkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heterocyclealkenyl or heterocyclealkyl.

7. The compound of claim 6 wherein R<sup>4</sup> is hydroxy.

30

8. The compound of claim 7 wherein R<sup>1</sup> is selected from the group consisting of hydrogen, alkenyl, alkoxyalkyl, alkoxy carbonylalkyl, alkyl, alkynyl, arylalkenyl, arylalkyl, carboxyalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkenyl, cycloalkylalkyl, formylalkyl, haloalkyl, heteroarylalkenyl, heteroarylalkyl, heterocycle, heterocyclealkenyl, heterocyclealkyl, hydroxyalkyl, R<sub>a</sub>R<sub>b</sub>N-, R<sub>a</sub>R<sub>b</sub>Nalkyl-, R<sub>a</sub>R<sub>b</sub>NC(O)alkyl-, R<sub>f</sub>R<sub>g</sub>C=N- and R<sub>k</sub>O-.

9. The compound of claim 5 or a pharmaceutically acceptable salt form, stereoisomer or

tautomer thereof selected from the group consisting of:

1-[2-(1-cyclohexen-1-yl)ethyl]-3-(1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1,8-naphthyridin-2(1H)-one;

5      ethyl [3-(1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-2-oxo-1,8-naphthyridin-1(2H)-yl]acetate;

10     1-(3-anilinopropyl)-3-(1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1,8-naphthyridin-1(2H)-yl]propanal;

15     1-[3-(dimethylamino)propyl]-3-(1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1,8-naphthyridin-2(1H)-one;

20     1-{3-[[2-(dimethylamino)ethyl](methyl)amino]propyl}-3-(1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1,8-naphthyridin-2(1H)-one;

25     1-(2-aminoethyl)-3-(1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1,8-naphthyridin-2(1H)-one;

30     1-[3-(diethylamino)propyl]-3-(1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1,8-naphthyridin-2(1H)-one;

35     1-(benzyloxy)-3-(1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1,8-naphthyridin-2(1H)-one;

40     3-(1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1-isobutoxy-1,8-naphthyridin-2(1H)-one;

45     1-benzyl-4-chloro-3-(1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-1,8-naphthyridin-2(1H)-one;

50     1-butyl-4-chloro-3-(1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-1,8-naphthyridin-2(1H)-one;

55     4-amino-1-butyl-3-(1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-1,8-naphthyridin-2(1H)-one;

60     1-butyl-3-(1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-4-(methylamino)-1,8-naphthyridin-2(1H)-one;

65     1-butyl-4-(dimethylamino)-3-(1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-1,8-naphthyridin-2(1H)-one;

70     1-butyl-3-(1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-4-hydrazino-1,8-naphthyridin-2(1H)-one;

75     4-azido-1-butyl-3-(1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-1,8-naphthyridin-2(1H)-one;

80     1-butyl-3-(1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-4-[(2-hydroxyethyl)amino]-

1,8-naphthyridin-2(1H)-one;

*N*-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro-1,8-naphthyridin-3-yl)-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl]-*N'*-(2-phenylethyl)sulfamide;

5      benzyl 3-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro[1,8]naphthyridin-3-yl)-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl]diazathiane-1-carboxylate 2,2-dioxide;

10     *N*-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro[1,8]naphthyridin-3-yl)-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl]sulfamide;

15     benzyl 3-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro[1,8]naphthyridin-3-yl)-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl]-1-propyldiazathiane-1-carboxylate 2,2-dioxide;

20     *N*-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro[1,8]naphthyridin-3-yl)-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl]-*N'*-propylsulfamide;

25     methyl 3-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro[1,8]naphthyridin-3-yl)-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl]diazathiane-1-carboxylate 2,2-dioxide;

30     allyl 3-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro[1,8]naphthyridin-3-yl)-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl]diazathiane-1-carboxylate 2,2-dioxide;

35     2-propynyl 3-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro[1,8]naphthyridin-3-yl)-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl]diazathiane-1-carboxylate 2,2-dioxide;

40     2-cyanoethyl 3-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro[1,8]naphthyridin-3-yl)-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl]diazathiane-1-carboxylate 2,2-dioxide;

45     2-(trimethylsilyl)ethyl 3-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro[1,8]naphthyridin-3-yl)-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl]diazathiane-1-carboxylate 2,2-dioxide;

50     methyl 3-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro[1,8]naphthyridin-3-yl)-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl]diazathiane-1-carboxylate 2,2-dioxide;

55     benzyl 3-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro[1,8]naphthyridin-3-yl)-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl]-1-methyldiazathiane-1-carboxylate 2,2-dioxide;

60     *N*-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro[1,8]naphthyridin-3-yl)-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl]-*N'*-methylsulfamide;

65     2-aminoethyl 3-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro[1,8]naphthyridin-3-yl)-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl]diazathiane-1-carboxylate 2,2-dioxide;

70     *N*-cyclopentyl-*N'*-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro[1,8]naphthyridin-3-yl)-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl]sulfamide;

75     *N*-cyclobutyl-*N'*-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro[1,8]naphthyridin-3-yl)-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl]sulfamide;

80     *N*-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro[1,8]naphthyridin-3-yl)-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl]-*N'*-(4-piperidinyl)sulfamide;

85     *N*-(2-hydroxyethyl)-*N'*-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro[1,8]naphthyridin-3-yl)-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl]sulfamide;

3-[(3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]amino}sulfonyl]amino]propanamide;

*N*-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]-1-azetidinesulfonamide;

5 3-hydroxy-*N*-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]-1-azetidinesulfonamide;

3-amino-*N*-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]-1-pyrrolidinesulfonamide;

10 *N*-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]-1-piperidinesulfonamide;

*N*-benzyl-*N*'-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]sulfamide;

ethyl 3-[(3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]amino}sulfonyl]amino]benzoate;

15 3-[(3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]amino}sulfonyl]amino]benzoic acid;

3-[(3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]amino}sulfonyl]amino]benzamide;

20 *N*-(2-aminoethyl)-*N*'-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]sulfamide;

ethyl 1-((3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]amino)sulfonyl)-3-piperidinecarboxylate;

methyl (2*S*)-1-((3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]amino)sulfonyl)-2-pyrrolidinecarboxylate;

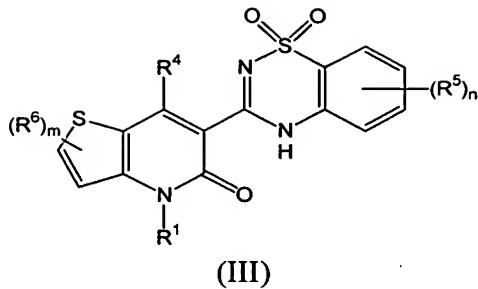
25 *N*-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]-1-pyrrolidinesulfonamide;

3-hydroxy-*N*-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]-1-piperidinesulfonamide; and

30 *N*-(2-furylmethyl)-3-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro[1,8]naphthyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]diazathiane-1-carboxamide 2,2-dioxide.

10. The compound of claim 4 wherein R<sup>2</sup> and R<sup>3</sup>, together with the carbon atoms to which they are attached form a thienyl ring.

35 11. The compound of claim 1 of formula (III):



or a pharmaceutically acceptable salt form, stereoisomer or tautomer thereof, wherein:

5  $R^1$  is selected from the group consisting of hydrogen, alkenyl, alkoxyalkyl, alkoxy carbonylalkyl, alkyl, alkyl carbonylalkyl, alkylsulfanylalkyl, alkylsulfinylalkyl, alkylsulfonylalkyl, alkynyl, aryl, arylalkenyl, arylalkyl, arylsulfanylalkyl, arylsulfonylalkyl, carboxyalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, (cycloalkyl)alkenyl, (cycloalkyl)alkyl, formylalkyl, haloalkoxyalkyl, haloalkyl, heteroaryl, heteroarylalkenyl, 10 heteroarylalkyl, heteroarylsulfonylalkyl, heterocycle, heterocyclealkenyl, heterocyclealkyl, hydroxyalkyl, nitroalkyl,  $R_aR_bN$ -,  $R_aR_bNalkyl$ -,  $R_aR_bNC(O)alkyl$ -,  $R_aR_bNC(O)Oalkyl$ -,  $R_aR_bNC(O)NR_calkyl$ -,  $R_fR_gC=N$ - and  $R_kO$ -, wherein  $R^1$  is substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, 15 heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>e</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>e</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>e</sub>;

$R^4$  is selected from the group consisting of alkoxy, arylalkoxy, aryloxy, halo, hydroxy,  $R_aR_bN\text{-}$ ,  $N_3\text{-}$ ,  $R_cS\text{-}$ , wherein  $R^4$  is substituted with 0, 1 or 2 substituents

20 independently selected from the group consisting of halo, nitro, cyano, -OH, -NH<sub>2</sub>, and -COOH;

$R^5$  is independently selected at each occurrence from the group consisting of alkenyl, alkoxy, alkyl, alkynyl, aryl, arylalkyl, arylcarbonyl, aryloxy, azidoalkyl, formyl, halo,

25 haloalkyl, halocarbonyl, heteroaryl, heteroarylalkyl, heterocycle, heterocyclealkyl, hydroxyalkyl, cycloalkyl, cyano, cyanoalkyl, nitro,  $R_aR_bN^-$ ,  $R_aC(O^-)$ ,  $R_aS^-$ ,  $R_a(O)S^-$ ,  $R_a(O)2S^-$ ,  $R_aR_bNalkyl^-$ ,  $R_a(O)SN(R_f^-)$ ,  $R_aSO_2N(R_f^-)$ ,  $R_a(O)SN(R_f)alkyl^-$ ,  $R_aSO_2N(R_f)alkyl^-$ ,  $R_aR_bNSO_2N(R_f^-)$ ,  $R_aR_bNSO_2N(R_f)alkyl^-$ ,  $R_aR_bNC(O^-)$ ,  $R_kOC(O^-)$ ,  $R_kOC(O)alkyl^-$ ,  $R_kOalkyl^-$ ,  $R_aR_bNSO_2^-$ ,  $R_aR_bNSO_2alkyl^-$ ,  $(R_bO)(R_a)P(O)O^-$  and  $-OR_k$ , wherein each  $R^5$  is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl,  $-(alkyl)(OR_c)$ ,  $-(alkyl)(NR_cR_d)$ ,  $-SR_c$ ,  $-S(O)R_c$ ,  $-S(O)2R_c$ ,  $-OR_c$ ,  $-N(R_c)(R_d)$ ,  $-C(O)R_c$ ,  $-C(O)OR_c$  and

-C(O)NR<sub>c</sub>R<sub>d</sub>;

R<sup>6</sup> is independently selected at each occurrence from the group consisting of alkyl, alkenyl, alkynyl, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, 5 arylalkyl, heteroarylalkyl, heterocyclealkyl, -(alkyl)(OR<sub>k</sub>), -(alkyl)(NR<sub>a</sub>R<sub>b</sub>), -SR<sub>a</sub>, -S(O)R<sub>a</sub>, -S(O)<sub>2</sub>R<sub>a</sub>, -OR<sub>k</sub>, -N(R<sub>a</sub>)(R<sub>b</sub>), -C(O)R<sub>a</sub>, -C(O)OR<sub>a</sub> and -C(O)NR<sub>a</sub>R<sub>b</sub>; wherein each R<sup>6</sup> is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, haloalkyl, cyano, nitro, -OR<sub>a</sub>, -NR<sub>a</sub>R<sub>b</sub>, -SR<sub>a</sub>, -SOR<sub>a</sub>, -SO<sub>2</sub>R<sub>a</sub>, -C(O)OR<sub>a</sub>, -C(O)NR<sub>a</sub>R<sub>b</sub> and -NC(O)R<sub>a</sub>;

10

R<sub>a</sub> and R<sub>b</sub>, at each occurrence, are independently selected from the group consisting of hydrogen, alkenyl, alkyl, alkylsulfanylalkyl, aryl, arylalkenyl, arylalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, formylalkyl, haloalkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heterocycle, heterocyclealkenyl, 15 heterocyclealkyl, hydroxyalkylcarbonyl, nitroalkyl, R<sub>c</sub>R<sub>d</sub>N-, R<sub>k</sub>O-. R<sub>k</sub>Oalkyl-, R<sub>c</sub>R<sub>d</sub>Nalkyl-, R<sub>c</sub>R<sub>d</sub>NC(O)alkyl-, R<sub>c</sub>SO<sub>2</sub>-, R<sub>c</sub>SO<sub>2</sub>alkyl-, R<sub>c</sub>C(O)-, R<sub>c</sub>C(O)alkyl-, R<sub>c</sub>OC(O)-, R<sub>c</sub>OC(O)alkyl-, R<sub>c</sub>R<sub>d</sub>NalkylC(O)-, R<sub>c</sub>R<sub>d</sub>NC(O)-, R<sub>c</sub>R<sub>d</sub>NC(O)Oalkyl-, R<sub>c</sub>R<sub>d</sub>NC(O)N(R<sub>e</sub>)alkyl-, wherein R<sub>a</sub> and R<sub>b</sub> are substituted with 0, 1 or 2 substituents selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, 20 arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

alternatively, R<sub>a</sub> and R<sub>b</sub>, together with the nitrogen atom to which they are attached form a three- to six-membered ring selected from the group consisting of heteroaryl and 25 heterocycle, wherein the heteroaryl and heterocycle are independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -alkylSO<sub>2</sub>NR<sub>c</sub>R<sub>d</sub>, -alkylC(O)NR<sub>c</sub>R<sub>d</sub>, -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and 30 -C(O)NR<sub>c</sub>R<sub>d</sub>;

R<sub>c</sub> and R<sub>d</sub>, at each occurrence, are independently selected from the group consisting of hydrogen, -NR<sub>f</sub>R<sub>h</sub>, -OR<sub>f</sub>, -CO(R<sub>f</sub>), -SR<sub>f</sub>, -SOR<sub>f</sub>, -SO<sub>2</sub>R<sub>f</sub>, -C(O)NR<sub>f</sub>R<sub>h</sub>, -SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, -C(O)OR<sub>f</sub>, alkenyl, alkyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, 35 cycloalkenylalkyl, aryl, arylalkyl, haloalkyl, heteroaryl, heteroarylalkyl, heterocycle and heterocyclealkyl; wherein each R<sub>c</sub> and R<sub>d</sub> is independently substituted with 0, 1, 2, or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl,

heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>f</sub>), -(alkyl)(NR<sub>f</sub>R<sub>h</sub>), -SR<sub>f</sub>, -S(O)R<sub>f</sub>, -S(O)<sub>2</sub>R<sub>f</sub>, -OR<sub>f</sub>, -N(R<sub>f</sub>)(R<sub>h</sub>), -C(O)R<sub>f</sub>, -C(O)OR<sub>f</sub>, -C(O)NR<sub>f</sub>R<sub>h</sub>, -C(O)N(H)NR<sub>f</sub>R<sub>h</sub>, -N(R<sub>e</sub>)C(O)OR<sub>f</sub>, -N(R<sub>e</sub>)SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, -N(R<sub>e</sub>)C(O)NR<sub>f</sub>R<sub>h</sub>, -alkylN(R<sub>e</sub>)C(O)OR<sub>f</sub>, -alkylN(R<sub>e</sub>)SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, and -alkylN(R<sub>e</sub>)C(O)NR<sub>f</sub>R<sub>h</sub>;

5

alternatively, R<sub>c</sub> and R<sub>d</sub>, together with the nitrogen atom to which they are attached form a three- to six-membered ring selected from the group consisting of heteroaryl and heterocycle, wherein the heteroaryl and heterocycle are independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, 10 oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>f</sub>), -(alkyl)(NR<sub>f</sub>R<sub>h</sub>), -SR<sub>f</sub>, -S(O)R<sub>f</sub>, -S(O)<sub>2</sub>R<sub>f</sub>, -OR<sub>f</sub>, -N(R<sub>f</sub>)(R<sub>h</sub>), -C(O)R<sub>f</sub>, -C(O)OR<sub>f</sub> and -C(O)NR<sub>f</sub>R<sub>h</sub>;

15 R<sub>e</sub> is selected from the group consisting of hydrogen, alkenyl, alkyl and cycloalkyl;

15

R<sub>f</sub>, R<sub>g</sub> and R<sub>h</sub>, at each occurrence, are independently selected from the group consisting of hydrogen, alkyl, alkenyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, heterocycle, heterocyclealkyl, heteroaryl and heteroarylalkyl; wherein each R<sub>f</sub>, R<sub>g</sub> and R<sub>h</sub> is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, cyano, halo, oxo, nitro, aryl, arylalkyl, cycloalkyl, cycloalkenyl, heterocycle, heteroaryl, heteroarylalkyl, -OH, -O(alkyl), -NH<sub>2</sub>, -N(H)(alkyl), -N(alkyl)<sub>2</sub>, -S(alkyl), -S(O)(alkyl), -SO<sub>2</sub>alkyl, -alkyl-OH, -alkyl-O-alkyl, -alkylNH<sub>2</sub>, -alkylN(H)(alkyl), -alkylN(alkyl)<sub>2</sub>, -alkylS(alkyl), -alkylS(O)(alkyl), -alkylSO<sub>2</sub>alkyl, -N(H)C(O)NH<sub>2</sub>, -C(O)OH, -C(O)O(alkyl), -C(O)alkyl, -C(O)NH<sub>2</sub>, -C(O)NH<sub>2</sub>, -C(O)N(H)(alkyl), and -C(O)N(alkyl)<sub>2</sub>;

20 alternatively, R<sub>f</sub> and R<sub>g</sub> together with the carbon atom to which they are attached form a three- to seven-membered ring selected from the group consisting of cycloalkyl, cycloalkenyl and heterocycle;

30

alternatively, R<sub>f</sub> and R<sub>h</sub> together with the nitrogen atom to which they are attached form a three- to seven-membered ring selected from the group consisting of heterocycle and heteroaryl; wherein each of the heterocycle and heteroaryl is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, cyano, halo, oxo, nitro, aryl, arylalkyl, cycloalkyl, cycloalkenyl, heterocycle, heteroaryl, heteroarylalkyl, -OH, -O(alkyl), -NH<sub>2</sub>, -N(H)(alkyl), -N(alkyl)<sub>2</sub>, -S(alkyl), -S(alkyl), -S(O)(alkyl), -alkyl-OH, -alkyl-O-alkyl, -alkylNH<sub>2</sub>, -alkylN(H)(alkyl), -alkylS(alkyl), -alkylS(O)(alkyl), -alkylSO<sub>2</sub>alkyl, -alkylN(alkyl)<sub>2</sub>, -N(H)C(O)NH<sub>2</sub>, -C(O)OH, -C(O)O(alkyl),

-C(O)O(alkyl), -C(O)alkyl, -C(O)NH<sub>2</sub>, -C(O)NH<sub>2</sub>, -C(O)N(H)(alkyl), and -C(O)N(alkyl)<sub>2</sub>;

R<sub>k</sub> is selected from the group consisting of hydrogen, alkenyl, alkyl, aryl, arylalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkyl, formylalkyl,

5 haloalkyl, heteroaryl, heteroarylalkyl, heterocycle, heterocyclealkyl, nitroalkyl, R<sub>a</sub>R<sub>b</sub>Nalkyl-, R<sub>a</sub>Oalkyl-, R<sub>a</sub>R<sub>b</sub>NC(O)-, R<sub>a</sub>R<sub>b</sub>NC(O)alkyl, R<sub>a</sub>S-, R<sub>a</sub>S(O)-, R<sub>a</sub>SO<sub>2</sub>-, R<sub>a</sub>Salkyl-, R<sub>a</sub>(O)Salkyl-, R<sub>a</sub>SO<sub>2</sub>alkyl-, R<sub>a</sub>OC(O)-, R<sub>a</sub>OC(O)alkyl-, R<sub>a</sub>C(O)-, R<sub>a</sub>C(O)alkyl-, wherein each R<sub>k</sub> is substituted with 0, 1, 2, or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, 10 heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

m is 0, 1, or 2; and

15 n is 0, 1, 2, 3, or 4;

with the proviso that when R<sup>4</sup> is alkoxy, aryloxy, hydroxy or R<sub>c</sub>S-, and R<sup>5</sup> is hydrogen, alkenyl, alkoxy, alkyl, alkynyl, aryl, halo, heteroaryl, heterocyclealkyl, cycloalkyl, cyano, nitro, R<sub>a</sub>R<sub>b</sub>N-, R<sub>a</sub>C(O)-, R<sub>a</sub>S-, R<sub>a</sub>(O)S-, R<sub>a</sub>(O)<sub>2</sub>S-, R<sub>a</sub>SO<sub>2</sub>N(R<sub>f</sub>)-, R<sub>a</sub>R<sub>b</sub>NC(O)-, 20 R<sub>k</sub>OC(O)-, R<sub>a</sub>R<sub>b</sub>NSO<sub>2</sub>- or -OR<sub>k</sub>, and R<sup>6</sup> is hydrogen, alkyl, alkenyl, alkynyl, halo, cyano, nitro, aryl, heteroaryl, heterocyclealkyl, -SR<sub>a</sub>, -S(O)R<sub>a</sub>, -S(O)<sub>2</sub>R<sub>a</sub>, -OR<sub>k</sub>, -N(R<sub>a</sub>)(R<sub>b</sub>), -C(O)R<sub>a</sub>, -C(O)OR<sub>a</sub> and -C(O)NR<sub>a</sub>R<sub>b</sub>, then R<sup>1</sup> is not hydrogen, alkenyl, alkyl, alkynyl, aryl, arylalkenyl, arylalkyl, cycloalkyl, (cycloalkyl)alkenyl, (cycloalkyl)alkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heterocyclealkenyl or heterocyclealkyl.

25 12. The compound of claim 11 wherein R<sup>4</sup> is hydroxy.

13. The compound of claim 12 wherein R<sup>1</sup> is selected from the group consisting of hydrogen, alkenyl, alkoxyalkyl, alkoxy carbonylalkyl, alkyl, alkynyl, arylalkenyl, arylalkyl, 30 carboxyalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkenyl, cycloalkylalkyl, formylalkyl, haloalkyl, heteroarylalkenyl, heteroarylalkyl, heterocycle, heterocyclealkenyl, heterocyclealkyl, hydroxyalkyl, R<sub>a</sub>R<sub>b</sub>N-, R<sub>a</sub>R<sub>b</sub>Nalkyl-, R<sub>a</sub>R<sub>b</sub>NC(O)alkyl-, R<sub>f</sub>R<sub>g</sub>C=N- and R<sub>k</sub>O-.

35 14. The compound of claim 10 or a pharmaceutically acceptable salt form, stereoisomer or tautomer thereof selected from the group consisting of:

4-amino-6-(1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-7-hydroxythieno[3,2-  
b]pyridin-5(4H)-one;

6-(1,1-Dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-7-hydroxy-4-(isobutylamino)thieno[3,2-*b*]pyridin-5(4*H*)-one;

6-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-7-hydroxy-4-[(3*S*)-3-methylcyclopentyl]amino}thieno[3,2-*b*]pyridin-5(4*H*)-one;

5 4-[(1-cyclopropylethyl]amino}-6-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-7-hydroxythieno[3,2-*b*]pyridin-5(4*H*)-one;

10 4-(butylamino)-6-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-7-hydroxythieno[3,2-*b*]pyridin-5(4*H*)-one;

10 6-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-[(2-ethylbutyl)amino]-7-hydroxythieno[3,2-*b*]pyridin-5(4*H*)-one;

15 6-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-7-hydroxy-4-(pentylamino)thieno[3,2-*b*]pyridin-5(4*H*)-one;

15 6-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-7-hydroxy-4-[(3-methylbutyl)amino]thieno[3,2-*b*]pyridin-5(4*H*)-one;

20 4-[(3,3-dimethylbutyl)amino]-6-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-7-hydroxythieno[3,2-*b*]pyridin-5(4*H*)-one;

20 6-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-7-hydroxy-4-[(3-methylbenzyl)amino]thieno[3,2-*b*]pyridin-5(4*H*)-one;

25 6-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-7-hydroxy-4-[(4-methylbenzyl)amino]thieno[3,2-*b*]pyridin-5(4*H*)-one;

25 6-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-7-hydroxy-4-[(3-methylbut-2-enyl)amino]thieno[3,2-*b*]pyridin-5(4*H*)-one;

30 6-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-7-hydroxy-4-(propylamino)thieno[3,2-*b*]pyridin-5(4*H*)-one;

30 6-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-7-hydroxy-4-[(pyridin-4-ylmethyl)amino]thieno[3,2-*b*]pyridin-5(4*H*)-one;

35 6-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-7-hydroxy-4-[(pyridin-3-ylmethyl)amino]thieno[3,2-*b*]pyridin-5(4*H*)-one;

35 6-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-7-hydroxy-4-[(pyridin-2-ylmethyl)amino]thieno[3,2-*b*]pyridin-5(4*H*)-one;

35 6-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-7-hydroxy-4-[(3-methoxybenzyl)amino]thieno[3,2-*b*]pyridin-5(4*H*)-one;

35 6-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-[(3-furylmethyl)amino]-7-hydroxythieno[3,2-*b*]pyridin-5(4*H*)-one;

35 3-({[6-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-7-hydroxy-5-oxothieno[3,2-*b*]pyridin-4(5*H*)-yl]amino}methyl)benzonitrile;

6-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-7-hydroxy-4-[(thien-3-ylmethyl)amino]thieno[3,2-*b*]pyridin-5(4*H*)-one;

4-(cyclobutylamino)-6-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-7-hydroxythieno[3,2-*b*]pyridin-5(4*H*)-one;

5 4-(benzylamino)-6-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-7-hydroxythieno[3,2-*b*]pyridin-5(4*H*)-one;

10 4-[(cyclohexylmethyl)amino]-6-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-7-hydroxythieno[3,2-*b*]pyridin-5(4*H*)-one;

15 6-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-7-hydroxy-4-[(1,3-thiazol-5-ylmethyl)amino]thieno[3,2-*b*]pyridin-5(4*H*)-one;

20 4-[(3-bromobenzyl)amino]-6-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-7-hydroxythieno[3,2-*b*]pyridin-5(4*H*)-one;

25 4-(cyclohexylamino)-6-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-7-hydroxythieno[3,2-*b*]pyridin-5(4*H*)-one;

30 4-(cyclopentylamino)-6-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-7-hydroxythieno[3,2-*b*]pyridin-5(4*H*)-one;

35 4-(cycloheptylamino)-6-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-7-hydroxy-4-{{[(1*R*,3*S*)-3-methylcyclohexyl]amino}thieno[3,2-*b*]pyridin-5(4*H*)-one};

40 6-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-7-hydroxy-4-{{[(1*R*,3*R*)-3-methylcyclohexyl]amino}thieno[3,2-*b*]pyridin-5(4*H*)-one};

45 6-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-[(1-ethylpropyl)amino]-7-hydroxythieno[3,2-*b*]pyridin-5(4*H*)-one;

50 6-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-7-hydroxy-4-{{[1-phenylethyl]amino}thieno[3,2-*b*]pyridin-5(4*H*)-one};

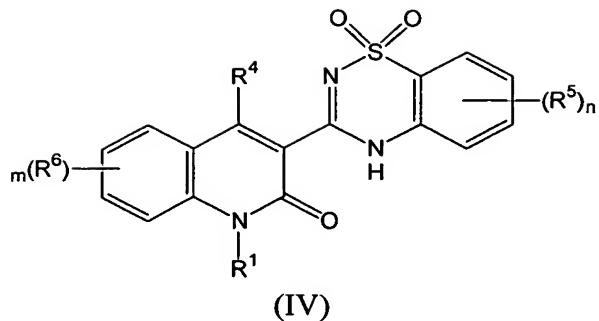
55 6-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-7-hydroxy-4-{{[(1*R*)-1-methylbutyl]amino}thieno[3,2-*b*]pyridin-5(4*H*)-one};

60 4-(cyclobutylamino)-6-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-7-hydroxythieno[3,2-*b*]pyridin-5(4*H*)-one;

65 4-[(cyclopropylmethyl)amino]-6-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-7-hydroxythieno[3,2-*b*]pyridin-5(4*H*)-one; and

70 2-({3-[4-(cyclohexylamino)-7-hydroxy-5-oxo-4,5-dihydrothieno[3,2-*b*]pyridin-6-yl]-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl}oxy)acetamide.

35 15. The compound of claim 1 of formula (IV)



or a pharmaceutically acceptable salt form, stereoisomer or tautomer thereof, wherein:

5         $R^1$  is selected from the group consisting of hydrogen, alkenyl, alkoxyalkyl, alkoxycarbonylalkyl, alkyl, alkylcarbonylalkyl, alkylsulfanylalkyl, alkylsulfinylalkyl, alkylsulfonylalkyl, alkynyl, aryl, arylalkenyl, arylalkyl, arylsulfanylalkyl, arylsulfonylalkyl, carboxyalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, (cycloalkyl)alkenyl, (cycloalkyl)alkyl, formylalkyl, haloalkoxyalkyl, haloalkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heteroarylsulfonylalkyl, heterocycle, heterocyclealkenyl, heterocyclealkyl, hydroxyalkyl, nitroalkyl,  $R_aR_bN-$ ,  $R_aR_bNalkyl-$ ,  $R_aR_bNC(O)alkyl-$ ,  $R_aR_bNC(O)Oalkyl-$ ,  $R_aR_bNC(O)NR_calkyl-$ ,  $R_fR_gC=N-$  and  $R_kO-$ , wherein  $R^1$  is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, 10 arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)( $OR_c$ ), -(alkyl)( $NR_cR_e$ ), - $SR_c$ , - $S(O)R_c$ , - $S(O)_2R_c$ , - $OR_c$ , - $N(R_c)(R_e)$ , - $C(O)R_c$ , - $C(O)OR_c$  and - $C(O)NR_cR_e$ ;

15

$R^4$  is selected from the group consisting of alkoxy, arylalkoxy, aryloxy, halo, hydroxy,  $R_aR_bN-$ ,  $N_3-$ ,  $R_eS-$ , wherein  $R^4$  is substituted with 0, 1 or 2 substituents 20 independently selected from the group consisting of halo, nitro, cyano, -OH, -NH<sub>2</sub>, and -COOH;

$R^5$  is independently selected at each occurrence from the group consisting of alkenyl, alkoxy, alkyl, alkynyl, aryl, arylalkyl, arylcarbonyl, aryloxy, azidoalkyl, formyl, halo, 25 haloalkyl, halocarbonyl, heteroaryl, heteroarylalkyl, heterocycle, heterocyclealkyl, hydroxyalkyl, cycloalkyl, cyano, cyanoalkyl, nitro,  $R_aR_bN-$ ,  $R_aC(O)-$ ,  $R_aS-$ ,  $R_a(O)S-$ ,  $R_a(O)_2S-$ ,  $R_aR_bNalkyl-$ ,  $R_a(O)SN(R_f)-$ ,  $R_aSO_2N(R_f)-$ ,  $R_a(O)SN(R_f)alkyl-$ ,  $R_aSO_2N(R_f)alkyl-$ ,  $R_aR_bNSO_2N(R_f)-$ ,  $R_aR_bNSO_2N(R_f)alkyl-$ ,  $R_aR_bNC(O)-$ ,  $R_kOC(O)-$ ,  $R_kOC(O)alkyl-$ ,  $R_kOalkyl-$ ,  $R_aR_bNSO_2-$ ,  $R_aR_bNSO_2alkyl-$ ,  $(R_bO)(R_a)P(O)O-$  and - $OR_k$ , wherein each  $R^5$  is 30 independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)( $OR_c$ ), -(alkyl)( $NR_cR_d$ ), - $SR_c$ , - $S(O)R_c$ , - $S(O)_2R_c$ , - $OR_c$ , - $N(R_c)(R_d)$ , - $C(O)R_c$ , - $C(O)OR_c$  and

-C(O)NR<sub>c</sub>R<sub>d</sub>;

R<sup>6</sup> is independently selected at each occurrence from the group consisting of alkyl, alkenyl, alkynyl, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, 5 arylalkyl, heteroarylalkyl, heterocyclealkyl, -(alkyl)(OR<sub>k</sub>), -(alkyl)(NR<sub>a</sub>R<sub>b</sub>), -SR<sub>a</sub>, -S(O)R<sub>a</sub>, -S(O)<sub>2</sub>R<sub>a</sub>, -OR<sub>k</sub>, -N(R<sub>a</sub>)(R<sub>b</sub>), -C(O)R<sub>a</sub>, -C(O)OR<sub>a</sub> and -C(O)NR<sub>a</sub>R<sub>b</sub>; wherein each R<sup>6</sup> is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, haloalkyl, cyano, nitro, -OR<sub>a</sub>, -NR<sub>a</sub>R<sub>b</sub>, -SR<sub>a</sub>, -SOR<sub>a</sub>, -SO<sub>2</sub>R<sub>a</sub>, -C(O)OR<sub>a</sub>, -C(O)NR<sub>a</sub>R<sub>b</sub> and -NC(O)R<sub>a</sub>;

10

R<sub>a</sub> and R<sub>b</sub>, at each occurrence, are independently selected from the group consisting of hydrogen, alkenyl, alkyl, alkylsulfanylalkyl, aryl, arylalkenyl, arylalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, formylalkyl, haloalkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heterocycle, heterocyclealkenyl, 15 heterocyclealkyl, hydroxyalkylcarbonyl, nitroalkyl, R<sub>c</sub>R<sub>d</sub>N-, R<sub>k</sub>O-. R<sub>k</sub>Oalkyl-, R<sub>c</sub>R<sub>d</sub>Nalkyl-, R<sub>c</sub>R<sub>d</sub>NC(O)alkyl-, R<sub>c</sub>SO<sub>2</sub>-, R<sub>c</sub>SO<sub>2</sub>alkyl-, R<sub>c</sub>C(O)-, R<sub>c</sub>C(O)alkyl-, R<sub>c</sub>OC(O)-, R<sub>c</sub>OC(O)alkyl-, R<sub>c</sub>R<sub>d</sub>NalkylC(O)-, R<sub>c</sub>R<sub>d</sub>NC(O)-, R<sub>c</sub>R<sub>d</sub>NC(O)Oalkyl-, R<sub>c</sub>R<sub>d</sub>NC(O)N(R<sub>c</sub>)alkyl-, wherein R<sub>a</sub> and R<sub>b</sub> are substituted with 0, 1 or 2 substituents selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, 20 arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

alternatively, R<sub>a</sub> and R<sub>b</sub>, together with the nitrogen atom to which they are attached form a three- to six-membered ring selected from the group consisting of heteroaryl and 25 heterocycle, wherein the heteroaryl and heterocycle are independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -alkylSO<sub>2</sub>NR<sub>c</sub>R<sub>d</sub>, -alkylC(O)NR<sub>c</sub>R<sub>d</sub>, -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and 30 -C(O)NR<sub>c</sub>R<sub>d</sub>;

R<sub>c</sub> and R<sub>d</sub>, at each occurrence, are independently selected from the group consisting of hydrogen, -NR<sub>f</sub>R<sub>h</sub>, -OR<sub>f</sub>, -CO(R<sub>f</sub>), -SR<sub>f</sub>, -SOR<sub>f</sub>, -SO<sub>2</sub>R<sub>f</sub>, -C(O)NR<sub>f</sub>R<sub>h</sub>, -SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, -C(O)OR<sub>f</sub>, alkenyl, alkyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, 35 cycloalkenylalkyl, aryl, arylalkyl, haloalkyl, heteroaryl, heteroarylalkyl, heterocycle and heterocyclealkyl; wherein each R<sub>c</sub> and R<sub>d</sub> is independently substituted with 0, 1, 2, or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl,

heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>f</sub>), -(alkyl)(NR<sub>f</sub>R<sub>h</sub>), -SR<sub>f</sub>, -S(O)R<sub>f</sub>, -S(O)<sub>2</sub>R<sub>f</sub>, -OR<sub>f</sub>, -N(R<sub>f</sub>)(R<sub>h</sub>), -C(O)R<sub>f</sub>, -C(O)OR<sub>f</sub>, -C(O)NR<sub>f</sub>R<sub>h</sub>, -C(O)N(H)NR<sub>f</sub>R<sub>h</sub>, -N(R<sub>e</sub>)C(O)OR<sub>f</sub>, -N(R<sub>e</sub>)SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, -N(R<sub>e</sub>)C(O)NR<sub>f</sub>R<sub>h</sub>, -alkylN(R<sub>e</sub>)C(O)OR<sub>f</sub>, -alkylN(R<sub>e</sub>)SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, and -alkylN(R<sub>e</sub>)C(O)NR<sub>f</sub>R<sub>h</sub>;

5

alternatively, R<sub>c</sub> and R<sub>d</sub>, together with the nitrogen atom to which they are attached form a three- to six-membered ring selected from the group consisting of heteroaryl and heterocycle, wherein the heteroaryl and heterocycle are independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, 10 oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>f</sub>), -(alkyl)(NR<sub>f</sub>R<sub>h</sub>), -SR<sub>f</sub>, -S(O)R<sub>f</sub>, -S(O)<sub>2</sub>R<sub>f</sub>, -OR<sub>f</sub>, -N(R<sub>f</sub>)(R<sub>h</sub>), -C(O)R<sub>f</sub>, -C(O)OR<sub>f</sub> and -C(O)NR<sub>f</sub>R<sub>h</sub>;

15 R<sub>e</sub> is selected from the group consisting of hydrogen, alkenyl, alkyl and cycloalkyl;

15

R<sub>f</sub>, R<sub>g</sub> and R<sub>h</sub>, at each occurrence, are independently selected from the group consisting of hydrogen, alkyl, alkenyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, heterocycle, heterocyclealkyl, heteroaryl and heteroarylalkyl; wherein each R<sub>f</sub>, R<sub>g</sub> and R<sub>h</sub> is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, cyano, halo, oxo, nitro, aryl, arylalkyl, cycloalkyl, cycloalkenyl, heterocycle, heteroaryl, heteroarylalkyl, -OH, -O(alkyl), -NH<sub>2</sub>, -N(H)(alkyl), -N(alkyl)<sub>2</sub>, -S(alkyl), -S(O)(alkyl), -SO<sub>2</sub>alkyl, -alkyl-OH, -alkyl-O-alkyl, -alkylNH<sub>2</sub>, -alkylN(H)(alkyl), -alkylN(alkyl)<sub>2</sub>, -alkylS(alkyl), -alkylS(O)(alkyl), -alkylSO<sub>2</sub>alkyl, -N(H)C(O)NH<sub>2</sub>, -C(O)OH, -C(O)O(alkyl), 25 -C(O)alkyl, -C(O)NH<sub>2</sub>, -C(O)NH<sub>2</sub>, -C(O)N(H)(alkyl), and -C(O)N(alkyl)<sub>2</sub>;

30 alternatively, R<sub>f</sub> and R<sub>g</sub> together with the carbon atom to which they are attached form a three- to seven-membered ring selected from the group consisting of cycloalkyl, cycloalkenyl and heterocycle;

30

alternatively, R<sub>f</sub> and R<sub>h</sub> together with the nitrogen atom to which they are attached form a three- to seven-membered ring selected from the group consisting of heterocycle and heteroaryl; wherein each of the heterocycle and heteroaryl is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, cyano, halo, oxo, nitro, aryl, arylalkyl, cycloalkyl, cycloalkenyl, heterocycle, heteroaryl, heteroarylalkyl, -OH, -O(alkyl), -NH<sub>2</sub>, -N(H)(alkyl), -N(alkyl)<sub>2</sub>, -S(alkyl), -S(alkyl), -S(O)(alkyl), -alkyl-OH, -alkyl-O-alkyl, -alkylNH<sub>2</sub>, -alkylN(H)(alkyl), -alkylS(alkyl), -alkylS(O)(alkyl), -alkylSO<sub>2</sub>alkyl, -alkylN(alkyl)<sub>2</sub>, -N(H)C(O)NH<sub>2</sub>, -C(O)OH, 35 -C(O)alkyl, -C(O)NH<sub>2</sub>, -C(O)NH<sub>2</sub>, -C(O)N(H)(alkyl), and -C(O)N(alkyl)<sub>2</sub>.

-C(O)O(alkyl), -C(O)alkyl, -C(O)NH<sub>2</sub>, -C(O)NH<sub>2</sub>, -C(O)N(H)(alkyl), and -C(O)N(alkyl)<sub>2</sub>;

R<sub>k</sub> is selected from the group consisting of hydrogen, alkenyl, alkyl, aryl, arylalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkyl, formylalkyl,

5      haloalkyl, heteroaryl, heteroarylalkyl, heterocycle, heterocyclealkyl, nitroalkyl, R<sub>a</sub>R<sub>b</sub>Nalkyl-, R<sub>a</sub>Oalkyl-, R<sub>a</sub>R<sub>b</sub>NC(O)-, R<sub>a</sub>R<sub>b</sub>NC(O)alkyl, R<sub>a</sub>S-, R<sub>a</sub>S(O)-, R<sub>a</sub>SO<sub>2</sub>-, R<sub>a</sub>Salkyl-, R<sub>a</sub>(O)Salkyl-, R<sub>a</sub>SO<sub>2</sub>alkyl-, R<sub>a</sub>OC(O)-, R<sub>a</sub>OC(O)alkyl-, R<sub>a</sub>C(O)-, R<sub>a</sub>C(O)alkyl-, wherein each R<sub>k</sub> is substituted with 0, 1, 2, or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl,

10     heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

m is 0, 1, 2, 3, or 4; and

15     n is 0, 1, 2, 3, or 4;

with the proviso that when R<sup>4</sup> is alkoxy, aryloxy, hydroxy or R<sub>e</sub>S-, and R<sup>5</sup> is hydrogen, alkenyl, alkoxy, alkyl, alkynyl, aryl, halo, heteroaryl, heterocyclealkyl, cycloalkyl, cyano, nitro, R<sub>a</sub>R<sub>b</sub>N-, R<sub>a</sub>C(O)-, R<sub>a</sub>S-, R<sub>a</sub>(O)S-, R<sub>a</sub>(O)<sub>2</sub>S-, R<sub>a</sub>SO<sub>2</sub>N(R<sub>f</sub>)-, R<sub>a</sub>R<sub>b</sub>NC(O)-,

20     R<sub>k</sub>OC(O)-, R<sub>a</sub>R<sub>b</sub>NSO<sub>2</sub>- or -OR<sub>k</sub>, and R<sup>6</sup> is hydrogen, alkyl, alkenyl, alkynyl, halo, cyano, nitro, aryl, heteroaryl, heterocyclealkyl, -SR<sub>a</sub>, -S(O)R<sub>a</sub>, -S(O)<sub>2</sub>R<sub>a</sub>, -OR<sub>k</sub>, -N(R<sub>a</sub>)(R<sub>b</sub>), -C(O)R<sub>a</sub>, -C(O)OR<sub>a</sub> and -C(O)NR<sub>a</sub>R<sub>b</sub>, then R<sup>1</sup> is not hydrogen, alkenyl, alkyl, alkynyl, aryl, arylalkenyl, arylalkyl, cycloalkyl, (cycloalkyl)alkenyl, (cycloalkyl)alkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heterocyclealkenyl or heterocyclealkyl.

25     16. The compound of claim 15 wherein R<sup>4</sup> is hydroxy.

17. The compound of claim 16 wherein R<sup>1</sup> is selected from the group consisting of R<sub>a</sub>R<sub>b</sub>N-, R<sub>f</sub>R<sub>g</sub>C=N- and R<sub>k</sub>O-.

30     18. The compound of claim 15 or a pharmaceutically acceptable salt form, stereoisomer or tautomer thereof selected from the group consisting of:

3-((1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1-[(1E)-phenylmethylene]amino}-2(1H)-quinolinone;

35     1-amino-3-((1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-2(1H)-quinolinone;

3-((1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1-propoxyquinolin-2(1H)-one;

1-(benzylamino)-3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxyquinolin-2(1*H*)-one;

1-amino-3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxyquinolin-2(1*H*)-one;

5 3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1-[(1-propylbutyl)amino]quinolin-2(1*H*)-one;

3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1-(isobutylamino)quinolin-2(1*H*)-one;

10 3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-1-[(1-ethylpropyl)amino]-4-hydroxyquinolin-2(1*H*)-one;

3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1-(pentylamino)quinolin-2(1*H*)-one;

15 1-(cyclohexylamino)-3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxyquinolin-2(1*H*)-one;

3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1-{[(2-methyl-1,3-thiazol-4-yl)methyl]amino}quinolin-2(1*H*)-one;

3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1-(isopropylamino)quinolin-2(1*H*)-one;

20 1-(cyclobutylamino)-3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxyquinolin-2(1*H*)-one;

1-(cyclopentylamino)-3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxyquinolin-2(1*H*)-one;

3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1-{[3-methylcyclopentyl]amino}quinolin-2(1*H*)-one;

25 3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1-(tetrahydro-2*H*-pyran-4-ylamino)quinolin-2(1*H*)-one;

3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-1-{[1-ethylbutyl]amino}-4-hydroxyquinolin-2(1*H*)-one;

30 3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1-{[(3*R*)-3-methylcyclohexyl]amino}quinolin-2(1*H*)-one;

1-(cycloheptylamino)-3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxyquinolin-2(1*H*)-one;

3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-1-{[3-ethylcyclopentyl]amino}-4-hydroxyquinolin-2(1*H*)-one;

35 3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1-{[1-isopropylbutyl]amino}quinolin-2(1*H*)-one;

3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1-{[1-phenylethyl]amino}quinolin-2(1*H*)-one;

3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1-{{1-thien-3-ylethyl]amino}quinolin-2(*H*)-one;

1-{{3,5-dimethylcyclohexyl]amino}-3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxyquinolin-2(*H*)-one;

5 3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1-[(4-isopropylcyclohexyl)amino]quinolin-2(*H*)-one;

3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1-[1,2,3,4-tetrahydronaphthalen-2-ylamino]quinolin-2(*H*)-one;

10 3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1-{{3-(trifluoromethyl)cyclohexyl]amino}quinolin-2(*H*)-one;

1-(butylamino)-3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxyquinolin-2(*H*)-one;

3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1-[(3-methylbutyl)amino]quinolin-2(*H*)-one;

15 3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-1-[(3-furylmethyl)amino]-4-hydroxyquinolin-2(*H*)-one;

3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-1-[(2-furylmethyl)amino]-4-hydroxyquinolin-2(*H*)-one;

20 3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1-[(thien-2-ylmethyl)amino]quinolin-2(*H*)-one;

3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1-[(1,3-thiazol-2-ylmethyl)amino]quinolin-2(*H*)-one;

25 3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-1-{{(2*R*)-2-ethyl-3-methylbutyl]amino}-4-hydroxyquinolin-2(*H*)-one;

3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1-[(4-methylbenzyl)amino]quinolin-2(*H*)-one;

30 3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1-[(3-methylbenzyl)amino]quinolin-2(*H*)-one;

3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1-[(2-methylbenzyl)amino]quinolin-2(*H*)-one;

35 3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1-{{(3-methylthien-2-yl)methyl]amino}quinolin-2(*H*)-one;

3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1-[(4-methoxybenzyl)amino]quinolin-2(*H*)-one;

40 1-{{[(5-chlorothien-2-yl)methyl]amino}-3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxyquinolin-2(*H*)-one;

1-{{[(2-chloro-1,3-thiazol-5-yl)methyl]amino}-3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxyquinolin-2(*H*)-one;

1-[(3-bromobenzyl)amino]-3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxyquinolin-2(1*H*)-one;

1-[(4-bromobenzyl)amino]-3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxyquinolin-2(1*H*)-one;

5 1-[(2-bromobenzyl)amino]-3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxyquinolin-2(1*H*)-one;

10 3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1-[(pyridin-3-ylmethyl)amino]quinolin-2(1*H*)-one;

10 3-({[3-(1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-2-oxoquinolin-1(2*H*)-yl]amino}methyl)benzonitrile;

10 2-({3-[1-(cyclobutylamino)-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl]-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl}oxy)acetamide;

10 2-({3-[1-(cyclopentylamino)-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl]-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl}oxy)acetamide;

15 2-({3-[1-(cyclohexylamino)-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl]-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl}oxy)acetamide;

15 2-[(3-{1-[(cyclopropylmethyl)amino]-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl}-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl)oxy]acetamide;

20 2-({3-[4-hydroxy-1-(isobutylamino)-2-oxo-1,2-dihydroquinolin-3-yl]-1,1-dioxido-4*H*-1,2-benzothiazin-7-yl}oxy)acetamide;

20 2-({3-[1-(butylamino)-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl]-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl}oxy)acetamide;

20 2-[(3-{4-hydroxy-1-[(3-methylbutyl)amino]-2-oxo-1,2-dihydroquinolin-3-yl}-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl)oxy]acetamide;

25 3-(8-amino-7-hydroxy-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-1-(isobutylamino)quinolin-2(1*H*)-one;

25 2-({8-amino-3-[4-hydroxy-1-(isobutylamino)-2-oxo-1,2-dihydroquinolin-3-yl]-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl}oxy)acetamide;

30 2-({3-[4-hydroxy-2-oxo-1-(propylamino)-1,2-dihydroquinolin-3-yl]-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl}oxy)acetamide;

30 2-({3-[4-hydroxy-1-(isobutylamino)-2-oxo-1,2-dihydroquinolin-3-yl]-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl}oxy)propanamide;

30 2-({3-[4-hydroxy-1-(isobutylamino)-2-oxo-1,2-dihydroquinolin-3-yl]-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl}oxy)butanamide;

35 8-amino-3-[4-hydroxy-1-(isobutylamino)-2-oxo-1,2-dihydroquinolin-3-yl]-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl methanesulfonate;

35 1-[(cyclopropylmethyl)amino]-4-hydroxy-3-(7-hydroxy-8-nitro-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-3-yl)quinolin-2(1*H*)-one;

3-(7-{2-[(3S)-3-aminopyrrolidin-1-yl]-2-oxoethoxy}-1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-1-[(cyclopropylmethyl)amino]-4-hydroxyquinolin-2(1H)-one;

2-[(3-{1-[(cyclopropylmethyl)amino]-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl}-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl)oxy]-N-ethylacetamide;

5 [(3-{1-[(cyclopropylmethyl)amino]-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl}-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl)oxy]acetic acid;

3-{7-[2-(3-aminopyrrolidin-1-yl)-2-oxoethoxy]-1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl}-1-[(cyclopropylmethyl)amino]-4-hydroxyquinolin-2(1H)-one;

10 3-(8-amino-7-hydroxy-1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-1-[(cyclopropylmethyl)amino]-4-hydroxyquinolin-2(1H)-one;

2-[(8-amino-3-{1-[(cyclopropylmethyl)amino]-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl}-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl)oxy]acetamide;

[(8-amino-3-{1-[(cyclopropylmethyl)amino]-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl}-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl)oxy]acetonitrile;

15 1-[(cyclopropylmethyl)amino]-4-hydroxy-3-[7-(2-hydroxyethoxy)-1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl]quinolin-2(1H)-one;

1-[(cyclopropylmethyl)amino]-4-hydroxy-3-[7-(1H-imidazol-2-ylmethoxy)-1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl]quinolin-2(1H)-one;

20 1-[(cyclopropylmethyl)amino]-3-[1,1-dioxido-7-(1,3-thiazol-2-ylmethoxy)-4H-1,2,4-benzothiadiazin-3-yl]-4-hydroxyquinolin-2(1H)-one;

1-[(cyclopropylmethyl)amino]-3-[7-(4,5-dihydro-1H-imidazol-2-ylmethoxy)-1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl]-4-hydroxyquinolin-2(1H)-one;

25 2-{{(3-{1-[(cyclopropylmethyl)amino]-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl}-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl)oxy}methyl}-1,3-thiazole-4-carbonitrile;

3-[7-(2-aminoethoxy)-1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl]-1-[(cyclopropylmethyl)amino]-4-hydroxyquinolin-2(1H)-one;

N-{2-[(3-{1-[(cyclopropylmethyl)amino]-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl}-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl)oxy]ethyl}methanesulfonamide;

30 3-{7-[(5-bromopyridin-2-yl)oxy]-1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl}-4-hydroxy-1-(isobutylamino)quinolin-2(1H)-one;

4-hydroxy-1-(isobutylamino)-3-{7-[(3-nitropyridin-2-yl)oxy]-1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl}quinolin-2(1H)-one;

35 tert-butyl 3-{1-[(cyclopropylmethyl)amino]-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl}-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-ylcarbamate;

3-(7-amino-1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-1-[(cyclopropylmethyl)amino]-4-hydroxyquinolin-2(1H)-one;

methyl 2-chloro-6-({3-[4-hydroxy-1-(isobutylamino)-2-oxo-1,2-dihydroquinolin-3-yl]-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl}oxy)isonicotinate;

*N*-{3-[1-(cyclobutylamino)-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl]-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl}methanesulfonamide;  
*N*-(3-{1-[(cyclopropylmethyl)amino]-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl}-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl)methanesulfonamide;  
5      *N*-(3-{1-[(cyclopropylmethyl)amino]-4-hydroxy-2-oxo-1,2-dihydro-3-quinolinyl}-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl)methanesulfonamide;  
    2-{[3-(1-amino-4-hydroxy-2-oxo-1,2-dihydro-3-quinolinyl)-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl]oxy}acetamide;  
    *N*-{3-[1-(cyclobutylamino)-4-hydroxy-2-oxo-1,2-dihydro-3-quinolinyl]-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl}ethanesulfonamide;  
10     benzyl 3-{3-[1-(cyclobutylamino)-4-hydroxy-2-oxo-1,2-dihydro-3-quinolinyl]-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl}diazathiane-1-carboxylate 2,2-dioxide;  
    *N*-{3-[1-(cyclobutylamino)-4-hydroxy-2-oxo-1,2-dihydro-3-quinolinyl]-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl}-*N*<sup>1</sup>-methylsulfamide; and  
15     *N*-{3-[1-(cyclobutylamino)-4-hydroxy-2-oxo-1,2-dihydro-3-quinolinyl]-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl}sulfamide.

19. The compound of claim 1 wherein:

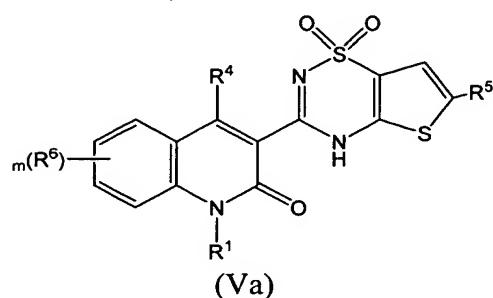
A is heteroaryl; and

20     R<sup>2</sup> and R<sup>3</sup>, together with the carbon atoms to which they are attached form a five- or six-membered ring selected from the group consisting of phenyl, pyridyl, pyrimidinyl, pyridazinyl, thienyl, furanyl, pyrrolyl, pyrazolyl, oxazolyl, thiazolyl, imidazolyl, isoxazolyl, isothiazolyl, triazolyl, thiadiazolyl, tetrazolyl, cyclopentyl and cyclohexyl.

25     20. The compound of claim 19 wherein A is thienyl.

21. The compound of claim 20 wherein R<sup>2</sup> and R<sup>3</sup> together with the carbon atoms to which they are attached form a phenyl ring.

30     22. The compound of claim 1 of formula (Va)



or a pharmaceutically acceptable salt form, stereoisomer or tautomer thereof, wherein:

R<sup>1</sup> is selected from the group consisting of hydrogen, alkenyl, alkoxyalkyl, alkoxycarbonylalkyl, alkyl, alkylcarbonylalkyl, alkylsulfanylalkyl, alkylsulfinylalkyl,  
5 alkylsulfonylalkyl, alkynyl, aryl, arylalkenyl, arylalkyl, arylsulfanylalkyl, arylsulfonylalkyl, carboxyalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, (cycloalkyl)alkenyl, (cycloalkyl)alkyl, formylalkyl, haloalkoxyalkyl, haloalkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heteroarylsulfonylalkyl, heterocycle, heterocyclealkenyl, heterocyclealkyl, hydroxyalkyl, nitroalkyl, R<sub>a</sub>R<sub>b</sub>N-, R<sub>a</sub>R<sub>b</sub>Nalkyl-, R<sub>a</sub>R<sub>b</sub>NC(O)alkyl-, R<sub>a</sub>R<sub>b</sub>NC(O)Oalkyl-,  
10 R<sub>a</sub>R<sub>b</sub>NC(O)NR<sub>c</sub>alkyl-, R<sub>f</sub>R<sub>g</sub>C=N- and R<sub>k</sub>O-, wherein R<sup>1</sup> is substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>e</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>e</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>e</sub>;  
15 R<sup>4</sup> is selected from the group consisting of alkoxy, arylalkoxy, aryloxy, halo, hydroxy, R<sub>a</sub>R<sub>b</sub>N-, N<sub>3</sub>-, R<sub>e</sub>S-, wherein R<sup>4</sup> is substituted with 0, 1 or 2 substituents independently selected from the group consisting of halo, nitro, cyano, -OH, -NH<sub>2</sub>, and -COOH;

20 R<sup>5</sup> is independently selected at each occurrence from the group consisting of alkenyl, alkoxy, alkyl, alkynyl, aryl, arylalkyl, arylcarbonyl, aryloxy, azidoalkyl, formyl, halo, haloalkyl, halocarbonyl, heteroaryl, heteroarylalkyl, heterocycle, heterocyclealkyl, hydroxyalkyl, cycloalkyl, cyano, cyanoalkyl, nitro, R<sub>a</sub>R<sub>b</sub>N-, R<sub>a</sub>C(O)-, R<sub>a</sub>S-, R<sub>a</sub>(O)S-,  
25 R<sub>a</sub>(O)R<sub>b</sub>S-, R<sub>a</sub>R<sub>b</sub>Nalkyl-, R<sub>a</sub>(O)SN(R<sub>f</sub>)-, R<sub>a</sub>SO<sub>2</sub>N(R<sub>f</sub>)-, R<sub>a</sub>(O)SN(R<sub>f</sub>)alkyl-, R<sub>a</sub>SO<sub>2</sub>N(R<sub>f</sub>)alkyl-, R<sub>a</sub>R<sub>b</sub>NSO<sub>2</sub>N(R<sub>f</sub>)-, R<sub>a</sub>R<sub>b</sub>NSO<sub>2</sub>N(R<sub>f</sub>)alkyl-, R<sub>a</sub>R<sub>b</sub>NC(O)-, R<sub>k</sub>OC(O)-, R<sub>k</sub>OC(O)alkyl-, R<sub>k</sub>Oalkyl-, R<sub>a</sub>R<sub>b</sub>NSO<sub>2</sub>-<sub>2</sub>, R<sub>a</sub>R<sub>b</sub>NSO<sub>2</sub>alkyl-, (R<sub>b</sub>O)(R<sub>a</sub>)P(O)O- and -OR<sub>k</sub>, wherein each R<sup>5</sup> is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, heterocyclealkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

35 R<sup>6</sup> is independently selected at each occurrence from the group consisting of alkyl, alkenyl, alkynyl, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, heterocyclealkyl, -(alkyl)(OR<sub>k</sub>), -(alkyl)(NR<sub>a</sub>R<sub>b</sub>), -SR<sub>a</sub>, -S(O)R<sub>a</sub>, -S(O)R<sub>a</sub>, -OR<sub>k</sub>, -N(R<sub>a</sub>)(R<sub>b</sub>), -C(O)R<sub>a</sub>, -C(O)OR<sub>a</sub> and -C(O)NR<sub>a</sub>R<sub>b</sub>; wherein each R<sup>6</sup> is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group

consisting of alkyl, alkenyl, alkynyl, oxo, halo, haloalkyl, cyano, nitro, -OR<sub>a</sub>, -NR<sub>a</sub>R<sub>b</sub>, -SR<sub>a</sub>, -SOR<sub>a</sub>, -SO<sub>2</sub>R<sub>a</sub>, -C(O)OR<sub>a</sub>, -C(O)NR<sub>a</sub>R<sub>b</sub> and -NC(O)R<sub>a</sub>;

R<sub>a</sub> and R<sub>b</sub>, at each occurrence, are independently selected from the group consisting of hydrogen, alkenyl, alkyl, alkylsulfanylalkyl, aryl, arylalkenyl, arylalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, formylalkyl, haloalkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heterocycle, heterocyclealkenyl, heterocyclealkyl, hydroxyalkylcarbonyl, nitroalkyl, R<sub>c</sub>R<sub>d</sub>N-, R<sub>k</sub>O-. R<sub>k</sub>Oalkyl-, R<sub>c</sub>R<sub>d</sub>Nalkyl-, R<sub>c</sub>R<sub>d</sub>NC(O)alkyl-, R<sub>c</sub>SO<sub>2</sub>-, R<sub>c</sub>SO<sub>2</sub>alkyl-, R<sub>c</sub>C(O)-, R<sub>c</sub>C(O)alkyl-, R<sub>c</sub>OC(O)-, R<sub>c</sub>OC(O)alkyl-, R<sub>c</sub>R<sub>d</sub>NalkylC(O)-, R<sub>c</sub>R<sub>d</sub>NC(O)-, R<sub>c</sub>R<sub>d</sub>NC(O)Oalkyl-, R<sub>c</sub>R<sub>d</sub>NC(O)N(R<sub>e</sub>)alkyl-, wherein R<sub>a</sub> and R<sub>b</sub> are substituted with 0, 1 or 2 substituents selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

alternatively, R<sub>a</sub> and R<sub>b</sub>, together with the nitrogen atom to which they are attached form a three- to six-membered ring selected from the group consisting of heteroaryl and heterocycle, wherein the heteroaryl and heterocycle are independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -alkylSO<sub>2</sub>NR<sub>c</sub>R<sub>d</sub>, -alkylC(O)NR<sub>c</sub>R<sub>d</sub>, -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

R<sub>c</sub> and R<sub>d</sub>, at each occurrence, are independently selected from the group consisting of hydrogen, -NR<sub>f</sub>R<sub>h</sub>, -OR<sub>f</sub>, -CO(R<sub>f</sub>), -SR<sub>f</sub>, -SOR<sub>f</sub>, -SO<sub>2</sub>R<sub>f</sub>, -C(O)NR<sub>f</sub>R<sub>h</sub>, -SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, -C(O)OR<sub>f</sub>, alkenyl, alkyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, arylalkyl, haloalkyl, heteroaryl, heteroarylalkyl, heterocycle and heterocyclealkyl; wherein each R<sub>c</sub> and R<sub>d</sub> is independently substituted with 0, 1, 2, or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>f</sub>), -(alkyl)(NR<sub>f</sub>R<sub>h</sub>), -SR<sub>f</sub>, -S(O)R<sub>f</sub>, -S(O)<sub>2</sub>R<sub>f</sub>, -OR<sub>f</sub>, -N(R<sub>f</sub>)(R<sub>h</sub>), -C(O)R<sub>f</sub>, -C(O)OR<sub>f</sub>, -C(O)NR<sub>f</sub>R<sub>h</sub>, -C(O)N(H)NR<sub>f</sub>R<sub>h</sub>, -N(R<sub>e</sub>)C(O)OR<sub>f</sub>, -N(R<sub>e</sub>)SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, -N(R<sub>e</sub>)C(O)NR<sub>f</sub>R<sub>h</sub>, -alkylN(R<sub>c</sub>)C(O)OR<sub>f</sub>, -alkylN(R<sub>e</sub>)SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, and -alkylN(R<sub>e</sub>)C(O)NR<sub>f</sub>R<sub>h</sub>;

alternatively, R<sub>c</sub> and R<sub>d</sub>, together with the nitrogen atom to which they are attached form a three- to six-membered ring selected from the group consisting of heteroaryl and

heterocycle, wherein the heteroaryl and heterocycle are independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>f</sub>), -(alkyl)(NR<sub>f</sub>R<sub>h</sub>), -SR<sub>f</sub>, -S(O)R<sub>f</sub>, -S(O)<sub>2</sub>R<sub>f</sub>, 5 -OR<sub>f</sub>, -N(R<sub>f</sub>)(R<sub>h</sub>), -C(O)R<sub>f</sub>, -C(O)OR<sub>f</sub> and -C(O)NR<sub>f</sub>R<sub>h</sub>;

R<sub>e</sub> is selected from the group consisting of hydrogen, alkenyl, alkyl and cycloalkyl;

R<sub>f</sub>, R<sub>g</sub> and R<sub>h</sub>, at each occurrence, are independently selected from the group 10 consisting of hydrogen, alkyl, alkenyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, heterocycle, heterocyclealkyl, heteroaryl and heteroarylalkyl; wherein each R<sub>f</sub>, R<sub>g</sub> and R<sub>h</sub> is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, cyano, halo, oxo, nitro, aryl, arylalkyl, cycloalkyl, cycloalkenyl, heterocycle, heteroaryl, 15 heteroarylalkyl, -OH, -O(alkyl), -NH<sub>2</sub>, -N(H)(alkyl), -N(alkyl)<sub>2</sub>, -S(alkyl), -S(O)(alkyl), -SO<sub>2</sub>alkyl, -alkyl-OH, -alkyl-O-alkyl, -alkylNH<sub>2</sub>, -alkylN(H)(alkyl), -alkylN(alkyl)<sub>2</sub>, -alkylS(alkyl), -alkylS(O)(alkyl), -alkylSO<sub>2</sub>alkyl, -N(H)C(O)NH<sub>2</sub>, -C(O)OH, -C(O)O(alkyl), -C(O)alkyl, -C(O)NH<sub>2</sub>, -C(O)NH<sub>2</sub>, -C(O)N(H)(alkyl), and -C(O)N(alkyl)<sub>2</sub>;

20 alternatively, R<sub>f</sub> and R<sub>g</sub> together with the carbon atom to which they are attached form a three- to seven-membered ring selected from the group consisting of cycloalkyl, cycloalkenyl and heterocycle;

25 alternatively, R<sub>f</sub> and R<sub>h</sub> together with the nitrogen atom to which they are attached form a three- to seven-membered ring selected from the group consisting of heterocycle and heteroaryl; wherein each of the heterocycle and heteroaryl is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, cyano, halo, oxo, nitro, aryl, arylalkyl, cycloalkyl, cycloalkenyl, heterocycle, heteroaryl, heteroarylalkyl, -OH, -O(alkyl), -NH<sub>2</sub>, -N(H)(alkyl), -N(alkyl)<sub>2</sub>, -S(alkyl), -S(alkyl), -S(O)(alkyl), -alkyl-OH, -alkyl-O-alkyl, -alkylNH<sub>2</sub>, -alkylN(H)(alkyl), -alkylS(alkyl), -alkylS(O)(alkyl), -alkylSO<sub>2</sub>alkyl, -alkylN(alkyl)<sub>2</sub>, -N(H)C(O)NH<sub>2</sub>, -C(O)OH, -C(O)O(alkyl), -C(O)alkyl, -C(O)NH<sub>2</sub>, -C(O)NH<sub>2</sub>, -C(O)N(H)(alkyl), and -C(O)N(alkyl)<sub>2</sub>;

35 R<sub>k</sub> is selected from the group consisting of hydrogen, alkenyl, alkyl, aryl, arylalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkyl, formylalkyl, haloalkyl, heteroaryl, heteroarylalkyl, heterocycle, heterocyclealkyl, nitroalkyl, R<sub>a</sub>R<sub>b</sub>Nalkyl-, R<sub>a</sub>Oalkyl-, R<sub>a</sub>R<sub>b</sub>NC(O)-, R<sub>a</sub>R<sub>b</sub>NC(O)alkyl, R<sub>a</sub>S-, R<sub>a</sub>S(O)-, R<sub>a</sub>SO<sub>2</sub>-, R<sub>a</sub>Salkyl-, R<sub>a</sub>(O)Salkyl-, R<sub>a</sub>SO<sub>2</sub>alkyl-, R<sub>a</sub>OC(O)-, R<sub>a</sub>OC(O)alkyl-, R<sub>a</sub>C(O)-, R<sub>a</sub>C(O)alkyl-, wherein each R<sub>k</sub> is

substituted with 0, 1, 2, or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>; and

5

m is 0, 1, 2, 3, or 4;

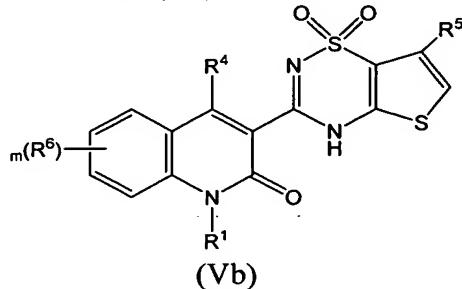
with the proviso that when R<sup>4</sup> is alkoxy, aryloxy, hydroxy or R<sub>c</sub>S-, and R<sup>5</sup> is hydrogen, alkenyl, alkoxy, alkyl, alkynyl, aryl, halo, heteroaryl, heterocyclealkyl, cycloalkyl, cyano, nitro, R<sub>a</sub>R<sub>b</sub>N-, R<sub>a</sub>C(O)-, R<sub>a</sub>S-, R<sub>a</sub>(O)S-, R<sub>a</sub>(O)<sub>2</sub>S-, R<sub>a</sub>SO<sub>2</sub>N(R<sub>f</sub>)-, R<sub>a</sub>R<sub>b</sub>NC(O)-, R<sub>k</sub>OC(O)-, R<sub>a</sub>R<sub>b</sub>NSO<sub>2</sub>- or -OR<sub>k</sub>, and R<sup>6</sup> is hydrogen, alkyl, alkenyl, alkynyl, halo, cyano, nitro, aryl, heteroaryl, heterocyclealkyl, -SR<sub>a</sub>, -S(O)R<sub>a</sub>, -S(O)<sub>2</sub>R<sub>a</sub>, -OR<sub>k</sub>, -N(R<sub>a</sub>)(R<sub>b</sub>), -C(O)R<sub>a</sub>, -C(O)OR<sub>a</sub> and -C(O)NR<sub>a</sub>R<sub>b</sub>, then R<sup>1</sup> is not hydrogen, alkenyl, alkyl, alkynyl, aryl, arylalkenyl, arylalkyl, cycloalkyl, (cycloalkyl)alkenyl, (cycloalkyl)alkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heterocyclealkenyl or heterocyclealkyl.

23. The compound of claim 22 wherein R<sup>4</sup> is hydroxy.

24. The compound of claim 23 wherein R<sup>1</sup> is selected from the group consisting of hydrogen, alkenyl, alkoxyalkyl, alkoxycarbonylalkyl, alkyl, alkynyl, arylalkenyl, arylalkyl, carboxyalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkenyl, cycloalkylalkyl, formylalkyl, haloalkyl, heteroarylalkenyl, heteroarylalkyl, heterocycle, heterocyclealkenyl, heterocyclealkyl, hydroxyalkyl, R<sub>a</sub>R<sub>b</sub>N-, R<sub>a</sub>R<sub>b</sub>Nalkyl-, R<sub>a</sub>R<sub>b</sub>NC(O)alkyl-, R<sub>f</sub>R<sub>g</sub>C=N- and R<sub>k</sub>O-.

25

25. The compound of claim 1 of formula (Vb)



or a pharmaceutically acceptable salt form, stereoisomer or tautomer thereof, wherein:

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R<sup>1</sup> is selected from the group consisting of hydrogen, alkenyl, alkoxyalkyl, alkoxycarbonylalkyl, alkyl, alkylcarbonylalkyl, alkylsulfanylalkyl, alkylsulfinylalkyl, alkylsulfonylalkyl, alkynyl, aryl, arylalkenyl, arylalkyl, arylsulfanylalkyl, arylsulfonylalkyl,

carboxyalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, (cycloalkyl)alkenyl, (cycloalkyl)alkyl, formylalkyl, haloalkoxyalkyl, haloalkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heteroarylsulfonylalkyl, heterocycle, heterocyclealkenyl, heterocyclealkyl, hydroxyalkyl, nitroalkyl,  $R_aR_bN-$ ,  $R_aR_bNalkyl-$ ,  $R_aR_bNC(O)alkyl-$ ,  $R_aR_bNC(O)Oalkyl-$ ,

5  $R_aR_bNC(O)NR_calkyl-$ ,  $R_fR_gC=N-$  and  $R_kO-$ , wherein  $R^1$  is substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>e</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>e</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>e</sub>;

10  $R^4$  is selected from the group consisting of alkoxy, arylalkoxy, aryloxy, halo, hydroxy,  $R_aR_bN-$ ,  $N_3-$ ,  $R_eS-$ , wherein  $R^4$  is substituted with 0, 1 or 2 substituents independently selected from the group consisting of halo, nitro, cyano, -OH, -NH<sub>2</sub>, and -COOH;

15  $R^5$  is independently selected at each occurrence from the group consisting of alkenyl, alkoxy, alkyl, alkynyl, aryl, arylalkyl, arylcarbonyl, aryloxy, azidoalkyl, formyl, halo, haloalkyl, halocarbonyl, heteroaryl, heteroarylalkyl, heterocycle, heterocyclealkyl, hydroxyalkyl, cycloalkyl, cyano, cyanoalkyl, nitro,  $R_aR_bN-$ ,  $R_aC(O)-$ ,  $R_aS-$ ,  $R_a(O)S-$ ,  
20  $R_a(O)_2S-$ ,  $R_aR_bNalkyl-$ ,  $R_a(O)SN(R_f)-$ ,  $R_aSO_2N(R_f)-$ ,  $R_a(O)SN(R_f)alkyl-$ ,  $R_aSO_2N(R_f)alkyl-$ ,  $R_aR_bNSO_2N(R_f)-$ ,  $R_aR_bNSO_2N(R_f)alkyl-$ ,  $R_aR_bNC(O)-$ ,  $R_kOC(O)-$ ,  $R_kOC(O)alkyl-$ ,  $R_kOalkyl-$ ,  $R_aR_bNSO_2-$ ,  $R_aR_bNSO_2alkyl-$ ,  $(R_bO)(R_a)P(O)O-$  and -OR<sub>k</sub>, wherein each  $R^5$  is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

30  $R^6$  is independently selected at each occurrence from the group consisting of alkyl, alkenyl, alkynyl, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, heterocyclealkyl, -(alkyl)(OR<sub>k</sub>), -(alkyl)(NR<sub>a</sub>R<sub>b</sub>), -SR<sub>a</sub>, -S(O)R<sub>a</sub>, -S(O)R<sub>a</sub>, -OR<sub>k</sub>, -N(R<sub>a</sub>)(R<sub>b</sub>), -C(O)R<sub>a</sub>, -C(O)OR<sub>a</sub> and -C(O)NR<sub>a</sub>R<sub>b</sub>; wherein each  $R^6$  is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, haloalkyl, cyano, nitro, -OR<sub>a</sub>, -NR<sub>a</sub>R<sub>b</sub>, -SR<sub>a</sub>, -SOR<sub>a</sub>, -SO<sub>2</sub>R<sub>a</sub>, -C(O)OR<sub>a</sub>, -C(O)NR<sub>a</sub>R<sub>b</sub> and -NC(O)R<sub>a</sub>;

$R_a$  and  $R_b$ , at each occurrence, are independently selected from the group consisting of hydrogen, alkenyl, alkyl, alkylsulfanylalkyl, aryl, arylalkenyl, arylalkyl, cyanoalkyl,

cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, formylalkyl, haloalkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heterocycle, heterocyclealkenyl, heterocyclealkyl, hydroxyalkylcarbonyl, nitroalkyl,  $R_cR_dN-$ ,  $R_kO-$ ,  $R_kOalkyl-$ ,  $R_cR_dNalkyl-$ ,  $R_cR_dNC(O)alkyl-$ ,  $R_cSO_2-$ ,  $R_cSO_2alkyl-$ ,  $R_cC(O)-$ ,  $R_cC(O)alkyl-$ ,  $R_cOC(O)-$ ,  $R_cOC(O)alkyl-$ , 5  $R_cR_dNalkylC(O)-$ ,  $R_cR_dNC(O)-$ ,  $R_cR_dNC(O)Oalkyl-$ ,  $R_cR_dNC(O)N(R_e)alkyl-$ , wherein  $R_a$  and  $R_b$  are substituted with 0, 1 or 2 substituents selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

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alternatively,  $R_a$  and  $R_b$ , together with the nitrogen atom to which they are attached form a three- to six-membered ring selected from the group consisting of heteroaryl and heterocycle, wherein the heteroaryl and heterocycle are independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, 15 oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -alkylSO<sub>2</sub>NR<sub>c</sub>R<sub>d</sub>, -alkylC(O)NR<sub>c</sub>R<sub>d</sub>, -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

20

$R_c$  and  $R_d$ , at each occurrence, are independently selected from the group consisting of hydrogen, -NR<sub>f</sub>R<sub>h</sub>, -OR<sub>f</sub>, -CO(R<sub>f</sub>), -SR<sub>f</sub>, -SOR<sub>f</sub>, -SO<sub>2</sub>R<sub>f</sub>, -C(O)NR<sub>f</sub>R<sub>h</sub>, -SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, -C(O)OR<sub>f</sub>, alkenyl, alkyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, arylalkyl, haloalkyl, heteroaryl, heteroarylalkyl, heterocycle and heterocyclealkyl; wherein each  $R_c$  and  $R_d$  is independently substituted with 0, 1, 2, or 3 25 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>f</sub>), -(alkyl)(NR<sub>f</sub>R<sub>h</sub>), -SR<sub>f</sub>, -S(O)R<sub>f</sub>, -S(O)<sub>2</sub>R<sub>f</sub>, -OR<sub>f</sub>, -N(R<sub>f</sub>)(R<sub>h</sub>), -C(O)R<sub>f</sub>, -C(O)OR<sub>f</sub>, -C(O)NR<sub>f</sub>R<sub>h</sub>, -C(O)N(H)NR<sub>f</sub>R<sub>h</sub>, -N(R<sub>e</sub>)C(O)OR<sub>f</sub>, -N(R<sub>e</sub>)SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, -N(R<sub>e</sub>)C(O)NR<sub>f</sub>R<sub>h</sub>, -alkylN(R<sub>e</sub>)C(O)OR<sub>f</sub>, -alkylN(R<sub>e</sub>)SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, and 30 -alkylN(R<sub>e</sub>)C(O)NR<sub>f</sub>R<sub>h</sub>;

30

alternatively,  $R_c$  and  $R_d$ , together with the nitrogen atom to which they are attached form a three- to six-membered ring selected from the group consisting of heteroaryl and heterocycle, wherein the heteroaryl and heterocycle are independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>f</sub>), -(alkyl)(NR<sub>f</sub>R<sub>h</sub>), -SR<sub>f</sub>, -S(O)R<sub>f</sub>, -S(O)<sub>2</sub>R<sub>f</sub>, -OR<sub>f</sub>, -N(R<sub>f</sub>)(R<sub>h</sub>), -C(O)R<sub>f</sub>, -C(O)OR<sub>f</sub> and -C(O)NR<sub>f</sub>R<sub>h</sub>;

$R_e$  is selected from the group consisting of hydrogen, alkenyl, alkyl and cycloalkyl;

$R_f$ ,  $R_g$  and  $R_h$ , at each occurrence, are independently selected from the group

5 consisting of hydrogen, alkyl, alkenyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, heterocycle, heterocyclealkyl, heteroaryl and heteroarylalkyl; wherein each  $R_f$ ,  $R_g$  and  $R_h$  is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, cyano, halo, oxo, nitro, aryl, arylalkyl, cycloalkyl, cycloalkenyl, heterocycle, heteroaryl, heteroarylalkyl,  $-OH$ ,  $-O(alkyl)$ ,  $-NH_2$ ,  $-N(H)(alkyl)$ ,  $-N(alkyl)_2$ ,  $-S(alkyl)$ ,  $-S(O)(alkyl)$ ,  $-SO_2alkyl$ ,  $-alkyl-OH$ ,  $-alkyl-O-alkyl$ ,  $-alkylNH_2$ ,  $-alkylN(H)(alkyl)$ ,  $-alkylN(alkyl)_2$ ,  $-alkylS(alkyl)$ ,  $-alkylS(O)(alkyl)$ ,  $-alkylSO_2alkyl$ ,  $-N(H)C(O)NH_2$ ,  $-C(O)OH$ ,  $-C(O)O(alkyl)$ ,  $-C(O)alkyl$ ,  $-C(O)NH_2$ ,  $-C(O)N(H)(alkyl)$ , and  $-C(O)N(alkyl)_2$ ;

15 alternatively,  $R_f$  and  $R_g$  together with the carbon atom to which they are attached form a three- to seven-membered ring selected from the group consisting of cycloalkyl, cycloalkenyl and heterocycle;

20 alternatively,  $R_f$  and  $R_h$  together with the nitrogen atom to which they are attached form a three- to seven-membered ring selected from the group consisting of heterocycle and heteroaryl; wherein each of the heterocycle and heteroaryl is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, cyano, halo, oxo, nitro, aryl, arylalkyl, cycloalkyl, cycloalkenyl, heterocycle, heteroaryl, heteroarylalkyl,  $-OH$ ,  $-O(alkyl)$ ,  $-NH_2$ ,  $-N(H)(alkyl)$ ,  $-N(alkyl)_2$ ,  $-S(alkyl)$ ,  $-S(alkyl)$ ,  $-S(O)(alkyl)$ ,  $-alkyl-OH$ ,  $-alkyl-O-alkyl$ ,  $-alkylNH_2$ ,  $-alkylN(H)(alkyl)$ ,  $-alkylS(alkyl)$ ,  $-alkylS(O)(alkyl)$ ,  $-alkylSO_2alkyl$ ,  $-alkylN(alkyl)_2$ ,  $-N(H)C(O)NH_2$ ,  $-C(O)OH$ ,  $-C(O)O(alkyl)$ ,  $-C(O)alkyl$ ,  $-C(O)NH_2$ ,  $-C(O)N(H)(alkyl)$ , and  $-C(O)N(alkyl)_2$ ;

30  $R_k$  is selected from the group consisting of hydrogen, alkenyl, alkyl, aryl, arylalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkyl, formylalkyl, haloalkyl, heteroaryl, heteroarylalkyl, heterocycle, heterocyclealkyl, nitroalkyl,  $R_aR_bNalkyl$ -,  $R_aOalkyl$ -,  $R_aR_bNC(O)$ -,  $R_aR_bNC(O)alkyl$ ,  $R_aS$ -,  $R_aS(O)$ -,  $R_aSO_2$ -,  $R_aSalkyl$ -,  $R_a(O)Salkyl$ -,  $R_aSO_2alkyl$ -,  $R_aOC(O)$ -,  $R_aOC(O)alkyl$ -,  $R_aC(O)$ -,  $R_aC(O)alkyl$ -, wherein each  $R_k$  is substituted with 0, 1, 2, or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl,  $-(alkyl)(OR_c)$ ,  $-(alkyl)(NR_cR_d)$ ,  $-SR_c$ ,  $-S(O)R_c$ ,  $-S(O)_2R_c$ ,  $-OR_c$ ,  $-N(R_c)(R_d)$ ,  $-C(O)R_c$ ,  $-C(O)OR_c$  and  $-C(O)NR_cR_d$ ; and

m is 0, 1, 2, 3, or 4;

with the proviso that when  $R^4$  is hydroxy or  $R_eS^-$ , and  $R^5$  is hydrogen, unsubstituted alkyl, halo or  $-OR_k$ , and  $R^6$  is hydrogen, alkyl, alkenyl, alkynyl, halo, cyano, nitro, aryl, 5 heteroaryl, heterocyclealkyl,  $-SR_a$ ,  $-S(O)R_a$ ,  $-S(O)_2R_a$ ,  $-OR_k$ ,  $-N(R_a)(R_b)$ ,  $-C(O)R_a$ ,  $-C(O)OR_a$  and  $-C(O)NR_aR_b$ , then  $R^1$  is not hydrogen, alkenyl, alkyl, alkynyl, aryl, arylalkenyl, arylalkyl, cycloalkyl, (cycloalkyl)alkenyl, (cycloalkyl)alkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heterocyclealkenyl or heterocyclealkyl.

10 26. The compound of claim 25 wherein  $R^4$  is hydroxy.

27. The compound of claim 26 wherein  $R^1$  is selected from the group consisting of hydrogen, alkenyl, alkoxyalkyl, alkoxy carbonylalkyl, alkyl, alkynyl, arylalkenyl, arylalkyl, carboxyalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkenyl, 15 cycloalkylalkyl, formylalkyl, haloalkyl, heteroarylalkenyl, heteroarylalkyl, heterocycle, heterocyclealkenyl, heterocyclealkyl, hydroxyalkyl,  $R_aR_bN^-$ ,  $R_aR_bNalkyl^-$ ,  $R_aR_bNC(O)alkyl^-$ ,  $R_fR_gC=N^-$  and  $R_kO^-$ .

28. The compound of claim 21 or a pharmaceutically acceptable salt form, stereoisomer or 20 tautomer thereof selected from the group consisting of:

$N$ -({3-[1-(cyclobutylamino)-4-hydroxy-2-oxo-1,2-dihydro-3-quinolinyl]-1,1-dioxido-4H-thieno[2,3-*e*][1,2,4]thiadiazin-7-yl}methyl)urea;

1-benzyl-4-hydroxy-3-{7-[(methoxymethoxy)methyl]-1,1-dioxido-4H-thieno[2,3-*e*][1,2,4]thiadiazin-3-yl}quinolin-2(1*H*)-one;

1-Benzyl-4-hydroxy-3-[7-(hydroxymethyl)-1,1-dioxido-4H-thieno[2,3-*e*][1,2,4]thiadiazin-3-yl]quinolin-2(1*H*)-one;

3-(1-benzyl-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl)-4H-thieno[2,3-*e*][1,2,4]thiadiazine-7-carboxylic acid 1,1-dioxide;

3-(1-benzyl-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl)-4H-thieno[2,3-*e*][1,2,4]thiadiazine-7-carboxamide 1,1-dioxide;

3-(1-benzyl-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl)- $N$ -(2-hydroxyethyl)-4H-thieno[2,3-*e*][1,2,4]thiadiazine-7-carboxamide 1,1-dioxide;

3-(1-benzyl-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl)- $N$ -[(1*S*)-2-hydroxy-1-(aminocarbonyl)ethyl]-4H-thieno[2,3-*e*][1,2,4]thiadiazine-7-carboxamide 1,1-dioxide;

35  $N$ -(2-amino-2-oxoethyl)-3-(1-benzyl-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl)-4H-thieno[2,3-*e*][1,2,4]thiadiazine-7-carboxamide 1,1-dioxide;

3-(1-benzyl-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl)- $N$ -[(1*S*)-2-hydroxy-1-methylethyl]-4H-thieno[2,3-*e*][1,2,4]thiadiazine-7-carboxamide 1,1-dioxide;

3-(1-benzyl-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl)-N,N-bis(2-hydroxyethyl)-4H-thieno[2,3-e][1,2,4]thiadiazine-7-carboxamide 1,1-dioxide;

3-(1-benzyl-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl)-N-[2-hydroxy-1-(hydroxymethyl)ethyl]-4H-thieno[2,3-e][1,2,4]thiadiazine-7-carboxamide 1,1-dioxide;

5 1-benzyl-4-hydroxy-3-(7-{{(3R)-3-hydroxypyrrolidin-1-yl}carbonyl}-1,1-dioxido-4H-thieno[2,3-e][1,2,4]thiadiazin-3-yl)quinolin-2(1H)-one;

3-(1-benzyl-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl)-N-(3-hydroxypropyl)-4H-thieno[2,3-e][1,2,4]thiadiazine-7-carboxamide 1,1-dioxide;

10 3-(1-benzyl-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl)-N-[(2S)-2,3-dihydroxypropyl]-4H-thieno[2,3-e][1,2,4]thiadiazine-7-carboxamide 1,1-dioxide;

3-(1-benzyl-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl)-N-[(1S)-1-(hydroxymethyl)propyl]-4H-thieno[2,3-e][1,2,4]thiadiazine-7-carboxamide 1,1-dioxide;

15 3-(1-benzyl-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl)-N-[(1S)-1-(hydroxymethyl)-2-methylpropyl]-4H-thieno[2,3-e][1,2,4]thiadiazine-7-carboxamide 1,1-dioxide;

3-(1-benzyl-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl)-N-[2-hydroxybutyl]-4H-thieno[2,3-e][1,2,4]thiadiazine-7-carboxamide 1,1-dioxide;

20 3-(1-benzyl-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl)-N-[2-hydroxy-2-(4-hydroxyphenyl)ethyl]-4H-thieno[2,3-e][1,2,4]thiadiazine-7-carboxamide 1,1-dioxide;

1-benzyl-3-[1,1-dioxido-7-(piperazin-1-ylcarbonyl)-4H-thieno[2,3-e][1,2,4]thiadiazin-3-yl]-4-hydroxyquinolin-2(1H)-one;

25 N-[5-(aminocarbonyl)pyridin-2-yl]-3-(1-benzyl-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl)-4H-thieno[2,3-e][1,2,4]thiadiazine-7-carboxamide 1,1-dioxide;

[3-(1-benzyl-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl)-1,1-dioxido-4H-thieno[2,3-e][1,2,4]thiadiazin-7-yl]methyl carbamate;

30 3-[3-(1-benzyl-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl)-1,1-dioxido-4H-thieno[2,3-e][1,2,4]thiadiazin-7-yl]methyl aminocarbonylcarbamate;

3-[7-(azidomethyl)-1,1-dioxido-4H-thieno[2,3-e][1,2,4]thiadiazin-3-yl]-1-benzyl-4-hydroxyquinolin-2(1H)-one;

35 3-[7-(aminomethyl)-1,1-dioxido-4H-thieno[2,3-e][1,2,4]thiadiazin-3-yl]-1-benzyl-4-hydroxyquinolin-2(1H)-one;

N-{{[3-(1-benzyl-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl)-1,1-dioxido-4H-thieno[2,3-e][1,2,4]thiadiazin-7-yl]methyl}methanesulfonamide;

N-{{[3-(1-benzyl-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl)-1,1-dioxido-4H-thieno[2,3-e][1,2,4]thiadiazin-7-yl]methyl}nicotinamide};

45 N-{{[3-(1-benzyl-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl)-1,1-dioxido-4H-thieno[2,3-e][1,2,4]thiadiazin-7-yl]methyl}morpholine-4-carboxamide};

N-{{[3-(1-benzyl-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl)-1,1-dioxido-4H-thieno[2,3-e][1,2,4]thiadiazin-7-yl]methyl}-2-hydroxyacetamide};

1-[(cyclopropylmethyl)amino]-4-hydroxy-3-{7-[(methoxymethoxy)methyl]-1,1-dioxido-4H-thieno[2,3-e][1,2,4]thiadiazin-3-yl}quinolin-2(1H)-one;

1-[(cyclopropylmethyl)amino]-4-hydroxy-3-[7-(hydroxymethyl)-1,1-dioxido-4H-thieno[2,3-e][1,2,4]thiadiazin-3-yl]quinolin-2(1H)-one;

5 N-[(3-{1-[(cyclopropylmethyl)amino]-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl}-1,1-dioxido-4H-thieno[2,3-e][1,2,4]thiadiazin-7-yl)methyl]methanesulfonamide;

N-[(3-{1-[(cyclopropylmethyl)amino]-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl}-1,1-dioxido-4H-thieno[2,3-e][1,2,4]thiadiazin-7-yl)methyl]ethanesulfonamide;

N-[(3-{1-[(cyclopropylmethyl)amino]-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl}-10 1,1-dioxido-4H-thieno[2,3-e][1,2,4]thiadiazin-7-yl)methyl]propane-1-sulfonamide;

N-[(3-{1-[(cyclopropylmethyl)amino]-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl}-1,1-dioxido-4H-thieno[2,3-e][1,2,4]thiadiazin-7-yl)methyl]propane-2-sulfonamide;

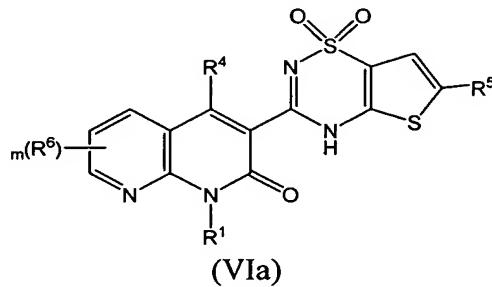
N-[(3-{1-[(cyclopropylmethyl)amino]-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl}-15 1,1-dioxido-4H-thieno[2,3-e][1,2,4]thiadiazin-7-yl)methyl]benzenesulfonamide; and

N-[(3-{1-[(cyclopropylmethyl)amino]-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl}-1,1-dioxido-4H-thieno[2,3-e][1,2,4]thiadiazin-7-yl)methyl]-1-phenylmethanesulfonamide.

29. The compound of claim 20 wherein R<sup>2</sup> and R<sup>3</sup>, together with the carbon atoms to which they are attached form a pyridyl ring.

20

30. The compound of claim 1 of formula (VIa)



25 or a pharmaceutically acceptable salt form, stereoisomer or tautomer thereof, wherein:

R<sup>1</sup> is selected from the group consisting of hydrogen, alkenyl, alkoxyalkyl, alkoxy carbonylalkyl, alkyl, alkylcarbonylalkyl, alkylsulfanylalkyl, alkylsulfinylalkyl, alkylsulfonylalkyl, alkynyl, aryl, arylalkenyl, arylalkyl, arylsulfanylalkyl, arylsulfonylalkyl, 30 carboxyalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, (cycloalkyl)alkenyl, (cycloalkyl)alkyl, formylalkyl, haloalkoxyalkyl, haloalkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heteroarylsulfonylalkyl, heterocycle, heterocyclealkenyl, heterocyclealkyl, hydroxyalkyl, nitroalkyl, R<sub>a</sub>R<sub>b</sub>N-, R<sub>a</sub>R<sub>b</sub>Nalkyl-, R<sub>a</sub>R<sub>b</sub>NC(O)alkyl-, R<sub>a</sub>R<sub>b</sub>NC(O)Oalkyl-,

5  $R_aR_bNC(O)NR_calkyl$ -,  $R_fR_gC=N$ - and  $R_kO$ -, wherein  $R^1$  is substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)( $OR_c$ ), -(alkyl)( $NR_cR_e$ ),  $-SR_c$ ,  $-S(O)R_c$ ,  $-S(O)_2R_c$ ,  $-OR_c$ ,  $-N(R_c)(R_e)$ ,  $-C(O)R_c$ ,  $-C(O)OR_c$  and  $-C(O)NR_cR_e$ ;

10  $R^4$  is selected from the group consisting of alkoxy, arylalkoxy, aryloxy, halo, hydroxy,  $R_aR_bN$ -,  $N_3$ -,  $R_eS$ -, wherein  $R^4$  is substituted with 0, 1 or 2 substituents independently selected from the group consisting of halo, nitro, cyano,  $-OH$ ,  $-NH_2$ , and  $-COOH$ ;

15  $R^5$  is independently selected at each occurrence from the group consisting of alkenyl, alkoxy, alkyl, alkynyl, aryl, arylalkyl, arylcarbonyl, aryloxy, azidoalkyl, formyl, halo, haloalkyl, halocarbonyl, heteroaryl, heteroarylalkyl, heterocycle, heterocyclealkyl,  $R_a(O)_2S$ -,  $R_aR_bNalkyl$ -,  $R_a(O)SN(R_f)$ -,  $R_aSO_2N(R_f)$ -,  $R_a(O)SN(R_f)alkyl$ -,  $R_aSO_2N(R_f)alkyl$ -,  $R_aR_bNSO_2N(R_f)$ -,  $R_aR_bNSO_2N(R_f)alkyl$ -,  $R_aR_bNC(O)$ -,  $R_kOC(O)$ -,  $R_kOC(O)alkyl$ -,  $R_kOalkyl$ -,  $R_aR_bNSO_2$ -,  $R_aR_bNSO_2alkyl$ -,  $(R_bO)(R_a)P(O)O$ - and  $-OR_k$ , wherein each  $R^5$  is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)( $OR_c$ ), -(alkyl)( $NR_cR_d$ ),  $-SR_c$ ,  $-S(O)R_c$ ,  $-S(O)_2R_c$ ,  $-OR_c$ ,  $-N(R_c)(R_d)$ ,  $-C(O)R_c$ ,  $-C(O)OR_c$  and  $-C(O)NR_cR_d$ ;

25  $R^6$  is independently selected at each occurrence from the group consisting of alkyl, alkenyl, alkynyl, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, heterocyclealkyl, -(alkyl)( $OR_k$ ), -(alkyl)( $NR_aR_b$ ),  $-SR_a$ ,  $-S(O)R_a$ ,  $-S(O)_2R_a$ ,  $-OR_k$ ,  $-N(R_a)(R_b)$ ,  $-C(O)R_a$ ,  $-C(O)OR_a$  and  $-C(O)NR_aR_b$ ; wherein each  $R^6$  is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, haloalkyl, cyano, nitro,  $-OR_a$ ,  $-NR_aR_b$ ,  $-SR_a$ ,  $-SOR_a$ ,  $-SO_2R_a$ ,  $-C(O)OR_a$ ,  $-C(O)NR_aR_b$  and  $-NC(O)R_a$ ;

35  $R_a$  and  $R_b$ , at each occurrence, are independently selected from the group consisting of hydrogen, alkenyl, alkyl, alkylsulfanylalkyl, aryl, arylalkenyl, arylalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, formylalkyl, haloalkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heterocycle, heterocyclealkenyl, heterocyclealkyl, hydroxyalkylcarbonyl, nitroalkyl,  $R_cR_dN$ -,  $R_kO$ -,  $R_kOalkyl$ -,  $R_cR_dNalkyl$ -,  $R_cR_dNC(O)alkyl$ -,  $R_cSO_2$ -,  $R_cSO_2alkyl$ -,  $R_cC(O)$ -,  $R_cC(O)alkyl$ -,  $R_cOC(O)$ -,  $R_cOC(O)alkyl$ -,

5  $R_c R_d$  NalkylC(O)-,  $R_c R_d$  NC(O)-,  $R_c R_d$  NC(O)Oalkyl-,  $R_c R_d$  NC(O)N( $R_e$ )alkyl-, wherein  $R_a$  and  $R_b$  are substituted with 0, 1 or 2 substituents selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N( $R_c$ )(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

alternatively,  $R_a$  and  $R_b$ , together with the nitrogen atom to which they are attached form a three- to six-membered ring selected from the group consisting of heteroaryl and heterocycle, wherein the heteroaryl and heterocycle are independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -alkylSO<sub>2</sub>NR<sub>c</sub>R<sub>d</sub>, -alkylC(O)NR<sub>c</sub>R<sub>d</sub>, -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N( $R_c$ )(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

15  $R_c$  and  $R_d$ , at each occurrence, are independently selected from the group consisting of hydrogen, -NR<sub>f</sub>R<sub>h</sub>, -OR<sub>f</sub>, -CO(R<sub>f</sub>), -SR<sub>f</sub>, -SOR<sub>f</sub>, -SO<sub>2</sub>R<sub>f</sub>, -C(O)NR<sub>f</sub>R<sub>h</sub>, -SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, -C(O)OR<sub>f</sub>, alkenyl, alkyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, arylalkyl, haloalkyl, heteroaryl, heteroarylalkyl, heterocycle and heterocyclealkyl; wherein each  $R_c$  and  $R_d$  is independently substituted with 0, 1, 2, or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>f</sub>), -(alkyl)(NR<sub>f</sub>R<sub>h</sub>), -SR<sub>f</sub>, -S(O)R<sub>f</sub>, -S(O)<sub>2</sub>R<sub>f</sub>, -OR<sub>f</sub>, -N( $R_f$ )(R<sub>h</sub>), -C(O)R<sub>f</sub>, -C(O)OR<sub>f</sub>, -C(O)NR<sub>f</sub>R<sub>h</sub>, -C(O)N(H)NR<sub>f</sub>R<sub>h</sub>, -N( $R_e$ )C(O)OR<sub>f</sub>, -N( $R_e$ )SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, -N( $R_e$ )C(O)NR<sub>f</sub>R<sub>h</sub>, -alkylN( $R_e$ )C(O)OR<sub>f</sub>, -alkylN( $R_e$ )SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, and -alkylN( $R_e$ )C(O)NR<sub>f</sub>R<sub>h</sub>;

30 alternatively,  $R_c$  and  $R_d$ , together with the nitrogen atom to which they are attached form a three- to six-membered ring selected from the group consisting of heteroaryl and heterocycle, wherein the heteroaryl and heterocycle are independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>f</sub>), -(alkyl)(NR<sub>f</sub>R<sub>h</sub>), -SR<sub>f</sub>, -S(O)R<sub>f</sub>, -S(O)<sub>2</sub>R<sub>f</sub>, -OR<sub>f</sub>, -N( $R_f$ )(R<sub>h</sub>), -C(O)R<sub>f</sub>, -C(O)OR<sub>f</sub> and -C(O)NR<sub>f</sub>R<sub>h</sub>;

35  $R_e$  is selected from the group consisting of hydrogen, alkenyl, alkyl and cycloalkyl;

$R_f$ ,  $R_g$  and  $R_h$ , at each occurrence, are independently selected from the group

consisting of hydrogen, alkyl, alkenyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, heterocycle, heterocyclealkyl, heteroaryl and heteroarylalkyl; wherein each  $R_f$ ,  $R_g$  and  $R_h$  is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, 5 cyano, halo, oxo, nitro, aryl, arylalkyl, cycloalkyl, cycloalkenyl, heterocycle, heteroaryl, heteroarylalkyl,  $-OH$ ,  $-O(alkyl)$ ,  $-NH_2$ ,  $-N(H)(alkyl)$ ,  $-N(alkyl)_2$ ,  $-S(alkyl)$ ,  $-S(O)(alkyl)$ ,  $-SO_2alkyl$ ,  $-alkyl-OH$ ,  $-alkyl-O-alkyl$ ,  $-alkylNH_2$ ,  $-alkylN(H)(alkyl)$ ,  $-alkylN(alkyl)_2$ ,  $-alkylS(alkyl)$ ,  $-alkylS(O)(alkyl)$ ,  $-alkylSO_2alkyl$ ,  $-N(H)C(O)NH_2$ ,  $-C(O)OH$ ,  $-C(O)O(alkyl)$ ,  $-C(O)alkyl$ ,  $-C(O)NH_2$ ,  $-C(O)NH_2$ ,  $-C(O)N(H)(alkyl)$ , and  $-C(O)N(alkyl)_2$ ;

10

alternatively,  $R_f$  and  $R_g$  together with the carbon atom to which they are attached form a three- to seven-membered ring selected from the group consisting of cycloalkyl, cycloalkenyl and heterocycle;

15

alternatively,  $R_f$  and  $R_h$  together with the nitrogen atom to which they are attached form a three- to seven-membered ring selected from the group consisting of heterocycle and heteroaryl; wherein each of the heterocycle and heteroaryl is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, cyano, halo, oxo, nitro, aryl, arylalkyl, cycloalkyl, cycloalkenyl, heterocycle, 20 heteroaryl, heteroarylalkyl,  $-OH$ ,  $-O(alkyl)$ ,  $-NH_2$ ,  $-N(H)(alkyl)$ ,  $-N(alkyl)_2$ ,  $-S(alkyl)$ ,  $-S(alkyl)$ ,  $-S(O)(alkyl)$ ,  $-alkyl-OH$ ,  $-alkyl-O-alkyl$ ,  $-alkylNH_2$ ,  $-alkylN(H)(alkyl)$ ,  $-alkylS(alkyl)$ ,  $-alkylS(O)(alkyl)$ ,  $-alkylSO_2alkyl$ ,  $-alkylN(alkyl)_2$ ,  $-N(H)C(O)NH_2$ ,  $-C(O)OH$ ,  $-C(O)O(alkyl)$ ,  $-C(O)alkyl$ ,  $-C(O)NH_2$ ,  $-C(O)NH_2$ ,  $-C(O)N(H)(alkyl)$ , and  $-C(O)N(alkyl)_2$ ;

25

$R_k$  is selected from the group consisting of hydrogen, alkenyl, alkyl, aryl, arylalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkyl, formylalkyl, haloalkyl, heteroaryl, heteroarylalkyl, heterocycle, heterocyclealkyl, nitroalkyl,  $R_aR_bNalkyl$ -,  $R_aOalkyl$ -,  $R_aR_bNC(O)-$ ,  $R_aR_bNC(O)alkyl$ ,  $R_aS-$ ,  $R_aS(O)-$ ,  $R_aSO_2-$ ,  $R_aSalkyl$ -,  $R_a(O)Salkyl$ -,  $R_aSO_2alkyl$ -,  $R_aOC(O)-$ ,  $R_aOC(O)alkyl$ -,  $R_aC(O)-$ ,  $R_aC(O)alkyl$ -, wherein each  $R_k$  is 30 substituted with 0, 1, 2, or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl,  $-(alkyl)(OR_c)$ ,  $-(alkyl)(NR_cR_d)$ ,  $-SR_c$ ,  $-S(O)R_c$ ,  $-S(O)_2R_c$ ,  $-OR_c$ ,  $-N(R_c)(R_d)$ ,  $-C(O)R_c$ ,  $-C(O)OR_c$  and  $-C(O)NR_cR_d$ ; and

35

$m$  is 0, 1, 2, 3, or 4;

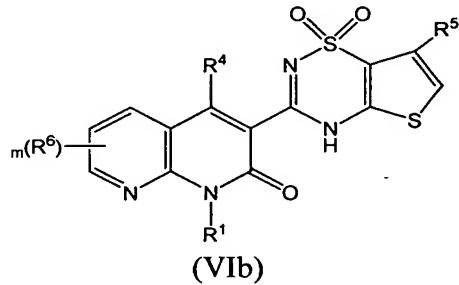
with the proviso that  $R^4$  is alkoxy, aryloxy, hydroxy or  $R_eS-$ , and  $R^5$  is hydrogen, alkenyl, alkoxy, alkyl, alkynyl, aryl, halo, heteroaryl, heterocyclealkyl, cycloalkyl, cyano,

nitro,  $R_aR_bN$ -,  $R_aC(O)$ -,  $R_aS$ -,  $R_a(O)S$ -,  $R_a(O)_2S$ -,  $R_aSO_2N(R_f)$ -,  $R_aR_bNC(O)$ -,  $R_kOC(O)$ -,  $R_aR_bNSO_2$ - or  $-OR_k$ , and  $R^6$  is hydrogen, alkyl, alkenyl, alkynyl, halo, cyano, nitro, aryl, heteroaryl, heterocyclealkyl,  $-SR_a$ ,  $-S(O)R_a$ ,  $-S(O)_2R_a$ ,  $-OR_k$ ,  $-N(R_a)(R_b)$ ,  $-C(O)R_a$ ,  $-C(O)OR_a$  and  $-C(O)NR_aR_b$ , then  $R^1$  is not hydrogen, alkenyl, alkyl, alkynyl, aryl, arylalkenyl, arylalkyl, 5 cycloalkyl, (cycloalkyl)alkenyl, (cycloalkyl)alkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heterocyclealkenyl or heterocyclealkyl.

31. The compound of claim 30 wherein  $R^4$  is hydroxy.

10 32. The compound of claim 31 wherein  $R^1$  is selected from the group consisting of hydrogen, alkenyl, alkoxyalkyl, alkoxy carbonylalkyl, alkyl, alkynyl, arylalkenyl, arylalkyl, carboxyalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkenyl, cycloalkylalkyl, formylalkyl, haloalkyl, heteroarylalkenyl, heteroarylalkyl, heterocycle, heterocyclealkenyl, heterocyclealkyl, hydroxyalkyl,  $R_aR_bN$ -,  $R_aR_bNalkyl$ -,  $R_aR_bNC(O)alkyl$ -, 15  $R_fR_gC=N$ - and  $R_kO$ -.

33. The compound of claim 1 of formula (VIb)



20 or a pharmaceutically acceptable salt form, stereoisomer or tautomer thereof, wherein:

$R^1$  is selected from the group consisting of hydrogen, alkenyl, alkoxyalkyl, alkoxy carbonylalkyl, alkyl, alkylcarbonylalkyl, alkylsulfanylalkyl, alkylsulfinylalkyl, 25 alkylsulfonylalkyl, alkynyl, aryl, arylalkenyl, arylalkyl, arylsulfanylalkyl, arylsulfonylalkyl, carboxyalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, (cycloalkyl)alkenyl, (cycloalkyl)alkyl, formylalkyl, haloalkoxyalkyl, haloalkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heteroarylsulfonylalkyl, heterocycle, heterocyclealkenyl, heterocyclealkyl, hydroxyalkyl, nitroalkyl,  $R_aR_bN$ -,  $R_aR_bNalkyl$ -,  $R_aR_bNC(O)alkyl$ -,  $R_aR_bNC(O)Oalkyl$ -, 30  $R_aR_bNC(O)NR_calkyl$ -,  $R_fR_gC=N$ - and  $R_kO$ -, wherein  $R^1$  is substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl,  $-(alkyl)(OR_c)$ ,  $-(alkyl)(NR_cR_e)$ ,  $-SR_c$ ,  $-S(O)R_c$ ,  $-S(O)_2R_c$ ,

-OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>e</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>e</sub>;

R<sup>4</sup> is selected from the group consisting of alkoxy, arylalkoxy, aryloxy, halo, hydroxy, R<sub>a</sub>R<sub>b</sub>N-, N<sub>3</sub>-, R<sub>e</sub>S-, wherein R<sup>4</sup> is substituted with 0, 1 or 2 substituents

5 independently selected from the group consisting of halo, nitro, cyano, -OH, -NH<sub>2</sub>, and -COOH;

R<sup>5</sup> is independently selected at each occurrence from the group consisting of alkenyl, alkoxy, alkyl, alkynyl, aryl, arylalkyl, arylcarbonyl, aryloxy, azidoalkyl, formyl, halo,

10 haloalkyl, halocarbonyl, heteroaryl, heteroarylalkyl, heterocycle, heterocyclealkyl, hydroxyalkyl, cycloalkyl, cyano, cyanoalkyl, nitro, R<sub>a</sub>R<sub>b</sub>N-, R<sub>a</sub>C(O)-, R<sub>a</sub>S-, R<sub>a</sub>(O)S-, R<sub>a</sub>(O)S-, R<sub>a</sub>R<sub>b</sub>Nalkyl-, R<sub>a</sub>(O)SN(R<sub>f</sub>)-, R<sub>a</sub>SO<sub>2</sub>N(R<sub>f</sub>)-, R<sub>a</sub>(O)SN(R<sub>f</sub>)alkyl-, R<sub>a</sub>SO<sub>2</sub>N(R<sub>f</sub>)alkyl-, R<sub>a</sub>R<sub>b</sub>NSO<sub>2</sub>N(R<sub>f</sub>)-, R<sub>a</sub>R<sub>b</sub>NSO<sub>2</sub>N(R<sub>f</sub>)alkyl-, R<sub>a</sub>R<sub>b</sub>NC(O)-, R<sub>k</sub>OC(O)-, R<sub>k</sub>OC(O)alkyl-, R<sub>k</sub>Oalkyl-, R<sub>a</sub>R<sub>b</sub>NSO<sub>2</sub>-,

15 R<sub>a</sub>R<sub>b</sub>NSO<sub>2</sub>alkyl-, (R<sub>b</sub>O)(R<sub>a</sub>)P(O)O- and -OR<sub>k</sub>, wherein each R<sup>5</sup> is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

20

R<sup>6</sup> is independently selected at each occurrence from the group consisting of alkyl, alkenyl, alkynyl, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, heterocyclealkyl, -(alkyl)(OR<sub>k</sub>), -(alkyl)(NR<sub>a</sub>R<sub>b</sub>), -SR<sub>a</sub>, -S(O)R<sub>a</sub>, -S(O)<sub>2</sub>R<sub>a</sub>, -OR<sub>k</sub>, -N(R<sub>a</sub>)(R<sub>b</sub>), -C(O)R<sub>a</sub>, -C(O)OR<sub>a</sub> and -C(O)NR<sub>a</sub>R<sub>b</sub>; wherein each R<sup>6</sup> is

25 independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, haloalkyl, cyano, nitro, -OR<sub>a</sub>, -NR<sub>a</sub>R<sub>b</sub>, -SR<sub>a</sub>, -SOR<sub>a</sub>, -SO<sub>2</sub>R<sub>a</sub>, -C(O)OR<sub>a</sub>, -C(O)NR<sub>a</sub>R<sub>b</sub> and -NC(O)R<sub>a</sub>;

R<sub>a</sub> and R<sub>b</sub>, at each occurrence, are independently selected from the group consisting of hydrogen, alkenyl, alkyl, alkylsulfanylalkyl, aryl, arylalkenyl, arylalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, formylalkyl, haloalkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heterocycle, heterocyclealkenyl, heterocyclealkyl, hydroxyalkylcarbonyl, nitroalkyl, R<sub>c</sub>R<sub>d</sub>N-, R<sub>k</sub>O-. R<sub>k</sub>Oalkyl-, R<sub>c</sub>R<sub>d</sub>Nalkyl-, R<sub>c</sub>R<sub>d</sub>NC(O)alkyl-, R<sub>c</sub>SO<sub>2</sub>-, R<sub>c</sub>SO<sub>2</sub>alkyl-, R<sub>c</sub>C(O)-, R<sub>c</sub>C(O)alkyl-, R<sub>c</sub>OC(O)-, R<sub>c</sub>OC(O)alkyl-, R<sub>c</sub>R<sub>d</sub>NalkylC(O)-, R<sub>c</sub>R<sub>d</sub>NC(O)-, R<sub>c</sub>R<sub>d</sub>NC(O)Oalkyl-, R<sub>c</sub>R<sub>d</sub>NC(O)N(R<sub>e</sub>)alkyl-, wherein R<sub>a</sub> and R<sub>b</sub> are substituted with 0, 1 or 2 substituents selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>,

-S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

alternatively, R<sub>a</sub> and R<sub>b</sub>, together with the nitrogen atom to which they are attached form a three- to six-membered ring selected from the group consisting of heteroaryl and heterocycle, wherein the heteroaryl and heterocycle are independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -alkylSO<sub>2</sub>NR<sub>c</sub>R<sub>d</sub>, -alkylC(O)NR<sub>c</sub>R<sub>d</sub>, -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

R<sub>c</sub> and R<sub>d</sub>, at each occurrence, are independently selected from the group consisting of hydrogen, -NR<sub>f</sub>R<sub>h</sub>, -OR<sub>f</sub>, -CO(R<sub>f</sub>), -SR<sub>f</sub>, -SOR<sub>f</sub>, -SO<sub>2</sub>R<sub>f</sub>, -C(O)NR<sub>f</sub>R<sub>h</sub>, -SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, -C(O)OR<sub>f</sub>, alkenyl, alkyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, arylalkyl, haloalkyl, heteroaryl, heteroarylalkyl, heterocycle and heterocyclealkyl; wherein each R<sub>c</sub> and R<sub>d</sub> is independently substituted with 0, 1, 2, or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>f</sub>), -(alkyl)(NR<sub>f</sub>R<sub>h</sub>), -SR<sub>f</sub>, -S(O)R<sub>f</sub>, -S(O)<sub>2</sub>R<sub>f</sub>, -OR<sub>f</sub>, -N(R<sub>f</sub>)(R<sub>h</sub>), -C(O)R<sub>f</sub>, -C(O)OR<sub>f</sub>, -C(O)NR<sub>f</sub>R<sub>h</sub>, -C(O)N(H)NR<sub>f</sub>R<sub>h</sub>, -N(R<sub>e</sub>)C(O)OR<sub>f</sub>, -N(R<sub>e</sub>)SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, -N(R<sub>e</sub>)C(O)NR<sub>f</sub>R<sub>h</sub>, -alkylN(R<sub>e</sub>)C(O)OR<sub>f</sub>, -alkylN(R<sub>e</sub>)SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, and -alkylN(R<sub>e</sub>)C(O)NR<sub>f</sub>R<sub>h</sub>;

alternatively, R<sub>c</sub> and R<sub>d</sub>, together with the nitrogen atom to which they are attached form a three- to six-membered ring selected from the group consisting of heteroaryl and heterocycle, wherein the heteroaryl and heterocycle are independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>f</sub>), -(alkyl)(NR<sub>f</sub>R<sub>h</sub>), -SR<sub>f</sub>, -S(O)R<sub>f</sub>, -S(O)<sub>2</sub>R<sub>f</sub>, -OR<sub>f</sub>, -N(R<sub>f</sub>)(R<sub>h</sub>), -C(O)R<sub>f</sub>, -C(O)OR<sub>f</sub> and -C(O)NR<sub>f</sub>R<sub>h</sub>;

R<sub>e</sub> is selected from the group consisting of hydrogen, alkenyl, alkyl and cycloalkyl;

R<sub>f</sub>, R<sub>g</sub> and R<sub>h</sub>, at each occurrence, are independently selected from the group consisting of hydrogen, alkyl, alkenyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, heterocycle, heterocyclealkyl, heteroaryl and heteroarylalkyl; wherein each R<sub>f</sub>, R<sub>g</sub> and R<sub>h</sub> is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl,

cyano, halo, oxo, nitro, aryl, arylalkyl, cycloalkyl, cycloalkenyl, heterocycle, heteroaryl, heteroarylalkyl, -OH, -O(alkyl), -NH<sub>2</sub>, -N(H)(alkyl), -N(alkyl)<sub>2</sub>, -S(alkyl), -S(O)(alkyl), -SO<sub>2</sub>alkyl, -alkyl-OH, -alkyl-O-alkyl, -alkylNH<sub>2</sub>, -alkylN(H)(alkyl), -alkylN(alkyl)<sub>2</sub>, -alkylS(alkyl), -alkylS(O)(alkyl), -alkylSO<sub>2</sub>alkyl, -N(H)C(O)NH<sub>2</sub>, -C(O)OH, -C(O)O(alkyl), 5 -C(O)alkyl, -C(O)NH<sub>2</sub>, -C(O)N(H)(alkyl), and -C(O)N(alkyl)<sub>2</sub>;

alternatively, R<sub>f</sub> and R<sub>g</sub> together with the carbon atom to which they are attached form a three- to seven-membered ring selected from the group consisting of cycloalkyl, cycloalkenyl and heterocycle;

10 alternatively, R<sub>f</sub> and R<sub>h</sub> together with the nitrogen atom to which they are attached form a three- to seven-membered ring selected from the group consisting of heterocycle and heteroaryl; wherein each of the heterocycle and heteroaryl is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, 15 alkynyl, cyano, halo, oxo, nitro, aryl, arylalkyl, cycloalkyl, cycloalkenyl, heterocycle, heteroaryl, heteroarylalkyl, -OH, -O(alkyl), -NH<sub>2</sub>, -N(H)(alkyl), -N(alkyl)<sub>2</sub>, -S(alkyl), -S(O)(alkyl), -alkyl-OH, -alkyl-O-alkyl, -alkylNH<sub>2</sub>, -alkylN(H)(alkyl), -alkylS(alkyl), -alkylS(O)(alkyl), -alkylSO<sub>2</sub>alkyl, -alkylN(alkyl)<sub>2</sub>, -N(H)C(O)NH<sub>2</sub>, -C(O)OH, -C(O)O(alkyl), -C(O)alkyl, -C(O)NH<sub>2</sub>, -C(O)N(H)(alkyl), and -C(O)N(alkyl)<sub>2</sub>;

20 R<sub>k</sub> is selected from the group consisting of hydrogen, alkenyl, alkyl, aryl, arylalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkyl, formylalkyl, haloalkyl, heteroaryl, heteroarylalkyl, heterocycle, heterocyclealkyl, nitroalkyl, R<sub>a</sub>R<sub>b</sub>Nalkyl-, R<sub>a</sub>Oalkyl-, R<sub>a</sub>R<sub>b</sub>NC(O)-, R<sub>a</sub>R<sub>b</sub>NC(O)alkyl, R<sub>a</sub>S-, R<sub>a</sub>S(O)-, R<sub>a</sub>SO<sub>2</sub>-, R<sub>a</sub>Salkyl-, R<sub>a</sub>(O)Salkyl-, 25 R<sub>a</sub>SO<sub>2</sub>alkyl-, R<sub>a</sub>OC(O)-, R<sub>a</sub>OC(O)alkyl-, R<sub>a</sub>C(O)-, R<sub>a</sub>C(O)alkyl-, wherein each R<sub>k</sub> is substituted with 0, 1, 2, or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>; and

30 m is 0, 1, 2, 3, or 4;

with the proviso that when R<sup>4</sup> is hydroxy or R<sub>c</sub>S-, and R<sup>5</sup> is hydrogen, unsubstituted alkyl, halo or -OR<sub>k</sub>, and R<sup>6</sup> is hydrogen, alkyl, alkenyl, alkynyl, halo, cyano, nitro, aryl, 35 heteroaryl, heterocyclealkyl, -SR<sub>a</sub>, -S(O)R<sub>a</sub>, -S(O)<sub>2</sub>R<sub>a</sub>, -OR<sub>k</sub>, -N(R<sub>a</sub>)(R<sub>b</sub>), -C(O)R<sub>a</sub>, -C(O)OR<sub>a</sub> and -C(O)NR<sub>a</sub>R<sub>b</sub>, then R<sup>1</sup> is not hydrogen, alkenyl, alkyl, alkynyl, aryl, arylalkenyl, arylalkyl, cycloalkyl, (cycloalkyl)alkenyl, (cycloalkyl)alkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heterocyclealkenyl or heterocyclealkyl.

34. The compound of claim 33 wherein  $R^4$  is hydroxy.

35. The compound of claim 34 wherein  $R^1$  is selected from the group consisting of hydrogen, 5 alkenyl, alkoxyalkyl, alkoxy carbonylalkyl, alkyl, alkynyl, arylalkenyl, arylalkyl, carboxyalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkenyl, cycloalkylalkyl, formylalkyl, haloalkyl, heteroarylalkenyl, heteroarylalkyl, heterocycle, heterocyclealkenyl, heterocyclealkyl, hydroxyalkyl,  $R_aR_bN-$ ,  $R_aR_bNalkyl-$ ,  $R_aR_bNC(O)alkyl-$ ,  $R_fR_gC=N-$  and  $R_kO-$ .

10

36. The compound of claim 29 or a pharmaceutically acceptable salt form, stereoisomer or tautomer thereof selected from the group consisting of:

1-butyl-4-hydroxy-3-[(7-methoxymethoxy)methyl]-1,1-dioxido-4*H*-thieno[2,3-*e*][1,2,4]thiadiazin-3-yl]-1,8-naphthyridin-2(1*H*)-one;

15 1-butyl-4-hydroxy-3-[7-(hydroxymethyl)-1,1-dioxido-4*H*-thieno[2,3-  
e][1,2,4]thiadiazin-3-yl]-1,8-naphthyridin-2(1*H*)-one;

methyl 3-(1-benzyl-4-hydroxy-2-oxo-1,2-dihydro-1,8-naphthyridin-3-yl)-4H-thieno[2,3-*e*][1,2,4]thiadiazine-7-carboxylate 1,1-dioxide;

## 4-hydroxy-3-{7-[(methoxymethoxy)methyl]-1,1-dioxido-4*H*-thieno[2,3-

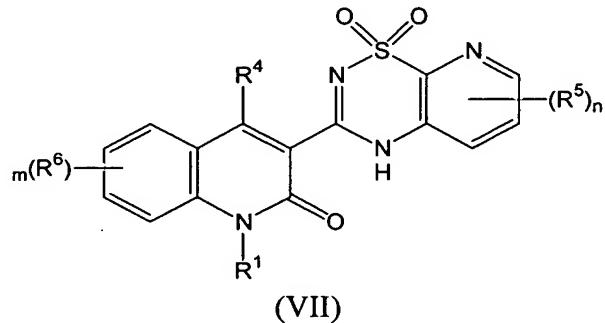
20 *e*][1,2,4]thiadiazin-3-yl}-1-(3-methylbutyl)-1,8-naphthyridin-2(1*H*)-one; and

4-hydroxy-3-[7-(hydroxymethyl)-1,1-dioxido-4*H*-thieno[2,3-*e*][1,2,4]thiadiazin-3-yl]-1-(3-methylbutyl)-1,8-naphthyridin-2(1*H*)-one.

37. The compound of claim 19 wherein A is pyridyl.

25

### 38. The compound of claim 1 of formula (VII)



30 or a pharmaceutically acceptable salt form, stereoisomer or tautomer thereof, wherein:

$R^1$  is selected from the group consisting of hydrogen, alkenyl, alkoxyalkyl, alkoxy carbonylalkyl, alkyl, alkyl carbonylalkyl, alkylsulfanylalkyl, alkylsulfinylalkyl,

alkylsulfonylalkyl, alkynyl, aryl, arylalkenyl, arylalkyl, arylsulfanylalkyl, arylsulfonylalkyl, carboxyalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, (cycloalkyl)alkenyl, (cycloalkyl)alkyl, formylalkyl, haloalkoxyalkyl, haloalkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heteroarylsulfonylalkyl, heterocycle, heterocyclealkenyl, heterocyclealkyl,

5 hydroxyalkyl, nitroalkyl,  $R_aR_bN$ -,  $R_aR_bNalkyl$ -,  $R_aR_bNC(O)alkyl$ -,  $R_aR_bNC(O)Oalkyl$ -,  $R_aR_bNC(O)NR_calkyl$ -,  $R_fR_gC=N$ - and  $R_kO$ -, wherein  $R^1$  is substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)( $OR_c$ ), -(alkyl)( $NR_cR_e$ ),  $-SR_c$ ,  $-S(O)R_c$ ,  $-S(O)_2R_c$ ,  $-OR_c$ ,  $-N(R_c)(R_e)$ ,  $-C(O)R_c$ ,  $-C(O)OR_c$  and  $-C(O)NR_cR_e$ ;

10  $R^4$  is selected from the group consisting of alkoxy, arylalkoxy, aryloxy, halo, hydroxy,  $R_aR_bN$ -,  $N_3$ -,  $R_eS$ -, wherein  $R^4$  is substituted with 0, 1 or 2 substituents independently selected from the group consisting of halo, nitro, cyano,  $-OH$ ,  $-NH_2$ , and  $-COOH$ ;

15  $R^5$  is independently selected at each occurrence from the group consisting of alkenyl, alkoxy, alkyl, alkynyl, aryl, arylalkyl, arylcarbonyl, aryloxy, azidoalkyl, formyl, halo, haloalkyl, halocarbonyl, heteroaryl, heteroarylalkyl, heterocycle, heterocyclealkyl,

20 hydroxyalkyl, cycloalkyl, cyano, cyanoalkyl, nitro,  $R_aR_bN$ -,  $R_aC(O)$ -,  $R_aS$ -,  $R_a(O)S$ -,  $R_a(O)_2S$ -,  $R_aR_bNalkyl$ -,  $R_a(O)SN(R_f)$ -,  $R_aSO_2N(R_f)$ -,  $R_a(O)SN(R_f)alkyl$ -,  $R_aSO_2N(R_f)alkyl$ -,  $R_aR_bNSO_2N(R_f)$ -,  $R_aR_bNSO_2N(R_f)alkyl$ -,  $R_aR_bNC(O)$ -,  $R_kOC(O)$ -,  $R_kOC(O)alkyl$ -,  $R_kOalkyl$ -,  $R_aR_bNSO_2$ -,  $R_aR_bNSO_2alkyl$ -,  $(R_bO)(R_a)P(O)O$ - and  $-OR_k$ , wherein each  $R^5$  is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)( $OR_c$ ), -(alkyl)( $NR_cR_d$ ),  $-SR_c$ ,  $-S(O)R_c$ ,  $-S(O)_2R_c$ ,  $-OR_c$ ,  $-N(R_c)(R_d)$ ,  $-C(O)R_c$ ,  $-C(O)OR_c$  and  $-C(O)NR_cR_d$ ;

30  $R^6$  is independently selected at each occurrence from the group consisting of alkyl, alkenyl, alkynyl, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, heterocyclealkyl, -(alkyl)( $OR_k$ ), -(alkyl)( $NR_aR_b$ ),  $-SR_a$ ,  $-S(O)R_a$ ,  $-S(O)_2R_a$ ,  $-OR_k$ ,  $-N(R_a)(R_b)$ ,  $-C(O)R_a$ ,  $-C(O)OR_a$  and  $-C(O)NR_aR_b$ ; wherein each  $R^6$  is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, haloalkyl, cyano, nitro,  $-OR_a$ ,  $-NR_aR_b$ ,  $-SR_a$ ,  $-SOR_a$ ,  $-SO_2R_a$ ,  $-C(O)OR_a$ ,  $-C(O)NR_aR_b$  and  $-NC(O)R_a$ ;

$R_a$  and  $R_b$ , at each occurrence, are independently selected from the group consisting

of hydrogen, alkenyl, alkyl, alkylsulfanylalkyl, aryl, arylalkenyl, arylalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, formylalkyl, haloalkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heterocycle, heterocyclealkenyl, heterocyclealkyl, hydroxyalkylcarbonyl, nitroalkyl,  $R_cR_dN-$ ,  $R_kO-$ ,  $R_kOalkyl-$ ,  $R_cR_dNalkyl-$ ,

5  $R_cR_dNC(O)alkyl-$ ,  $R_cSO_2-$ ,  $R_cSO_2alkyl-$ ,  $R_cC(O)-$ ,  $R_cC(O)alkyl-$ ,  $R_cOC(O)-$ ,  $R_cOC(O)alkyl-$ ,  $R_cR_dNalkylC(O)-$ ,  $R_cR_dNC(O)-$ ,  $R_cR_dNC(O)Oalkyl-$ ,  $R_cR_dNC(O)N(R_e)alkyl-$ , wherein  $R_a$  and  $R_b$  are substituted with 0, 1 or 2 substituents selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, 10 arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)( $OR_c$ ), -(alkyl)( $NR_cR_d$ ),  $-SR_c$ ,  $-S(O)R_c$ ,  $-S(O)_2R_c$ ,  $-OR_c$ ,  $-N(R_c)(R_d)$ ,  $-C(O)R_c$ ,  $-C(O)OR_c$  and  $-C(O)NR_cR_d$ ;

alternatively,  $R_a$  and  $R_b$ , together with the nitrogen atom to which they are attached form a three- to six-membered ring selected from the group consisting of heteroaryl and heterocycle, wherein the heteroaryl and heterocycle are independently substituted with 0, 1, 2 15 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)( $OR_c$ ), -(alkyl)( $NR_cR_d$ ),  $-alkylSO_2NR_cR_d$ ,  $-alkylC(O)NR_cR_d$ ,  $-SR_c$ ,  $-S(O)R_c$ ,  $-S(O)_2R_c$ ,  $-OR_c$ ,  $-N(R_c)(R_d)$ ,  $-C(O)R_c$ ,  $-C(O)OR_c$  and  $-C(O)NR_cR_d$ ;

20  $R_c$  and  $R_d$ , at each occurrence, are independently selected from the group consisting of hydrogen,  $-NR_fR_h$ ,  $-OR_f$ ,  $-CO(R_f)$ ,  $-SR_f$ ,  $-SOR_f$ ,  $-SO_2R_f$ ,  $-C(O)NR_fR_h$ ,  $-SO_2NR_fR_h$ ,  $-C(O)OR_f$ , alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, arylalkyl, haloalkyl, heteroaryl, heteroarylalkyl, heterocycle and heterocyclealkyl; wherein each  $R_c$  and  $R_d$  is independently substituted with 0, 1, 2, or 3 25 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)( $OR_f$ ), -(alkyl)( $NR_fR_h$ ),  $-SR_f$ ,  $-S(O)R_f$ ,  $-S(O)_2R_f$ ,  $-OR_f$ ,  $-N(R_f)(R_h)$ ,  $-C(O)R_f$ ,  $-C(O)OR_f$ ,  $-C(O)NR_fR_h$ ,  $-C(O)N(H)NR_fR_h$ ,  $-N(R_e)C(O)OR_f$ , 30  $-N(R_e)SO_2NR_fR_h$ ,  $-N(R_e)C(O)NR_fR_h$ ,  $-alkylN(R_e)C(O)OR_f$ ,  $-alkylN(R_e)SO_2NR_fR_h$ , and  $-alkylN(R_e)C(O)NR_fR_h$ ;

alternatively,  $R_c$  and  $R_d$ , together with the nitrogen atom to which they are attached form a three- to six-membered ring selected from the group consisting of heteroaryl and heterocycle, wherein the heteroaryl and heterocycle are independently substituted with 0, 1, 2 35 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)( $OR_f$ ), -(alkyl)( $NR_fR_h$ ),  $-SR_f$ ,  $-S(O)R_f$ ,  $-S(O)_2R_f$ ,

-OR<sub>f</sub>, -N(R<sub>f</sub>)(R<sub>h</sub>), -C(O)R<sub>f</sub>, -C(O)OR<sub>f</sub> and -C(O)NR<sub>f</sub>R<sub>h</sub>;

R<sub>e</sub> is selected from the group consisting of hydrogen, alkenyl, alkyl and cycloalkyl;

5 R<sub>f</sub>, R<sub>g</sub> and R<sub>h</sub>, at each occurrence, are independently selected from the group consisting of hydrogen, alkyl, alkenyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, heterocycle, heterocyclealkyl, heteroaryl and heteroarylalkyl; wherein each R<sub>f</sub>, R<sub>g</sub> and R<sub>h</sub> is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, 10 cyano, halo, oxo, nitro, aryl, arylalkyl, cycloalkyl, cycloalkenyl, heterocycle, heteroaryl, heteroarylalkyl, -OH, -O(alkyl), -NH<sub>2</sub>, -N(H)(alkyl), -N(alkyl)<sub>2</sub>, -S(alkyl), -S(O)(alkyl), -SO<sub>2</sub>alkyl, -alkyl-OH, -alkyl-O-alkyl, -alkylNH<sub>2</sub>, -alkylN(H)(alkyl), -alkylN(alkyl)<sub>2</sub>, -alkylS(alkyl), -alkylS(O)(alkyl), -alkylSO<sub>2</sub>alkyl, -N(H)C(O)NH<sub>2</sub>, -C(O)OH, -C(O)O(alkyl), -C(O)alkyl, -C(O)NH<sub>2</sub>, -C(O)NH<sub>2</sub>, -C(O)N(H)(alkyl), and -C(O)N(alkyl)<sub>2</sub>;

15 alternatively, R<sub>f</sub> and R<sub>g</sub> together with the carbon atom to which they are attached form a three- to seven-membered ring selected from the group consisting of cycloalkyl, cycloalkenyl and heterocycle;

20 alternatively, R<sub>f</sub> and R<sub>h</sub> together with the nitrogen atom to which they are attached form a three- to seven-membered ring selected from the group consisting of heterocycle and heteroaryl; wherein each of the heterocycle and heteroaryl is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, cyano, halo, oxo, nitro, aryl, arylalkyl, cycloalkyl, cycloalkenyl, heterocycle, 25 heteroaryl, heteroarylalkyl, -OH, -O(alkyl), -NH<sub>2</sub>, -N(H)(alkyl), -N(alkyl)<sub>2</sub>, -S(alkyl), -S(alkyl), -S(O)(alkyl), -alkyl-OH, -alkyl-O-alkyl, -alkylNH<sub>2</sub>, -alkylN(H)(alkyl), -alkylS(alkyl), -alkylS(O)(alkyl), -alkylSO<sub>2</sub>alkyl, -alkylN(alkyl)<sub>2</sub>, -N(H)C(O)NH<sub>2</sub>, -C(O)OH, -C(O)O(alkyl), -C(O)alkyl, -C(O)NH<sub>2</sub>, -C(O)NH<sub>2</sub>, -C(O)N(H)(alkyl), and -C(O)N(alkyl)<sub>2</sub>;

30 R<sub>k</sub> is selected from the group consisting of hydrogen, alkenyl, alkyl, aryl, arylalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkyl, formylalkyl, haloalkyl, heteroaryl, heteroarylalkyl, heterocycle, heterocyclealkyl, nitroalkyl, R<sub>a</sub>R<sub>b</sub>Nalkyl-, R<sub>a</sub>Oalkyl-, R<sub>a</sub>R<sub>b</sub>NC(O)-, R<sub>a</sub>R<sub>b</sub>NC(O)alkyl, R<sub>a</sub>S-, R<sub>a</sub>S(O)-, R<sub>a</sub>SO<sub>2</sub>-, R<sub>a</sub>Salkyl-, R<sub>a</sub>(O)Salkyl-, R<sub>a</sub>SO<sub>2</sub>alkyl-, R<sub>a</sub>OC(O)-, R<sub>a</sub>OC(O)alkyl-, R<sub>a</sub>C(O)-, R<sub>a</sub>C(O)alkyl-, wherein each R<sub>k</sub> is 35 substituted with 0, 1, 2, or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

m is 0, 1, 2, 3, or 4; and

n is 0, 1, 2, 3 or 4;

5 with the proviso that when  $R^4$  is alkoxy, aryloxy, hydroxy or  $R_eS-$ , and  $R^5$  is hydrogen, alkenyl, alkoxy, alkyl, alkynyl, aryl, halo, heteroaryl, heterocyclealkyl, cycloalkyl, cyano, nitro,  $R_aR_bN-$ ,  $R_aC(O)-$ ,  $R_aS-$ ,  $R_a(O)S-$ ,  $R_a(O)_2S-$ ,  $R_aSO_2N(R_f)-$ ,  $R_aR_bNC(O)-$ ,  $R_kOC(O)-$ ,  $R_aR_bNSO_2-$  or  $-OR_k$ , and  $R^6$  is hydrogen, alkyl, alkenyl, alkynyl, halo, cyano, 10 nitro, aryl, heteroaryl, heterocyclealkyl,  $-SR_a$ ,  $-S(O)R_a$ ,  $-S(O)_2R_a$ ,  $-OR_k$ ,  $-N(R_a)(R_b)$ ,  $-C(O)R_a$ ,  $-C(O)OR_a$  and  $-C(O)NR_aR_b$ , then  $R^1$  is not hydrogen, alkenyl, alkyl, alkynyl, aryl, arylalkenyl, arylalkyl, cycloalkyl, (cycloalkyl)alkenyl, (cycloalkyl)alkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heterocyclealkenyl or heterocyclealkyl.

15 39. The compound of claim 38 wherein  $R^4$  is hydroxy.

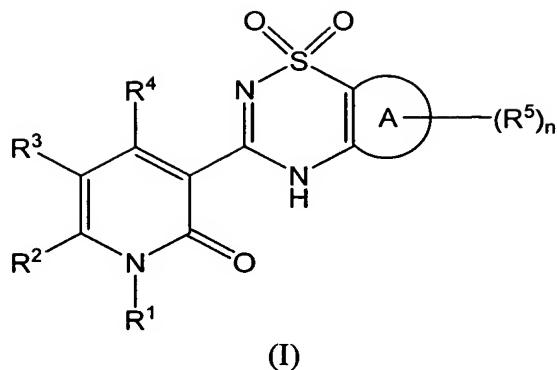
40. The compound of claim 39 wherein  $R^1$  is selected from the group consisting of hydrogen, alkenyl, alkoxyalkyl, alkoxy carbonylalkyl, alkyl, alkynyl, arylalkenyl, arylalkyl, carboxyalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkenyl, 20 cycloalkylalkyl, formylalkyl, haloalkyl, heteroarylalkenyl, heteroarylalkyl, heterocycle, heterocyclealkenyl, heterocyclealkyl, hydroxyalkyl,  $R_aR_bN-$ ,  $R_aR_bNalkyl-$ ,  $R_aR_bNC(O)alkyl-$ ,  $R_fR_gC=N-$  and  $R_kO-$ .

25 41. The compound of claim 37 wherein  $R^2$  and  $R^3$ , together with the carbon atoms to which they are attached, form a pyridyl ring.

42. The compound of claim 41 wherein  $R^4$  is hydroxy.

43. The compound of claim 42 whererin  $R^1$  is selected from the group consisting of 30 hydrogen, alkenyl, alkoxyalkyl, alkoxy carbonylalkyl, alkyl, alkynyl, arylalkenyl, arylalkyl, carboxyalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkenyl, cycloalkylalkyl, formylalkyl, haloalkyl, heteroarylalkenyl, heteroarylalkyl, heterocycle, heterocyclealkenyl, heterocyclealkyl, hydroxyalkyl,  $R_aR_bN-$ ,  $R_aR_bNalkyl-$ ,  $R_aR_bNC(O)alkyl-$ ,  $R_fR_gC=N-$  and  $R_kO-$ .

35 44. The compound of claim 1 having formula (I),



or a pharmaceutically acceptable salt form, stereoisomer or tautomer thereof, wherein:

5        A is a monocyclic or bicyclic ring selected from the group consisting of aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocycle;

10       $R^1$  is selected from the group consisting of hydrogen, alkenyl, alkoxyalkyl, alkoxy carbonylalkyl, alkyl, alkyl carbonylalkyl, alkylsulfanylalkyl, alkylsulfinylalkyl, alkylsulfonylalkyl, alkynyl, aryl, arylalkenyl, arylalkyl, arylsulfanylalkyl, arylsulfonylalkyl, carboxyalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, (cycloalkyl)alkenyl, (cycloalkyl)alkyl, formylalkyl, haloalkoxyalkyl, haloalkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heteroarylsulfonylalkyl, heterocycle, heterocyclealkenyl, heterocyclealkyl, hydroxyalkyl, nitroalkyl,  $R_aR_bN^-$ ,  $R_aR_bNalkyl^-$ ,  $R_aR_bNC(O)alkyl^-$ ,  $R_aR_bNC(O)Oalkyl^-$ ,  $R_aR_bNC(O)NR_calkyl^-$ ,  $R_fR_gC=N^-$  and  $R_kO^-$ , wherein  $R^1$  is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>e</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>e</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>e</sub>;

20       $R^2$  and  $R^3$  are independently selected from the group consisting of hydrogen, alkenyl, alkynyl, alkoxyalkyl, alkoxy carbonyl, alkyl, aryl, arylalkyl, heteroaryl, heterocycle, heteroarylalkyl, cyano, halo, -N(R<sub>a</sub>)(R<sub>b</sub>),  $R_aR_bNC(O)^-$ , -SR<sub>a</sub>, -S(O)R<sub>a</sub>, -S(O)<sub>2</sub>R<sub>a</sub> and  $R_aC(O)^-$ ; wherein  $R^2$  and  $R^3$  are independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of  $R_a$ , alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, -(alkyl)(OR<sub>k</sub>), -(alkyl)(NR<sub>a</sub>R<sub>b</sub>), -SR<sub>a</sub>, -S(O)R<sub>a</sub>, -S(O)<sub>2</sub>R<sub>a</sub>, -OR<sub>k</sub>, -N(R<sub>a</sub>)(R<sub>b</sub>), -C(O)R<sub>a</sub>, -C(O)OR<sub>a</sub> and -C(O)NR<sub>a</sub>R<sub>b</sub>;

30       $R^4$  is selected from the group consisting of alkoxy, arylalkoxy, aryloxy, halo, hydroxy,  $R_aR_bN^-$ ,  $N_3^-$ ,  $R_cS^-$ , wherein  $R^4$  is independently substituted with 0, 1 or 2 substituents independently selected from the group consisting of halo, nitro, cyano, -OH, -NH<sub>2</sub>, and -COOH;

$R^5$  is independently selected at each occurrence from the group consisting of alkenyl, alkoxy, alkyl, alkynyl, aryl, arylalkyl, arylcarbonyl, aryloxy, azidoalkyl, formyl, halo, haloalkyl, halocarbonyl, heteroaryl, heteroarylalkyl, heterocycle, heterocyclealkyl,

5 hydroxyalkyl, cycloalkyl, cyano, cyanoalkyl, nitro,  $R_aR_bN-$ ,  $R_aC(O)-$ ,  $R_aS-$ ,  $R_a(O)S-$ ,  
 $R_a(O)2S-$ ,  $R_aR_bNalkyl-$ ,  $R_a(O)SN(R_f)-$ ,  $R_aSO_2N(R_f)-$ ,  $R_a(O)SN(R_f)alkyl-$ ,  $R_aSO_2N(R_f)alkyl-$ ,  
 $R_aR_bNSO_2N(R_f)-$ ,  $R_aR_bNSO_2N(R_f)alkyl-$ ,  $R_aR_bNC(O)-$ ,  $R_kOC(O)-$ ,  $R_kOC(O)alkyl-$ ,  
 $R_kOalkyl-$ ,  $R_aR_bNSO_2-$ ,  $R_aR_bNSO_2alkyl-$ ,  $(R_bO)(R_a)P(O)O-$  and  $-OR_k$ , wherein each  $R^5$  is  
10 independently substituted with 0, 1, 2 or 3 substituents independently selected from the group  
consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl,  
heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl,  $-(alkyl)(OR_c)$ ,  
 $-(alkyl)(NR_cR_d)$ ,  $-SR_c$ ,  $-S(O)R_c$ ,  $-S(O)_2R_c$ ,  $-OR_c$ ,  $-N(R_c)(R_d)$ ,  $-C(O)R_c$ ,  $-C(O)OR_c$  and  
 $-C(O)NR_cR_d$ ;

15  $R_a$  and  $R_b$ , at each occurrence, are independently selected from the group consisting of hydrogen, alkenyl, alkyl, alkylsulfanylalkyl, aryl, arylalkenyl, arylalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, formylalkyl, haloalkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heterocycle, heterocyclealkenyl, heterocyclealkyl, hydroxyalkylcarbonyl, nitroalkyl,  $R_cR_dN-$ ,  $R_kO-$ ,  $R_kOalkyl-$ ,  $R_cR_dNalkyl-$ ,  
20  $R_cR_dNC(O)alkyl-$ ,  $R_cSO_2-$ ,  $R_cSO_2alkyl-$ ,  $R_cC(O)-$ ,  $R_cC(O)alkyl-$ ,  $R_cOC(O)-$ ,  $R_cOC(O)alkyl-$ ,  
 $R_cR_dNalkylC(O)-$ ,  $R_cR_dNC(O)-$ ,  $R_cR_dNC(O)Oalkyl-$ ,  $R_cR_dNC(O)N(R_e)alkyl-$ , wherein  $R_a$  and  
 $R_b$  are substituted with 0, 1 or 2 substituents selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl,  $-(alkyl)(OR_c)$ ,  $-(alkyl)(NR_cR_d)$ ,  $-SR_c$ ,  $-S(O)R_c$ ,  
25  $-S(O)_2R_c$ ,  $-OR_c$ ,  $-N(R_c)(R_d)$ ,  $-C(O)R_c$ ,  $-C(O)OR_c$  and  $-C(O)NR_cR_d$ ;

30 alternatively,  $R_a$  and  $R_b$ , together with the nitrogen atom to which they are attached form a three- to six-membered ring selected from the group consisting of heteroaryl and heterocycle, wherein the heteroaryl and heterocycle are independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl,  $-(alkyl)(OR_c)$ ,  $-(alkyl)(NR_cR_d)$ ,  $-alkylSO_2NR_cR_d$ ,  $-alkylC(O)NR_cR_d$ ,  $-SR_c$ ,  $-S(O)R_c$ ,  $-S(O)_2R_c$ ,  $-OR_c$ ,  $-N(R_c)(R_d)$ ,  $-C(O)R_c$ ,  $-C(O)OR_c$  and  $-C(O)NR_cR_d$ ;

35  $R_c$  and  $R_d$ , at each occurrence, are independently selected from the group consisting of hydrogen,  $-NR_fR_h$ ,  $-OR_f$ ,  $-CO(R_f)$ ,  $-SR_f$ ,  $-SOR_f$ ,  $-SO_2R_f$ ,  $-C(O)NR_fR_h$ ,  $-SO_2NR_fR_h$ ,  $-C(O)OR_f$ , alkenyl, alkyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl,

cycloalkenylalkyl, aryl, arylalkyl, haloalkyl, heteroaryl, heteroarylalkyl, heterocycle and heterocyclealkyl; wherein each  $R_c$  and  $R_d$  is independently substituted with 0, 1, 2, or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl,

5 heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>f</sub>), -(alkyl)(NR<sub>f</sub>R<sub>h</sub>), -SR<sub>f</sub>, -S(O)R<sub>f</sub>, -S(O)R<sub>f</sub>, -OR<sub>f</sub>, -N(R<sub>f</sub>)(R<sub>h</sub>), -C(O)R<sub>f</sub>, -C(O)OR<sub>f</sub>, -C(O)NR<sub>f</sub>R<sub>h</sub>, -C(O)N(H)NR<sub>f</sub>R<sub>h</sub>, -N(R<sub>e</sub>)C(O)OR<sub>f</sub>, -N(R<sub>e</sub>)SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, -N(R<sub>e</sub>)C(O)NR<sub>f</sub>R<sub>h</sub>, -alkylN(R<sub>e</sub>)C(O)OR<sub>f</sub>, -alkylN(R<sub>e</sub>)SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, and -alkylN(R<sub>e</sub>)C(O)NR<sub>f</sub>R<sub>h</sub>;

10 alternatively,  $R_c$  and  $R_d$ , together with the nitrogen atom to which they are attached form a three- to six-membered ring selected from the group consisting of heteroaryl and heterocycle, wherein the heteroaryl and heterocycle are independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl,

15 heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>f</sub>), -(alkyl)(NR<sub>f</sub>R<sub>h</sub>), -SR<sub>f</sub>, -S(O)R<sub>f</sub>, -S(O)R<sub>f</sub>, -OR<sub>f</sub>, -N(R<sub>f</sub>)(R<sub>h</sub>), -C(O)R<sub>f</sub>, -C(O)OR<sub>f</sub> and -C(O)NR<sub>f</sub>R<sub>h</sub>;

$R_e$  is selected from the group consisting of hydrogen, alkenyl, alkyl and cycloalkyl;

20  $R_f$ ,  $R_g$  and  $R_h$ , at each occurrence, are independently selected from the group consisting of hydrogen, alkyl, alkenyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, heterocycle, heterocyclealkyl, heteroaryl and heteroarylalkyl; wherein each  $R_f$ ,  $R_g$  and  $R_h$  is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, cyano, halo, oxo, nitro, aryl, arylalkyl, cycloalkyl, cycloalkenyl, heterocycle, heteroaryl, heteroarylalkyl, -OH, -O(alkyl), -NH<sub>2</sub>, -N(H)(alkyl), -N(alkyl)<sub>2</sub>, -S(alkyl), -S(O)(alkyl), -SO<sub>2</sub>alkyl, -alkyl-OH, -alkyl-O-alkyl, -alkylNH<sub>2</sub>, -alkylN(H)(alkyl), -alkylN(alkyl)<sub>2</sub>, -alkylS(alkyl), -alkylS(O)(alkyl), -alkylSO<sub>2</sub>alkyl, -N(H)C(O)NH<sub>2</sub>, -C(O)OH, -C(O)O(alkyl), -C(O)alkyl, -C(O)NH<sub>2</sub>, -C(O)NH<sub>2</sub>, -C(O)N(H)(alkyl), and -C(O)N(alkyl)<sub>2</sub>;

25

30 alternatively,  $R_f$  and  $R_g$  together with the carbon atom to which they are attached form a three- to seven-membered ring selected from the group consisting of cycloalkyl, cycloalkenyl and heterocycle;

35 alternatively,  $R_f$  and  $R_h$  together with the nitrogen atom to which they are attached form a three- to seven-membered ring selected from the group consisting of heterocycle and heteroaryl; wherein each of the heterocycle and heteroaryl is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl,

alkynyl, cyano, halo, oxo, nitro, aryl, arylalkyl, cycloalkyl, cycloalkenyl, heterocycle, heteroaryl, heteroarylalkyl, -OH, -O(alkyl), -NH<sub>2</sub>, -N(H)(alkyl), -N(alkyl)<sub>2</sub>, -S(alkyl), -S(alkyl), -S(O)(alkyl), -alkyl-OH, -alkyl-O-alkyl, -alkylNH<sub>2</sub>, -alkylN(H)(alkyl), -alkylS(alkyl), -alkylS(O)(alkyl), -alkylSO<sub>2</sub>alkyl, -alkylN(alkyl)<sub>2</sub>, -N(H)C(O)NH<sub>2</sub>, -C(O)OH, 5 -C(O)O(alkyl), -C(O)alkyl, -C(O)NH<sub>2</sub>, -C(O)NH<sub>2</sub>, -C(O)N(H)(alkyl), and -C(O)N(alkyl)<sub>2</sub>;

R<sub>k</sub> is selected from the group consisting of hydrogen, alkenyl, alkyl, aryl, arylalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkyl, formylalkyl, haloalkyl, heteroaryl, heteroarylalkyl, heterocycle, heterocyclealkyl, nitroalkyl, R<sub>a</sub>R<sub>b</sub>Nalkyl-, 10 R<sub>a</sub>Oalkyl-, R<sub>a</sub>R<sub>b</sub>NC(O)-, R<sub>a</sub>R<sub>b</sub>NC(O)alkyl, R<sub>a</sub>S-, R<sub>a</sub>S(O)-, R<sub>a</sub>SO<sub>2</sub>-, R<sub>a</sub>Salkyl-, R<sub>a</sub>(O)Salkyl-, R<sub>a</sub>SO<sub>2</sub>alkyl-, R<sub>a</sub>OC(O)-, R<sub>a</sub>OC(O)alkyl-, R<sub>a</sub>C(O)-, R<sub>a</sub>C(O)alkyl-, wherein each R<sub>k</sub> is substituted with 0, 1, 2, or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), 15 -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>; and

n is 0, 1, 2, 3, or 4.

45. The compound of claim 44 or a pharmaceutically acceptable salt form, stereoisomer or 20 tautomer thereof selected from the group consisting of:

1-benzyl-3-(1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-2(1H)-pyridinone;

1-benzyl-3-(1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-5,6-dimethyl-2(1H)-pyridinone;

1-benzyl-3-(1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-6-methyl-5-phenyl-2(1H)-pyridinone;

3-(1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-5,6-dimethyl-1-(3-methylbutyl)-2(1H)-pyridinone;

3-(1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-1-(2-ethylbutyl)-4-hydroxy-5,6-dimethyl-2(1H)-pyridinone;

1-benzyl-3-(1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-6-phenyl-2(1H)-pyridinone;

1,5-dibenzyl-3-(1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-4-hydroxy-6-methyl-2(1H)-pyridinone;

3-(1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-1-(2-ethylbutyl)-4-hydroxy-6-methyl-5-phenyl-2(1H)-pyridinone;

1-butyl-3-(1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)-2(1H)-pyridinone;

N-{3-[4-hydroxy-1-(3-methylbutyl)-2-oxo-1,2-dihydropyridin-3-yl]-1,1-dioxido-4H-

1,2,4-benzothiadiazin-7-yl} methanesulfonamide;  
N-[3-(1-benzyl-4-hydroxy-2-oxo-1,2-dihydropyridin-3-yl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]methanesulfonamide;  
N-[3-(4-hydroxy-2-oxo-1,2-dihydro-3-pyridinyl)-1,1-dioxido-4H-1,2,4-  
5 benzothiadiazin-7-yl]methanesulfonamide;  
N-[3-(4-hydroxy-1-isopentyl-5,6-dimethyl-2-oxo-1,2-dihydro-3-pyridinyl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]methanesulfonamide;  
benzyl 3-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro-3-pyridinyl)-1,1-dioxido-4H-  
1,2,4-benzothiadiazin-7-yl]diazathiane-1-carboxylate 2,2-dioxide;  
10 N-[3-(4-hydroxy-1-isopentyl-2-oxo-1,2-dihydro-3-pyridinyl)-1,1-dioxido-4H-1,2,4-benzothiadiazin-7-yl]sulfamide;  
N-{3-[1-(cyclobutylmethyl)-4-hydroxy-2-oxo-1,2-dihydro-3-pyridinyl]-1,1-dioxido-  
4H-1,2,4-benzothiadiazin-7-yl} methanesulfonamide;  
N-{3-[5-bromo-1-(cyclobutylmethyl)-4-hydroxy-2-oxo-1,2-dihydro-3-pyridinyl]-1,1-  
15 dioxido-4H-1,2,4-benzothiadiazin-7-yl} methanesulfonamide; and  
N-[3-(4-hydroxy-1-isopentyl-2-oxo-5-vinyl-1,2-dihydro-3-pyridinyl)-1,1-dioxido-4H-  
1,2,4-benzothiadiazin-7-yl]methanesulfonamide.

20 46. The compound of claim 1 wherin R<sup>2</sup> and R<sup>3</sup>, together with the carbon atoms to which  
they are attached, form a cycloalkyl ring.

25 47. The compound of claim 1 wherin R<sup>2</sup> and R<sup>3</sup>, together with the carbon atoms to which  
they are attached, form a five- or six-membered ring selected from the group consisting of  
thienyl, furanyl, pyrrolyl, imidazolyl, oxazolyl, thiazolyl, isoxazolyl, isothiazolyl, pyrazolyl,  
oxadiazolyl, triazolyl, thiadiazolyl, tetrazolyl, phenyl, pyridyl, pyridazinyl and pyrimidinyl;  
wherein said ring is optionally substituted with (R<sup>6</sup>)<sub>m</sub>; wherein

30 R<sup>6</sup> is independently selected at each occurrence from the group consisting of alkyl,  
alkenyl, alkynyl, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle,  
arylalkyl, heteroarylalkyl, heterocyclealkyl, -(alkyl)(OR<sub>k</sub>), -(alkyl)(NR<sub>a</sub>R<sub>b</sub>), -SR<sub>a</sub>, -S(O)R<sub>a</sub>,  
-S(O)<sub>2</sub>R<sub>a</sub>, -OR<sub>k</sub>, -N(R<sub>a</sub>)(R<sub>b</sub>), -C(O)R<sub>a</sub>, -C(O)OR<sub>a</sub> and -C(O)NR<sub>a</sub>R<sub>b</sub>; wherein each R<sup>6</sup> is  
independently substituted with 0, 1, 2 or 3 substituents independently selected from the group  
consisting of alkyl, alkenyl, alkynyl, oxo, halo, haloalkyl, cyano, nitro, -OR<sub>a</sub>, -NR<sub>a</sub>R<sub>b</sub>, -SR<sub>a</sub>,  
-SOR<sub>a</sub>, -SO<sub>2</sub>R<sub>a</sub>, -C(O)OR<sub>a</sub>, -C(O)NR<sub>a</sub>R<sub>b</sub> and -NC(O)R<sub>a</sub>; and m is 0, 1, 2, 3 or 4.

35 48. The compound of claim 47 wherin R<sup>4</sup> is hydroxy.

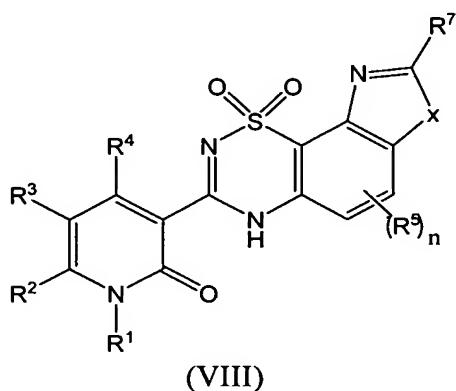
49. The compound of claim 1 wherin R<sup>4</sup> is hydroxy, halo, -NH<sub>2</sub>, -NH(alkyl), -N(alkyl)<sub>2</sub>, -  
N(H)NH<sub>2</sub>, -N<sub>3</sub>, -N(H)(hydroxyalkyl), or R<sub>c</sub>S-.

50. The compound of claim 1 wherein A is a bicyclic ring selected from the group consisting of heterocycle and heteroaryl.

5 51. The compound of claim 50 wherein A is selected from the group consisting of naphthyl, indolizinyl, indolyl, isoindolyl, benzofuranyl, benzothienyl, indazolyl, benzimidazolyl, benzthiazolyl, benzoxazolyl, benzoisothiazolyl, benzoisoxazolyl, benzoxazinyl, benzothiadiazolyl, quinolinyl, isoquinolinyl, quinazolinyl, quinoxalinyl and naphthyridinyl, cinnolinyl and pteridinyl.

10

52. The compound of claim 1 of formula (VIII)



15 or a pharmaceutically acceptable salt form, stereoisomer or tautomer thereof, wherein:

X is NH, N(alkyl), O or S.

20  $R^1$  is selected from the group consisting of hydrogen, alkenyl, alkoxyalkyl, alkoxycarbonylalkyl, alkyl, alkylcarbonylalkyl, alkylsulfanylalkyl, alkylsulfinylalkyl, alkylsulfonylalkyl, alkynyl, aryl, arylalkenyl, arylalkyl, arylsulfanylalkyl, arylsulfonylalkyl, carboxyalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, (cycloalkyl)alkenyl, (cycloalkyl)alkyl, formylalkyl, haloalkoxyalkyl, haloalkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heteroarylsulfonylalkyl, heterocycle, heterocyclealkenyl, heterocyclealkyl, hydroxyalkyl, nitroalkyl,  $R_aR_bN^-$ ,  $R_aR_bNalkyl^-$ ,  $R_aR_bNC(O)alkyl^-$ ,  $R_aR_bNC(O)Oalkyl^-$ ,  $R_aR_bNC(O)NR_calkyl^-$ ,  $R_fR_gC=N^-$  and  $R_kO^-$ , wherein  $R^1$  is substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>e</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>e</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>e</sub>;

$R^2$  and  $R^3$  are independently selected from the group consisting of hydrogen, alkenyl, alkynyl, alkoxyalkyl, alkoxy carbonyl, alkyl, aryl, arylalkyl, heteroaryl, heterocycle, heteroarylalkyl, cyano, halo,  $-N(R_a)(R_b)$ ,  $R_aR_bNC(O)-$ ,  $-SR_a$ ,  $-S(O)R_a$ ,  $-S(O)_2R_a$  and  $R_aC(O)-$ ; wherein  $R^2$  and  $R^3$  are independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of  $R_a$ , alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl,  $-(alkyl)(OR_k)$ ,  $-(alkyl)(NR_aR_b)$ ,  $-SR_a$ ,  $-S(O)R_a$ ,  $-S(O)_2R_a$ ,  $-OR_k$ ,  $-N(R_a)(R_b)$ ,  $-C(O)R_a$ ,  $-C(O)OR_a$  and  $-C(O)NR_aR_b$ ;

alternatively,  $R^2$  and  $R^3$ , together with the carbon atoms to which they are attached form a five- or six-membered ring selected from the group consisting of aryl, cycloalkyl, heteroaryl and heterocycle, wherein said aryl, cycloalkyl, heteroaryl and heterocycle is optionally substituted with  $(R^6)_m$ ;

$R^4$  is selected from the group consisting of alkoxy, arylalkoxy, aryloxy, halo, hydroxy,  $R_aR_bN-$ ,  $N_3-$ ,  $R_cS-$ , wherein  $R^4$  is independently substituted with 0, 1 or 2 substituents independently selected from the group consisting of halo, nitro, cyano,  $-OH$ ,  $-NH_2$ , and  $-COOH$ ;

$R^5$  is independently selected at each occurrence from the group consisting of alkenyl, alkoxy, alkyl, alkynyl, aryl, arylalkyl, aryl carbonyl, aryloxy, azidoalkyl, formyl, halo, haloalkyl, halocarbonyl, heteroaryl, heteroarylalkyl, heterocycle, heterocycle alkyl, hydroxyalkyl, cycloalkyl, cyano, cyanoalkyl, nitro,  $R_aR_bN-$ ,  $R_aC(O)-$ ,  $R_aS-$ ,  $R_a(O)S-$ ,  $R_a(O)_2S-$ ,  $R_aR_bNalkyl-$ ,  $R_a(O)SN(R_f)-$ ,  $R_aSO_2N(R_f)-$ ,  $R_a(O)SN(R_f)alkyl-$ ,  $R_aSO_2N(R_f)alkyl-$ ,  $R_aR_bNSO_2N(R_f)-$ ,  $R_aR_bNSO_2N(R_f)alkyl-$ ,  $R_aR_bNC(O)-$ ,  $R_kOC(O)-$ ,  $R_kOC(O)alkyl-$ ,  $R_kOalkyl-$ ,  $R_aR_bNSO_2-$ ,  $R_aR_bNSO_2alkyl-$ ,  $(R_bO)(R_a)P(O)O-$  and  $-OR_k$ , wherein each  $R^5$  is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl,  $-(alkyl)(OR_c)$ ,  $-(alkyl)(NR_cR_d)$ ,  $-SR_c$ ,  $-S(O)R_c$ ,  $-S(O)_2R_c$ ,  $-OR_c$ ,  $-N(R_c)(R_d)$ ,  $-C(O)R_c$ ,  $-C(O)OR_c$  and  $-C(O)NR_cR_d$ ;

$R^6$  is independently selected at each occurrence from the group consisting of alkyl, alkenyl, alkynyl, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, heterocycle alkyl,  $-(alkyl)(OR_k)$ ,  $-(alkyl)(NR_aR_b)$ ,  $-SR_a$ ,  $-S(O)R_a$ ,  $-S(O)_2R_a$ ,  $-OR_k$ ,  $-N(R_a)(R_b)$ ,  $-C(O)R_a$ ,  $-C(O)OR_a$  and  $-C(O)NR_aR_b$ ; wherein each  $R^6$  is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, haloalkyl, cyano, nitro,  $-OR_a$ ,  $-NR_aR_b$ ,  $-SR_a$ ,  $-SOR_a$ ,  $-SO_2R_a$ ,  $-C(O)OR_a$ ,  $-C(O)NR_aR_b$  and  $-NC(O)R_a$ ;

$R^7$  is independently selected at each occurrence from the group consisting of alkenyl, alkoxy, alkyl, alkynyl, aryl, arylalkyl, arylcarbonyl, aryloxy, azidoalkyl, formyl, halo, haloalkyl, halocarbonyl, heteroaryl, heteroarylalkyl, heterocycle, heterocyclealkyl,

5 hydroxyalkyl, cycloalkyl, cyano, cyanoalkyl, nitro,  $R_aR_bN-$ ,  $R_aC(O)-$ ,  $R_aS-$ ,  $R_a(O)S-$ ,  $R_a(O)2S-$ ,  $R_aR_bNalkyl-$ ,  $R_a(O)SN(R_f)-$ ,  $R_aSO_2N(R_f)-$ ,  $R_a(O)SN(R_f)alkyl-$ ,  $R_aSO_2N(R_f)alkyl-$ ,  $R_aR_bNSO_2N(R_f)-$ ,  $R_aR_bNSO_2N(R_f)alkyl-$ ,  $R_aR_bNC(O)-$ ,  $R_kOC(O)-$ ,  $R_kOC(O)alkyl-$ ,  $R_kOalkyl-$ ,  $R_aR_bNSO_2-$ ,  $R_aR_bNSO_2alkyl-$ ,  $(R_bO)(R_a)P(O)O-$  and  $-OR_k$ , wherein each  $R^7$  is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group  
10 consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl,  $-(alkyl)(OR_c)$ ,  $-(alkyl)(NR_cR_d)$ ,  $-SR_c$ ,  $-S(O)R_c$ ,  $-S(O)2R_c$ ,  $-OR_c$ ,  $-N(R_c)(R_d)$ ,  $-C(O)R_c$ ,  $-C(O)OR_c$  and  $-C(O)NR_cR_d$ ;

15  $R_a$  and  $R_b$ , at each occurrence, are independently selected from the group consisting of hydrogen, alkenyl, alkyl, alkylsulfanylalkyl, aryl, arylalkenyl, arylalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, formylalkyl, haloalkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heterocycle, heterocyclealkenyl, heterocyclealkyl, hydroxyalkylcarbonyl, nitroalkyl,  $R_cR_dN-$ ,  $R_kO-$ ,  $R_kOalkyl-$ ,  $R_cR_dNalkyl-$ ,  
20  $R_cR_dNC(O)alkyl-$ ,  $R_cSO_2-$ ,  $R_cSO_2alkyl-$ ,  $R_cC(O)-$ ,  $R_cC(O)alkyl-$ ,  $R_cOC(O)-$ ,  $R_cOC(O)alkyl-$ ,  $R_cR_dNalkylC(O)-$ ,  $R_cR_dNC(O)-$ ,  $R_cR_dNC(O)Oalkyl-$ ,  $R_cR_dNC(O)N(R_e)alkyl-$ , wherein  $R_a$  and  $R_b$  are substituted with 0, 1 or 2 substituents selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl,  $-(alkyl)(OR_c)$ ,  $-(alkyl)(NR_cR_d)$ ,  $-SR_c$ ,  $-S(O)R_c$ ,  
25  $-S(O)2R_c$ ,  $-OR_c$ ,  $-N(R_c)(R_d)$ ,  $-C(O)R_c$ ,  $-C(O)OR_c$  and  $-C(O)NR_cR_d$ ;

30 alternatively,  $R_a$  and  $R_b$ , together with the nitrogen atom to which they are attached form a three- to six-membered ring selected from the group consisting of heteroaryl and heterocycle, wherein the heteroaryl and heterocycle are independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl,  $-(alkyl)(OR_c)$ ,  $-(alkyl)(NR_cR_d)$ ,  $-alkylSO_2NR_cR_d$ ,  $-alkylC(O)NR_cR_d$ ,  $-SR_c$ ,  $-S(O)R_c$ ,  $-S(O)2R_c$ ,  $-OR_c$ ,  $-N(R_c)(R_d)$ ,  $-C(O)R_c$ ,  $-C(O)OR_c$  and  $-C(O)NR_cR_d$ ;

35

$R_c$  and  $R_d$ , at each occurrence, are independently selected from the group consisting of hydrogen,  $-NR_fR_h$ ,  $-OR_f$ ,  $-CO(R_f)$ ,  $-SR_f$ ,  $-SOR_f$ ,  $-SO_2R_f$ ,  $-C(O)NR_fR_h$ ,  $-SO_2NR_fR_h$ ,  $-C(O)OR_f$ , alkenyl, alkyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl,

cycloalkenylalkyl, aryl, arylalkyl, haloalkyl, heteroaryl, heteroarylalkyl, heterocycle and heterocyclealkyl; wherein each  $R_c$  and  $R_d$  is independently substituted with 0, 1, 2, or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl,

5 heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>f</sub>), -(alkyl)(NR<sub>f</sub>R<sub>h</sub>), -SR<sub>f</sub>, -S(O)R<sub>f</sub>, -S(O)R<sub>f</sub>, -OR<sub>f</sub>, -N(R<sub>f</sub>)(R<sub>h</sub>), -C(O)R<sub>f</sub>, -C(O)OR<sub>f</sub>, -C(O)NR<sub>f</sub>R<sub>h</sub>, -C(O)N(H)NR<sub>f</sub>R<sub>h</sub>, -N(R<sub>e</sub>)C(O)OR<sub>f</sub>, -N(R<sub>e</sub>)SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, -N(R<sub>e</sub>)C(O)NR<sub>f</sub>R<sub>h</sub>, -alkylN(R<sub>e</sub>)C(O)OR<sub>f</sub>, -alkylN(R<sub>e</sub>)SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, and -alkylN(R<sub>e</sub>)C(O)NR<sub>f</sub>R<sub>h</sub>;

10 alternatively,  $R_c$  and  $R_d$ , together with the nitrogen atom to which they are attached form a three- to six-membered ring selected from the group consisting of heteroaryl and heterocycle, wherein the heteroaryl and heterocycle are independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl,

15 heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>f</sub>), -(alkyl)(NR<sub>f</sub>R<sub>h</sub>), -SR<sub>f</sub>, -S(O)R<sub>f</sub>, -S(O)R<sub>f</sub>, -OR<sub>f</sub>, -N(R<sub>f</sub>)(R<sub>h</sub>), -C(O)R<sub>f</sub>, -C(O)OR<sub>f</sub> and -C(O)NR<sub>f</sub>R<sub>h</sub>;

$R_e$  is selected from the group consisting of hydrogen, alkenyl, alkyl and cycloalkyl;

20  $R_f$ ,  $R_g$  and  $R_h$ , at each occurrence, are independently selected from the group consisting of hydrogen, alkyl, alkenyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, heterocycle, heterocyclealkyl, heteroaryl and heteroarylalkyl; wherein each  $R_f$ ,  $R_g$  and  $R_h$  is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, cyano, halo, oxo, nitro, aryl, arylalkyl, cycloalkyl, cycloalkenyl, heterocycle, heteroaryl, heteroarylalkyl, -OH, -O(alkyl), -NH<sub>2</sub>, -N(H)(alkyl), -N(alkyl)<sub>2</sub>, -S(alkyl), -S(O)(alkyl), -SO<sub>2</sub>alkyl, -alkyl-OH, -alkyl-O-alkyl, -alkylNH<sub>2</sub>, -alkylN(H)(alkyl), -alkylN(alkyl)<sub>2</sub>, -alkylS(alkyl), -alkylS(O)(alkyl), -alkylSO<sub>2</sub>alkyl, -N(H)C(O)NH<sub>2</sub>, -C(O)OH, -C(O)O(alkyl), -C(O)alkyl, -C(O)NH<sub>2</sub>, -C(O)NH<sub>2</sub>, -C(O)N(H)(alkyl), and -C(O)N(alkyl)<sub>2</sub>;

25

30 alternatively,  $R_f$  and  $R_g$  together with the carbon atom to which they are attached form a three- to seven-membered ring selected from the group consisting of cycloalkyl, cycloalkenyl and heterocycle;

35 alternatively,  $R_f$  and  $R_h$  together with the nitrogen atom to which they are attached form a three- to seven-membered ring selected from the group consisting of heterocycle and heteroaryl; wherein each of the heterocycle and heteroaryl is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl,

alkynyl, cyano, halo, oxo, nitro, aryl, arylalkyl, cycloalkyl, cycloalkenyl, heterocycle, heteroaryl, heteroarylalkyl, -OH, -O(alkyl), -NH<sub>2</sub>, -N(H)(alkyl), -N(alkyl)<sub>2</sub>, -S(alkyl), -S(alkyl), -S(O)(alkyl), -alkyl-OH, -alkyl-O-alkyl, -alkylNH<sub>2</sub>, -alkylN(H)(alkyl), -alkylS(alkyl), -alkylS(O)(alkyl), -alkylSO<sub>2</sub>alkyl, -alkylN(alkyl)<sub>2</sub>, -N(H)C(O)NH<sub>2</sub>, -C(O)OH, 5 -C(O)O(alkyl), -C(O)alkyl, -C(O)NH<sub>2</sub>, -C(O)NH<sub>2</sub>, -C(O)N(H)(alkyl), and -C(O)N(alkyl)<sub>2</sub>;

R<sub>k</sub> is selected from the group consisting of hydrogen, alkenyl, alkyl, aryl, arylalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkyl, formylalkyl, haloalkyl, heteroaryl, heteroarylalkyl, heterocycle, heterocyclealkyl, nitroalkyl, R<sub>a</sub>R<sub>b</sub>Nalkyl-, 10 R<sub>a</sub>Oalkyl-, R<sub>a</sub>R<sub>b</sub>NC(O)-, R<sub>a</sub>R<sub>b</sub>NC(O)alkyl, R<sub>a</sub>S-, R<sub>a</sub>S(O)-, R<sub>a</sub>SO<sub>2</sub>-, R<sub>a</sub>Salkyl-, R<sub>a</sub>(O)Salkyl-, R<sub>a</sub>SO<sub>2</sub>alkyl-, R<sub>a</sub>OC(O)-, R<sub>a</sub>OC(O)alkyl-, R<sub>a</sub>C(O)-, R<sub>a</sub>C(O)alkyl-, wherein each R<sub>k</sub> is substituted with 0, 1, 2, or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), 15 -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

m is 0, 1, 2, 3, or 4; and

n is 0, 1 or 2.

20 53. The compound of claim 52 wherein R<sup>2</sup> and R<sup>3</sup>, together with the carbon atoms to which they are attached, form a five- or six-membered ring selected from the group consisting of aryl, cycloalkyl, heteroaryl and heterocycle, wherein said aryl, cycloalkyl, heteroaryl and heterocycle is optionally substituted with (R<sup>6</sup>)<sub>m</sub>.

25 54. The compound of claim 53 wherein R<sup>2</sup> and R<sup>3</sup>, together with the carbon atoms to which they are attached, form a five- or six-membered ring selected from the group consisting of phenyl, pyridyl pyridazinyl, pyrimidinyl, pyrazolyl, cyclopentyl, cyclohexyl and thienyl.

30 55. The compound of claim 54 wherein R<sup>4</sup> is hydroxy.

56. The compound of claim 55 or a pharmaceutically acceptable salt form, stereoisomer or tautomer thereof selected from the group consisting of:

35 3-(1,1-dioxido-4H-[1,3]oxazolo[5,4-h][1,2,4]benzothiadiazin-3-yl)-4-hydroxy-1-(isobutylamino)quinolin-2(1H)-one;

3-[8-(chloromethyl)-1,1-dioxido-4H-[1,3]oxazolo[5,4-h][1,2,4]benzothiadiazin-3-yl]-4-hydroxy-1-(isobutylamino)quinolin-2(1H)-one;

3-{3-[4-hydroxy-1-(isobutylamino)-2-oxo-1,2-dihydroquinolin-3-yl]-1,1-dioxido-4H-[1,3]oxazolo[5,4-h][1,2,4]benzothiadiazin-8-yl}propanoic acid;

3-(8-{{(2-aminoethyl)amino}methyl}-1,1-dioxido-4H-[1,3]oxazolo[5,4-h][1,2,4]benzothiadiazin-3-yl)-4-hydroxy-1-(isobutylamino)quinolin-2(1H)-one;

5       methyl {3-[4-hydroxy-1-(isobutylamino)-2-oxo-1,2-dihydroquinolin-3-yl]-1,1-dioxido-4H-[1,3]oxazolo[5,4-h][1,2,4]benzothiadiazin-8-yl}acetate;

6       4-hydroxy-3-(8-{{(3R)-3-hydroxypyrrolidin-1-yl)methyl}-1,1-dioxido-4H-[1,3]oxazolo[5,4-h][1,2,4]benzothiadiazin-3-yl)-1-(isobutylamino)quinolin-2(1H)-one;

7       3-[1,1-dioxido-8-(pyridinium-1-ylmethyl)-4H-[1,3]oxazolo[5,4-h][1,2,4]benzothiadiazin-3-yl]-1-(isobutylamino)-2-oxo-1,2-dihydroquinolin-4-olate;

8       3-[1,1-dioxido-8-(pyrrolidin-1-ylmethyl)-4H-[1,3]oxazolo[5,4-h][1,2,4]benzothiadiazin-3-yl]-4-hydroxy-1-(isobutylamino)quinolin-2(1H)-one;

9       3-[8-(3-aminophenyl)-1,1-dioxido-4H-[1,3]oxazolo[5,4-h][1,2,4]benzothiadiazin-3-yl]-4-hydroxy-1-(isobutylamino)quinolin-2(1H)-one;

10      3-[8-(aminomethyl)-1,1-dioxido-4H-[1,3]oxazolo[5,4-h][1,2,4]benzothiadiazin-3-yl]-4-hydroxy-1-(isobutylamino)quinolin-2(1H)-one;

11      4-hydroxy-3-[8-(hydroxymethyl)-1,1-dioxido-4H-[1,3]oxazolo[5,4-h][1,2,4]benzothiadiazin-3-yl]-1-(isobutylamino)quinolin-2(1H)-one;

12      3-{8-[(butylamino)methyl]-1,1-dioxido-4H-[1,3]oxazolo[5,4-h][1,2,4]benzothiadiazin-3-yl}-4-hydroxy-1-(isobutylamino)quinolin-2(1H)-one;

13      3-[9-(butylamino)-1,1-dioxido-4H,8H-[1,4]oxazino[2,3-h][1,2,4]benzothiadiazin-3-yl]-4-hydroxy-1-(isobutylamino)quinolin-2(1H)-one;

14      4-hydroxy-1-(3-methylbutyl)-3-(8-methyl-1,1-dioxido-4H-[1,3]oxazolo[5,4-h][1,2,4]benzothiadiazin-3-yl)-1,8-naphthyridin-2(1H)-one;

15      3-[1,1-dioxido-8-(trifluoromethyl)-4,7-dihydroimidazo[4,5-h][1,2,4]benzothiadiazin-3-yl]-4-hydroxy-1-(3-methylbutyl)-1,8-naphthyridin-2(1H)-one;

16      4-hydroxy-3-(8-hydroxy-1,1-dioxido-4,7-dihydroimidazo[4,5-h][1,2,4]benzothiadiazin-3-yl)-1-(3-methylbutyl)-1,8-naphthyridin-2(1H)-one;

17      4-hydroxy-1-(3-methylbutyl)-3-(8-methyl-1,1-dioxido-4,7-dihydroimidazo[4,5-h][1,2,4]benzothiadiazin-3-yl)-1,8-naphthyridin-2(1H)-one;

18      3-[1,1-dioxido-8-(pentafluoroethyl)-4,7-dihydroimidazo[4,5-h][1,2,4]benzothiadiazin-3-yl]-4-hydroxy-1-(3-methylbutyl)-1,8-naphthyridin-2(1H)-one;

19      3-[8-(chloromethyl)-1,1-dioxido-4,7-dihydroimidazo[4,5-h][1,2,4]benzothiadiazin-3-yl]-4-hydroxy-1-(3-methylbutyl)-1,8-naphthyridin-2(1H)-one;

20      {3-[4-hydroxy-1-(3-methylbutyl)-2-oxo-1,2-dihydro-1,8-naphthyridin-3-yl]-1,1-dioxido-4,7-dihydroimidazo[4,5-h][1,2,4]benzothiadiazin-8-yl}acetonitrile;

21      methyl {3-[4-hydroxy-1-(3-methylbutyl)-2-oxo-1,2-dihydro-1,8-naphthyridin-3-yl]-1,1-dioxido-4,7-dihydroimidazo[4,5-h][1,2,4]benzothiadiazin-8-yl}acetate;

3-(9,9-dioxido-6*H*-[1,2,5]thiadiazolo[3,4-*h*][1,2,4]benzothiadiazin-7-yl)-4-hydroxy-1-(3-methylbutyl)-1,8-naphthyridin-2(1*H*)-one;

3-(8-amino-1,1-dioxido-4,7-dihydroimidazo[4,5-*h*][1,2,4]benzothiadiazin-3-yl)-4-hydroxy-1-(3-methylbutyl)-1,8-naphthyridin-2(1*H*)-one; and

5 4-hydroxy-3-[8-(hydroxymethyl)-1,1-dioxido-4,9-dihydroimidazo[4,5-*h*][1,2,4]benzothiadiazin-3-yl]-1-(3-methylbutyl)-1,8-naphthyridin-2(1*H*)-one.

10 57. *N*-{3-[1-(cyclobutylamino)-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl]-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl}methanesulfonamide, or a pharmaceutically acceptable salt, stereoisomer or tautomer thereof.

15 58. *N*-[(3-{1-[(cyclopropylmethyl)amino]-4-hydroxy-2-oxo-1,2-dihydroquinolin-3-yl}-1,1-dioxido-4*H*-thieno[2,3-*e*][1,2,4]thiadiazin-7-yl)methyl]methanesulfonamide, or a pharmaceutically acceptable salt, stereoisomer or tautomer thereof.

20 59. *N*-(3-{1-[(cyclopropylmethyl)amino]-4-hydroxy-2-oxo-1,2-dihydro-3-quinolinyl}-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl)methanesulfonamide, or a pharmaceutically acceptable salt, stereoisomer or tautomer thereof.

25 60. *N*-{3-[1-(cyclobutylamino)-4-hydroxy-2-oxo-1,2-dihydro-3-quinolinyl]-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl}sulfamide, or a pharmaceutically acceptable salt, stereoisomer or tautomer thereof.

30 61. *N*-{3-[1-(cyclobutylamino)-4-hydroxy-2-oxo-1,2-dihydro-3-quinolinyl]-1,1-dioxido-4*H*-1,2,4-benzothiadiazin-7-yl}-*N*<sup>1</sup>-methylsulfamide, or a pharmaceutically acceptable salt, stereoisomer or tautomer thereof.

35 62. A pharmaceutical composition comprising a therapeutically effective amount of a compound or combination of compounds of any one of claims 1, 57, 58, 59, 60 and 61; and a pharmaceutically acceptable carrier.

63. The pharmaceutical composition of claim 62 further comprising one or more agents selected from the group consisting of a host immune modulator and a second antiviral agent, or combination thereof.

35 64. The pharmaceutical composition of claim 63 wherein the host immune modulator is selected from the group consisting of interferon-alpha, pegylated-interferon-alpha, interferon-

beta, interferon-gamma, a cytokine, a vaccine and a vaccine comprising an antigen and an adjuvant.

65. The pharmaceutical composition of claim 63 wherein the second antiviral agent inhibits 5 replication of HCV by inhibiting host cellular functions associated with viral replication.

66. The pharmaceutical composition of claim 63 wherein the second antiviral agent inhibits the replication of HCV by targeting proteins of the viral genome.

10 67. The pharmaceutical composition of claim 62 further comprising an agent or combination of agents that treat or alleviate symptoms of HCV infection including cirrhosis and inflammation of liver.

15 68. The pharmaceutical composition of claim 62 further comprising one or more agents that treat patients for disease caused by hepatitis B (HBV) infection.

69. The pharmaceutical composition of claim 68 wherein the agent that treats patients for disease caused by hepatitis B (HBV) infection is selected from the group consisting of L-deoxythymidine, adefovir, lamivudine and tenfovir.

20 70. The pharmaceutical composition of claim 62 further comprising one or more agents that treat patients for disease caused by human immunodeficiency virus (HIV) infection.

25 71. The pharmaceutical composition of claim 70 wherein the agent that treats patients for disease caused by human immunodeficiency virus (HIV) infection is selected from the group consisting of ritonavir, lopinavir, indinavir, nelfinavir, saquinavir, amprenavir, atazanavir, tipranavir, TMC-114, fosamprenavir, zidovudine, lamivudine, didanosine, stavudine, tenofovir, zalcitabine, abacavir, efavirenz, nevirapine, delavirdine, TMC-125, L-870812, S-1360, enfuvirtide (T-20) and T-1249, or any combination thereof.

30 72. A method of treating or preventing infection caused by an RNA-containing virus comprising administering to a patient in need of such treatment a pharmaceutical composition of any one of claims 62, 63, 64, 65, 66, 67, 68, 69, 70 and 71.

35 73. A method of inhibiting the replication of an RNA-containing virus comprising contacting said virus with a therapeutically effective amount of a compound or combination of compounds of any one of claims 1, 57, 58, 59, 60 and 61.

74. A method of treating or preventing infection caused by an RNA-containing virus comprising administering to a patient in need of such treatment a therapeutically effective amount of a compound or combination of compounds of any one of claims 1, 57, 58, 59, 60 and 61.

5

75. The method of claim 72 wherein the RNA-containing virus is hepatitis C virus.

76. The method of claim 75 further comprising the step of co-administering one or more agents selected from the group consisting of a host immune modulator and a second antiviral agent, or a combination thereof.

10 77. The method of claim 76 wherein the host immune modulator is selected from the group consisting of interferon-alpha, pegylated-interferon-alpha, interferon-beta, interferon-gamma, a cytokine, a vaccine and a vaccine comprising an antigen and an adjuvant.

15

78. The method of claim 76 wherein the second antiviral agent inhibits replication of HCV by inhibiting host cellular functions associated with viral replication.

20 79. The method of claim 76 wherein the second antiviral agent inhibits the replication of HCV by targeting proteins of the viral genome.

80. The method of claim 75 further comprising the step of co-administering an agent or combination of agents that treat or alleviate symptoms of HCV infection including cirrhosis and inflammation of the liver.

25

81. The method of claim 75 further comprising the step of co-administering one or more agents that treat patients for disease caused by hepatitis B (HBV) infection.

30 82. The method of claim 81 wherein the agent that treats patients for disease caused by hepatitis B (HBV) infection is selected from the group consisting of L-deoxythymidine, adefovir, lamivudine and tenovir, or any combination thereof.

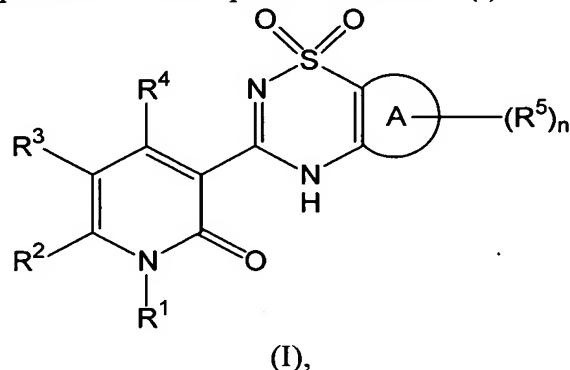
35 83. The method of claim 75 further comprising the step of co-administering one or more agents that treat patients for disease caused by human immunodeficiency virus (HIV) infection.

84. The method of claim 83 wherein the agent that treats patients for disease caused by human immunodeficiency virus (HIV) infection is selected from the group consisting of

ritonavir, lopinavir, indinavir, nelfinavir, saquinavir, amprenavir, atazanavir, tipranavir, TMC-114, fosamprenavir, zidovudine, lamivudine, didanosine, stavudine, tenofovir, zalcitabine, abacavir, efavirenz, nevirapine, delavirdine, TMC-125, L-870812, S-1360, enfuvirtide (T-20) and T-1249, or any combination thereof.

5

85. A process for the preparation of a compound of formula (I)



or a pharmaceutically acceptable salt form, stereoisomer or tautomer thereof, wherein:

10

A is a monocyclic or bicyclic ring selected from the group consisting of aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocycle;

15  $R^1$  is selected from the group consisting of hydrogen, alkenyl, alkoxyalkyl, alkoxy carbonylalkyl, alkyl, alkyl carbonylalkyl, alkylsulfanylalkyl, alkylsulfinylalkyl, alkylsulfonylalkyl, alkynyl, aryl, arylalkenyl, arylalkyl, arylsulfanylalkyl, arylsulfonylalkyl, carboxyalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, (cycloalkyl)alkenyl, (cycloalkyl)alkyl, formylalkyl, haloalkoxyalkyl, haloalkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heteroarylsulfonylalkyl, heterocycle, heterocyclealkenyl, heterocyclealkyl, 20 hydroxyalkyl, nitroalkyl,  $R_aR_bN^-$ ,  $R_aR_bNalkyl^-$ ,  $R_aR_bNC(O)alkyl^-$ ,  $R_aR_bNC(O)Oalkyl^-$ ,  $R_aR_bNC(O)NR_calkyl^-$ ,  $R_fR_gC=N^-$  and  $R_kO^-$ , wherein  $R^1$  is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>e</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, 25 -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>e</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>e</sub>;

30  $R^2$  and  $R^3$  are independently selected from the group consisting of hydrogen, alkenyl, alkynyl, alkoxyalkyl, alkoxy carbonyl, alkyl, aryl, arylalkyl, heteroaryl, heterocycle, heteroarylalkyl, cyano, halo, -N(R<sub>a</sub>)(R<sub>b</sub>),  $R_aR_bNC(O)^-$ , -SR<sub>a</sub>, -S(O)R<sub>a</sub>, -S(O)<sub>2</sub>R<sub>a</sub> and  $R_aC(O)^-$ ; wherein  $R^2$  and  $R^3$  are independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of  $R_a$ , alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro,

haloalkyl, -(alkyl)(OR<sub>k</sub>), -(alkyl)(NR<sub>a</sub>R<sub>b</sub>), -SR<sub>a</sub>, -S(O)R<sub>a</sub>, -S(O)<sub>2</sub>R<sub>a</sub>, -OR<sub>k</sub>, -N(R<sub>a</sub>)(R<sub>b</sub>), -C(O)R<sub>a</sub>, -C(O)OR<sub>a</sub> and -C(O)NR<sub>a</sub>R<sub>b</sub>;

alternatively, R<sup>2</sup> and R<sup>3</sup>, together with the carbon atoms to which they are attached  
5 form a five- or six-membered ring selected from the group consisting of aryl, cycloalkyl, heteroaryl and heterocycle, wherein said aryl, cycloalkyl, heteroaryl and heterocycle is optionally substituted with (R<sup>6</sup>)<sub>m</sub>;

R<sup>4</sup> is selected from the group consisting of alkoxy, arylalkoxy, aryloxy, halo,  
10 hydroxy, R<sub>a</sub>R<sub>b</sub>N-, N<sub>3</sub>-, R<sub>e</sub>S-, wherein R<sup>4</sup> is independently substituted with 0, 1 or 2 substituents independently selected from the group consisting of halo, nitro, cyano, -OH, -NH<sub>2</sub>, and -COOH;

R<sup>5</sup> is independently selected at each occurrence from the group consisting of alkenyl,  
15 alkoxy, alkyl, alkynyl, aryl, arylalkyl, arylcarbonyl, aryloxy, azidoalkyl, formyl, halo, haloalkyl, halocarbonyl, heteroaryl, heteroarylalkyl, heterocycle, heterocyclealkyl, hydroxyalkyl, cycloalkyl, cyano, cyanoalkyl, nitro, R<sub>a</sub>R<sub>b</sub>N-, R<sub>a</sub>C(O)-, R<sub>a</sub>S-, R<sub>a</sub>(O)S-, R<sub>a</sub>(O)<sub>2</sub>S-, R<sub>a</sub>R<sub>b</sub>Nalkyl-, R<sub>a</sub>(O)SN(R<sub>f</sub>)-, R<sub>a</sub>SO<sub>2</sub>N(R<sub>f</sub>)-, R<sub>a</sub>(O)SN(R<sub>f</sub>)alkyl-, R<sub>a</sub>SO<sub>2</sub>N(R<sub>f</sub>)alkyl-, R<sub>a</sub>R<sub>b</sub>NSO<sub>2</sub>N(R<sub>f</sub>)-, R<sub>a</sub>R<sub>b</sub>NSO<sub>2</sub>N(R<sub>f</sub>)alkyl-, R<sub>a</sub>R<sub>b</sub>NC(O)-, R<sub>k</sub>OC(O)-, R<sub>k</sub>OC(O)alkyl-,  
20 R<sub>k</sub>Oalkyl-, R<sub>a</sub>R<sub>b</sub>NSO<sub>2</sub>- R<sub>a</sub>R<sub>b</sub>NSO<sub>2</sub>alkyl-, (R<sub>b</sub>O)(R<sub>a</sub>)P(O)O- and -OR<sub>k</sub>, wherein each R<sup>5</sup> is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and  
25 -C(O)NR<sub>c</sub>R<sub>d</sub>;

R<sup>6</sup> is independently selected at each occurrence from the group consisting of alkyl, alkenyl, alkynyl, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, heterocyclealkyl, -(alkyl)(OR<sub>k</sub>), -(alkyl)(NR<sub>a</sub>R<sub>b</sub>), -SR<sub>a</sub>, -S(O)R<sub>a</sub>, -S(O)<sub>2</sub>R<sub>a</sub>, -OR<sub>k</sub>, -N(R<sub>a</sub>)(R<sub>b</sub>), -C(O)R<sub>a</sub>, -C(O)OR<sub>a</sub> and -C(O)NR<sub>a</sub>R<sub>b</sub>; wherein each R<sup>6</sup> is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, haloalkyl, cyano, nitro, -OR<sub>a</sub>, -NR<sub>a</sub>R<sub>b</sub>, -SR<sub>a</sub>, -SOR<sub>a</sub>, -SO<sub>2</sub>R<sub>a</sub>, -C(O)OR<sub>a</sub>, -C(O)NR<sub>a</sub>R<sub>b</sub> and -NC(O)R<sub>a</sub>;

35 R<sub>a</sub> and R<sub>b</sub>, at each occurrence, are independently selected from the group consisting of hydrogen, alkenyl, alkyl, alkylsulfanylalkyl, aryl, arylalkenyl, arylalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, formylalkyl, haloalkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heterocycle, heterocyclealkenyl,

heterocyclealkyl, hydroxyalkylcarbonyl, nitroalkyl,  $R_cR_dN-$ ,  $R_kO-$ .  $R_kOalkyl-$ ,  $R_cR_dNalkyl-$ ,  $R_cR_dNC(O)alkyl-$ ,  $R_cSO_2-$ ,  $R_cSO_2alkyl-$ ,  $R_cC(O)-$ ,  $R_cC(O)alkyl-$ ,  $R_cOC(O)-$ ,  $R_cOC(O)alkyl-$ ,  $R_cR_dNalkylC(O)-$ ,  $R_cR_dNC(O)-$ ,  $R_cR_dNC(O)Oalkyl-$ ,  $R_cR_dNC(O)N(R_e)alkyl-$ , wherein  $R_a$  and  $R_b$  are substituted with 0, 1 or 2 substituents selected from the group consisting of alkyl,

5 alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

alternatively,  $R_a$  and  $R_b$ , together with the nitrogen atom to which they are attached

10 form a three- to six-membered ring selected from the group consisting of heteroaryl and heterocycle, wherein the heteroaryl and heterocycle are independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -alkylSO<sub>2</sub>NR<sub>c</sub>R<sub>d</sub>, -alkylC(O)NR<sub>c</sub>R<sub>d</sub>, -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

$R_c$  and  $R_d$ , at each occurrence, are independently selected from the group consisting of hydrogen, -NR<sub>f</sub>R<sub>h</sub>, -OR<sub>f</sub>, -CO(R<sub>f</sub>), -SR<sub>f</sub>, -SOR<sub>f</sub>, -SO<sub>2</sub>R<sub>f</sub>, -C(O)NR<sub>f</sub>R<sub>h</sub>, -SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>,

20 -C(O)OR<sub>f</sub>, alkenyl, alkyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, arylalkyl, haloalkyl, heteroaryl, heteroarylalkyl, heterocycle and heterocyclealkyl; wherein each  $R_c$  and  $R_d$  is independently substituted with 0, 1, 2, or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>f</sub>), -(alkyl)(NR<sub>f</sub>R<sub>h</sub>), -SR<sub>f</sub>, -S(O)R<sub>f</sub>, -S(O)<sub>2</sub>R<sub>f</sub>, -OR<sub>f</sub>, -N(R<sub>f</sub>)(R<sub>h</sub>), -C(O)R<sub>f</sub>, -C(O)OR<sub>f</sub>, -C(O)NR<sub>f</sub>R<sub>h</sub>, -C(O)N(H)NR<sub>f</sub>R<sub>h</sub>, -N(R<sub>e</sub>)C(O)OR<sub>f</sub>, -N(R<sub>e</sub>)SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, -N(R<sub>e</sub>)C(O)NR<sub>f</sub>R<sub>h</sub>, -alkylN(R<sub>e</sub>)C(O)OR<sub>f</sub>, -alkylN(R<sub>e</sub>)SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, and -alkylN(R<sub>e</sub>)C(O)NR<sub>f</sub>R<sub>h</sub>;

30 alternatively,  $R_c$  and  $R_d$ , together with the nitrogen atom to which they are attached form a three- to six-membered ring selected from the group consisting of heteroaryl and heterocycle, wherein the heteroaryl and heterocycle are independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>f</sub>), -(alkyl)(NR<sub>f</sub>R<sub>h</sub>), -SR<sub>f</sub>, -S(O)R<sub>f</sub>, -S(O)<sub>2</sub>R<sub>f</sub>, -OR<sub>f</sub>, -N(R<sub>f</sub>)(R<sub>h</sub>), -C(O)R<sub>f</sub>, -C(O)OR<sub>f</sub> and -C(O)NR<sub>f</sub>R<sub>h</sub>;

$R_e$  is selected from the group consisting of hydrogen, alkenyl, alkyl and cycloalkyl;

$R_f$ ,  $R_g$  and  $R_h$ , at each occurrence, are independently selected from the group consisting of hydrogen, alkyl, alkenyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, heterocycle, heterocyclealkyl, heteroaryl and

5 heteroarylalkyl; wherein each  $R_f$ ,  $R_g$  and  $R_h$  is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, cyano, halo, oxo, nitro, aryl, arylalkyl, cycloalkyl, cycloalkenyl, heterocycle, heteroaryl, heteroarylalkyl,  $-OH$ ,  $-O(alkyl)$ ,  $-NH_2$ ,  $-N(H)(alkyl)$ ,  $-N(alkyl)_2$ ,  $-S(alkyl)$ ,  $-S(O)(alkyl)$ ,  $-SO_2alkyl$ ,  $-alkyl-OH$ ,  $-alkyl-O-alkyl$ ,  $-alkylNH_2$ ,  $-alkylN(H)(alkyl)$ ,  $-alkylN(alkyl)_2$ ,

10  $-alkylS(alkyl)$ ,  $-alkylS(O)(alkyl)$ ,  $-alkylSO_2alkyl$ ,  $-N(H)C(O)NH_2$ ,  $-C(O)OH$ ,  $-C(O)O(alkyl)$ ,  $-C(O)alkyl$ ,  $-C(O)NH_2$ ,  $-C(O)NH_2$ ,  $-C(O)N(H)(alkyl)$ , and  $-C(O)N(alkyl)_2$ ;

alternatively,  $R_f$  and  $R_g$  together with the carbon atom to which they are attached form a three- to seven-membered ring selected from the group consisting of cycloalkyl, cycloalkenyl and heterocycle;

alternatively,  $R_f$  and  $R_h$  together with the nitrogen atom to which they are attached form a three- to seven-membered ring selected from the group consisting of heterocycle and heteroaryl; wherein each of the heterocycle and heteroaryl is independently substituted with

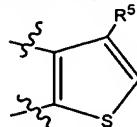
20 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, cyano, halo, oxo, nitro, aryl, arylalkyl, cycloalkyl, cycloalkenyl, heterocycle, heteroaryl, heteroarylalkyl,  $-OH$ ,  $-O(alkyl)$ ,  $-NH_2$ ,  $-N(H)(alkyl)$ ,  $-N(alkyl)_2$ ,  $-S(alkyl)$ ,  $-S(O)(alkyl)$ ,  $-alkyl-OH$ ,  $-alkyl-O-alkyl$ ,  $-alkylNH_2$ ,  $-alkylN(H)(alkyl)$ ,  $-alkylS(alkyl)$ ,  $-alkylS(O)(alkyl)$ ,  $-alkylSO_2alkyl$ ,  $-alkylN(alkyl)_2$ ,  $-N(H)C(O)NH_2$ ,  $-C(O)OH$ ,  $-C(O)O(alkyl)$ ,  $-C(O)alkyl$ ,  $-C(O)NH_2$ ,  $-C(O)NH_2$ ,  $-C(O)N(H)(alkyl)$ , and  $-C(O)N(alkyl)_2$ ;

$R_k$  is selected from the group consisting of hydrogen, alkenyl, alkyl, aryl, arylalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkyl, formylalkyl, haloalkyl, heteroaryl, heteroarylalkyl, heterocycle, heterocyclealkyl, nitroalkyl,  $R_aR_bNalkyl$ ,  $R_aOalkyl$ ,  $R_aR_bNC(O)-$ ,  $R_aR_bNC(O)alkyl$ ,  $R_aS-$ ,  $R_aS(O)-$ ,  $R_aSO_2-$ ,  $R_aSalkyl$ ,  $R_a(O)Salkyl$ ,  $R_aSO_2alkyl$ ,  $R_aOC(O)-$ ,  $R_aOC(O)alkyl$ ,  $R_aC(O)-$ ,  $R_aC(O)alkyl$ , wherein each  $R_k$  is substituted with 0, 1, 2, or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl,  $-(alkyl)(OR_c)$ ,  $-(alkyl)(NR_cR_d)$ ,  $-SR_c$ ,  $-S(O)R_c$ ,  $-S(O)_2R_c$ ,  $-OR_c$ ,  $-N(R_c)(R_d)$ ,  $-C(O)R_c$ ,  $-C(O)OR_c$  and  $-C(O)NR_cR_d$ ;

$m$  is 0, 1, 2, 3, or 4; and

n is 0, 1, 2, 3, or 4;

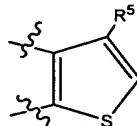
with the proviso that when A is a monocyclic ring other than



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and R<sup>4</sup> is alkoxy, aryloxy, hydroxy or R<sub>e</sub>S-, and R<sup>5</sup> is hydrogen, alkenyl, alkoxy, alkyl, alkynyl, aryl, halo, heteroaryl, heterocyclealkyl, cycloalkyl, cyano, nitro, R<sub>a</sub>R<sub>b</sub>N-, R<sub>a</sub>C(O)-, R<sub>a</sub>S-, R<sub>a</sub>(O)S-, R<sub>a</sub>(O)2S-, R<sub>a</sub>SO<sub>2</sub>N(R<sub>f</sub>)-, R<sub>a</sub>R<sub>b</sub>NC(O)-, R<sub>k</sub>OC(O)-, R<sub>a</sub>R<sub>b</sub>NSO<sub>2</sub>- or -OR<sub>k</sub>, and R<sup>6</sup> is hydrogen, alkyl, alkenyl, alkynyl, halo, cyano, nitro, aryl, heteroaryl, heterocyclealkyl, -SR<sub>a</sub>, -S(O)R<sub>a</sub>, -S(O)2R<sub>a</sub>, -OR<sub>k</sub>, -N(R<sub>a</sub>)(R<sub>b</sub>), -C(O)R<sub>a</sub>, -C(O)OR<sub>a</sub> and -C(O)NR<sub>a</sub>R<sub>b</sub>, then R<sup>1</sup> is not hydrogen, alkenyl, alkyl, alkynyl, aryl, arylalkenyl, arylalkyl, cycloalkyl, (cycloalkyl)alkenyl, (cycloalkyl)alkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heterocyclealkenyl or heterocyclealkyl;

and with the further proviso that when A is

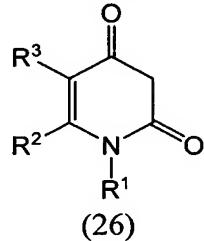


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and R<sup>4</sup> is hydroxy or R<sub>e</sub>S-, and R<sup>5</sup> is hydrogen, unsubstituted alkyl, halo or -OR<sub>k</sub>, and R<sup>6</sup> is hydrogen, alkyl, alkenyl, alkynyl, halo, cyano, nitro, aryl, heteroaryl, heterocyclealkyl, -SR<sub>a</sub>, -S(O)R<sub>a</sub>, -S(O)2R<sub>a</sub>, -OR<sub>k</sub>, -N(R<sub>a</sub>)(R<sub>b</sub>), -C(O)R<sub>a</sub>, -C(O)OR<sub>a</sub> and -C(O)NR<sub>a</sub>R<sub>b</sub>, then R<sup>1</sup> is not hydrogen, alkenyl, alkyl, alkynyl, aryl, arylalkenyl, arylalkyl, cycloalkyl, (cycloalkyl)alkenyl, (cycloalkyl)alkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heterocyclealkenyl or heterocyclealkyl;

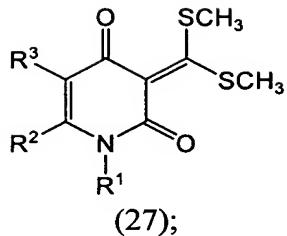
comprising:

25 (a) contacting a compound of formula (26)



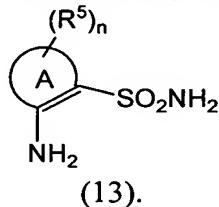
(26)

with carbon disulfide and a methylating agent in the presence of a base to provide a compound of formula (27)



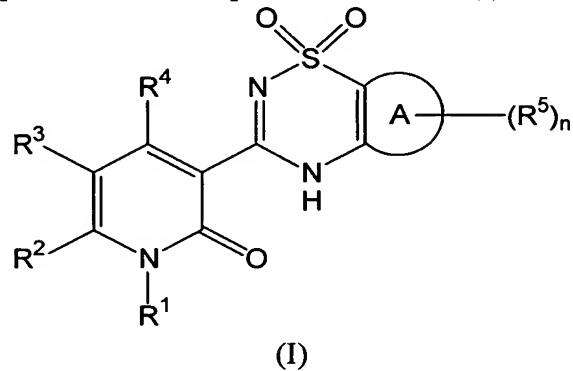
and

(b) contacting the compound of formula (27) with a compound of formula (13)



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86. A process for the preparation of a compound of formula (I),



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or a pharmaceutically acceptable salt form, stereoisomer or tautomer thereof, wherein:

A is a monocyclic or bicyclic ring selected from the group consisting of aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocycle;

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**20**  $R^1$  is selected from the group consisting of hydrogen, alkenyl, alkoxyalkyl, alkoxy carbonylalkyl, alkyl, alkyl carbonylalkyl, alkylsulfanylalkyl, alkylsulfinylalkyl, alkylsulfonylalkyl, alkynyl, aryl, arylalkenyl, arylalkyl, arylsulfanylalkyl, arylsulfonylalkyl, carboxyalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, (cycloalkyl)alkenyl, (cycloalkyl)alkyl, formylalkyl, haloalkoxyalkyl, haloalkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heteroarylsulfonylalkyl, heterocycle, heterocyclealkenyl, heterocyclealkyl, hydroxyalkyl, nitroalkyl,  $R_aR_bN^-$ ,  $R_aR_bNalkyl^-$ ,  $R_aR_bNC(O)alkyl^-$ ,  $R_aR_bNC(O)Oalkyl^-$ ,  $R_aR_bNC(O)NR_calkyl^-$ ,  $R_fR_gC=N^-$  and  $R_kO^-$ , wherein  $R^1$  is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl,  $-(alkyl)(OR_c)$ ,  $-(alkyl)(NR_cR_e)$ ,  $-SR_c$ ,  $-S(O)R_c$ ,

-S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>e</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>e</sub>;

R<sup>2</sup> and R<sup>3</sup> are independently selected from the group consisting of hydrogen, alkenyl, alkynyl, alkoxyalkyl, alkoxy carbonyl, alkyl, aryl, arylalkyl, heteroaryl, heterocycle,

5 heteroarylalkyl, cyano, halo, -N(R<sub>a</sub>)(R<sub>b</sub>), R<sub>a</sub>R<sub>b</sub>NC(O)-, -SR<sub>a</sub>, -S(O)R<sub>a</sub>, -S(O)<sub>2</sub>R<sub>a</sub> and R<sub>a</sub>C(O)-; wherein R<sup>2</sup> and R<sup>3</sup> are independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of R<sub>a</sub>, alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, -(alkyl)(OR<sub>k</sub>), -(alkyl)(NR<sub>a</sub>R<sub>b</sub>), -SR<sub>a</sub>, -S(O)R<sub>a</sub>, -S(O)<sub>2</sub>R<sub>a</sub>, -OR<sub>k</sub>, -N(R<sub>a</sub>)(R<sub>b</sub>), -C(O)R<sub>a</sub>, -C(O)OR<sub>a</sub> and -C(O)NR<sub>a</sub>R<sub>b</sub>;

10 alternatively, R<sup>2</sup> and R<sup>3</sup>, together with the carbon atoms to which they are attached form a five- or six-membered ring selected from the group consisting of aryl, cycloalkyl, heteroaryl and heterocycle, wherein said aryl, cycloalkyl, heteroaryl and heterocycle is optionally substituted with (R<sup>6</sup>)<sub>m</sub>;

15 R<sup>4</sup> is selected from the group consisting of alkoxy, arylalkoxy, aryloxy, halo, hydroxy, R<sub>a</sub>R<sub>b</sub>N-, N<sub>3</sub>-, R<sub>c</sub>S-, wherein R<sup>4</sup> is independently substituted with 0, 1 or 2 substituents independently selected from the group consisting of halo, nitro, cyano, -OH, -NH<sub>2</sub>, and -COOH;

20 R<sup>5</sup> is independently selected at each occurrence from the group consisting of alkenyl, alkoxy, alkyl, alkynyl, aryl, arylalkyl, arylcarbonyl, aryloxy, azidoalkyl, formyl, halo, haloalkyl, halocarbonyl, heteroaryl, heteroarylalkyl, heterocycle, heterocyclealkyl, hydroxyalkyl, cycloalkyl, cyano, cyanoalkyl, nitro, R<sub>a</sub>R<sub>b</sub>N-, R<sub>a</sub>C(O)-, R<sub>a</sub>S-, R<sub>a</sub>(O)S-, 25 R<sub>a</sub>(O)<sub>2</sub>S-, R<sub>a</sub>R<sub>b</sub>Nalkyl-, R<sub>a</sub>(O)SN(R<sub>f</sub>)-, R<sub>a</sub>SO<sub>2</sub>N(R<sub>f</sub>)-, R<sub>a</sub>(O)SN(R<sub>f</sub>)alkyl-, R<sub>a</sub>SO<sub>2</sub>N(R<sub>f</sub>)alkyl-, R<sub>a</sub>R<sub>b</sub>NSO<sub>2</sub>N(R<sub>f</sub>)-, R<sub>a</sub>R<sub>b</sub>NSO<sub>2</sub>N(R<sub>f</sub>)alkyl-, R<sub>a</sub>R<sub>b</sub>NC(O)-, R<sub>k</sub>OC(O)-, R<sub>k</sub>OC(O)alkyl-, R<sub>k</sub>Oalkyl-, R<sub>a</sub>R<sub>b</sub>NSO<sub>2</sub>- R<sub>a</sub>R<sub>b</sub>NSO<sub>2</sub>alkyl-, (R<sub>b</sub>O)(R<sub>a</sub>)P(O)O- and -OR<sub>k</sub>, wherein each R<sup>5</sup> is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, heterocyclealkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

35 R<sup>6</sup> is independently selected at each occurrence from the group consisting of alkyl, alkenyl, alkynyl, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, heterocyclealkyl, -(alkyl)(OR<sub>k</sub>), -(alkyl)(NR<sub>a</sub>R<sub>b</sub>), -SR<sub>a</sub>, -S(O)R<sub>a</sub>, -S(O)<sub>2</sub>R<sub>a</sub>, -OR<sub>k</sub>, -N(R<sub>a</sub>)(R<sub>b</sub>), -C(O)R<sub>a</sub>, -C(O)OR<sub>a</sub> and -C(O)NR<sub>a</sub>R<sub>b</sub>; wherein each R<sup>6</sup> is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group

consisting of alkyl, alkenyl, alkynyl, oxo, halo, haloalkyl, cyano, nitro, -OR<sub>a</sub>, -NR<sub>a</sub>R<sub>b</sub>, -SR<sub>a</sub>, -SOR<sub>a</sub>, -SO<sub>2</sub>R<sub>a</sub>, -C(O)OR<sub>a</sub>, -C(O)NR<sub>a</sub>R<sub>b</sub> and -NC(O)R<sub>a</sub>;

R<sub>a</sub> and R<sub>b</sub>, at each occurrence, are independently selected from the group consisting of hydrogen, alkenyl, alkyl, alkylsulfanylalkyl, aryl, arylalkenyl, arylalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, formylalkyl, haloalkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heterocycle, heterocyclealkenyl, heterocyclealkyl, hydroxyalkylcarbonyl, nitroalkyl, R<sub>c</sub>R<sub>d</sub>N-, R<sub>k</sub>O-. R<sub>k</sub>Oalkyl-, R<sub>c</sub>R<sub>d</sub>Nalkyl-, R<sub>c</sub>R<sub>d</sub>NC(O)alkyl-, R<sub>c</sub>SO<sub>2</sub>-, R<sub>c</sub>SO<sub>2</sub>alkyl-, R<sub>c</sub>C(O)-, R<sub>c</sub>C(O)alkyl-, R<sub>c</sub>OC(O)-, R<sub>c</sub>OC(O)alkyl-, R<sub>c</sub>R<sub>d</sub>NalkylC(O)-, R<sub>c</sub>R<sub>d</sub>NC(O)-, R<sub>c</sub>R<sub>d</sub>NC(O)Oalkyl-, R<sub>c</sub>R<sub>d</sub>NC(O)N(R<sub>e</sub>)alkyl-, wherein R<sub>a</sub> and R<sub>b</sub> are substituted with 0, 1 or 2 substituents selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

alternatively, R<sub>a</sub> and R<sub>b</sub>, together with the nitrogen atom to which they are attached form a three- to six-membered ring selected from the group consisting of heteroaryl and heterocycle, wherein the heteroaryl and heterocycle are independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -alkylSO<sub>2</sub>NR<sub>c</sub>R<sub>d</sub>, -alkylC(O)NR<sub>c</sub>R<sub>d</sub>, -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

R<sub>c</sub> and R<sub>d</sub>, at each occurrence, are independently selected from the group consisting of hydrogen, -NR<sub>f</sub>R<sub>h</sub>, -OR<sub>f</sub>, -CO(R<sub>f</sub>), -SR<sub>f</sub>, -SOR<sub>f</sub>, -SO<sub>2</sub>R<sub>f</sub>, -C(O)NR<sub>f</sub>R<sub>h</sub>, -SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, -C(O)OR<sub>f</sub>, alkenyl, alkyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, arylalkyl, haloalkyl, heteroaryl, heteroarylalkyl, heterocycle and heterocyclealkyl; wherein each R<sub>c</sub> and R<sub>d</sub> is independently substituted with 0, 1, 2, or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>f</sub>), -(alkyl)(NR<sub>f</sub>R<sub>h</sub>), -SR<sub>f</sub>, -S(O)R<sub>f</sub>, -S(O)<sub>2</sub>R<sub>f</sub>, -OR<sub>f</sub>, -N(R<sub>f</sub>)(R<sub>h</sub>), -C(O)R<sub>f</sub>, -C(O)OR<sub>f</sub>, -C(O)NR<sub>f</sub>R<sub>h</sub>, -C(O)N(H)NR<sub>f</sub>R<sub>h</sub>, -N(R<sub>e</sub>)C(O)OR<sub>f</sub>, -N(R<sub>e</sub>)SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, -N(R<sub>c</sub>)C(O)NR<sub>f</sub>R<sub>h</sub>, -alkylN(R<sub>e</sub>)C(O)OR<sub>f</sub>, -alkylN(R<sub>c</sub>)SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, and -alkylN(R<sub>e</sub>)C(O)NR<sub>f</sub>R<sub>h</sub>;

alternatively, R<sub>c</sub> and R<sub>d</sub>, together with the nitrogen atom to which they are attached form a three- to six-membered ring selected from the group consisting of heteroaryl and

heterocycle, wherein the heteroaryl and heterocycle are independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>f</sub>), -(alkyl)(NR<sub>f</sub>R<sub>h</sub>), -SR<sub>f</sub>, -S(O)R<sub>f</sub>, -S(O)R<sub>f</sub>, -OR<sub>f</sub>, -N(R<sub>f</sub>)(R<sub>h</sub>), -C(O)R<sub>f</sub>, -C(O)OR<sub>f</sub> and -C(O)NR<sub>f</sub>R<sub>h</sub>;

5 R<sub>e</sub> is selected from the group consisting of hydrogen, alkenyl, alkyl and cycloalkyl;

10 R<sub>f</sub>, R<sub>g</sub> and R<sub>h</sub>, at each occurrence, are independently selected from the group consisting of hydrogen, alkyl, alkenyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, heterocycle, heterocyclealkyl, heteroaryl and heteroarylalkyl; wherein each R<sub>f</sub>, R<sub>g</sub> and R<sub>h</sub> is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, cyano, halo, oxo, nitro, aryl, arylalkyl, cycloalkyl, cycloalkenyl, heterocycle, heteroaryl, heteroarylalkyl, -OH, -O(alkyl), -NH<sub>2</sub>, -N(H)(alkyl), -N(alkyl)<sub>2</sub>, -S(alkyl), -S(O)(alkyl), -SO<sub>2</sub>alkyl, -alkyl-OH, -alkyl-O-alkyl, -alkylNH<sub>2</sub>, -alkylN(H)(alkyl), -alkylN(alkyl)<sub>2</sub>, -alkylS(alkyl), -alkylS(O)(alkyl), -alkylSO<sub>2</sub>alkyl, -N(H)C(O)NH<sub>2</sub>, -C(O)OH, -C(O)O(alkyl), -C(O)alkyl, -C(O)NH<sub>2</sub>, -C(O)NH<sub>2</sub>, -C(O)N(H)(alkyl), and -C(O)N(alkyl)<sub>2</sub>;

15

20 alternatively, R<sub>f</sub> and R<sub>g</sub> together with the carbon atom to which they are attached form a three- to seven-membered ring selected from the group consisting of cycloalkyl, cycloalkenyl and heterocycle;

25 alternatively, R<sub>f</sub> and R<sub>h</sub> together with the nitrogen atom to which they are attached form a three- to seven-membered ring selected from the group consisting of heterocycle and heteroaryl; wherein each of the heterocycle and heteroaryl is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, cyano, halo, oxo, nitro, aryl, arylalkyl, cycloalkyl, cycloalkenyl, heterocycle, heteroaryl, heteroarylalkyl, -OH, -O(alkyl), -NH<sub>2</sub>, -N(H)(alkyl), -N(alkyl)<sub>2</sub>, -S(alkyl), -S(alkyl), -S(O)(alkyl), -alkyl-OH, -alkyl-O-alkyl, -alkylNH<sub>2</sub>, -alkylN(H)(alkyl), -alkylS(alkyl), -alkylS(O)(alkyl), -alkylSO<sub>2</sub>alkyl, -alkylN(alkyl)<sub>2</sub>, -N(H)C(O)NH<sub>2</sub>, -C(O)OH, -C(O)O(alkyl), -C(O)alkyl, -C(O)NH<sub>2</sub>, -C(O)NH<sub>2</sub>, -C(O)N(H)(alkyl), and -C(O)N(alkyl)<sub>2</sub>;

30

35 R<sub>k</sub> is selected from the group consisting of hydrogen, alkenyl, alkyl, aryl, arylalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkyl, formylalkyl, haloalkyl, heteroaryl, heteroarylalkyl, heterocycle, heterocyclealkyl, nitroalkyl, R<sub>a</sub>R<sub>b</sub>Nalkyl-, R<sub>a</sub>Oalkyl-, R<sub>a</sub>R<sub>b</sub>NC(O)-, R<sub>a</sub>R<sub>b</sub>NC(O)alkyl, R<sub>a</sub>S-, R<sub>a</sub>S(O)-, R<sub>a</sub>SO<sub>2</sub>-, R<sub>a</sub>Salkyl-, R<sub>a</sub>(O)Salkyl-, R<sub>a</sub>SO<sub>2</sub>alkyl-, R<sub>a</sub>OC(O)-, R<sub>a</sub>OC(O)alkyl-, R<sub>a</sub>C(O)-, R<sub>a</sub>C(O)alkyl-, wherein each R<sub>k</sub> is

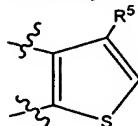
substituted with 0, 1, 2, or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

5

m is 0, 1, 2, 3, or 4; and

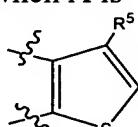
n is 0, 1, 2, 3, or 4;

10 with the proviso that when A is a monocyclic ring other than



15 and R<sup>4</sup> is alkoxy, aryloxy, hydroxy or R<sub>e</sub>S-, and R<sup>5</sup> is hydrogen, alkenyl, alkoxy, alkyl, alkynyl, aryl, halo, heteroaryl, heterocyclealkyl, cycloalkyl, cyano, nitro, R<sub>a</sub>R<sub>b</sub>N-, R<sub>a</sub>C(O)-, R<sub>a</sub>S-, R<sub>a</sub>(O)S-, R<sub>a</sub>(O)<sub>2</sub>S-, R<sub>a</sub>SO<sub>2</sub>N(R<sub>f</sub>)-, R<sub>a</sub>R<sub>b</sub>NC(O)-, R<sub>k</sub>OC(O)-, R<sub>a</sub>R<sub>b</sub>NSO<sub>2</sub>- or -OR<sub>k</sub>, and R<sup>6</sup> is hydrogen, alkyl, alkenyl, alkynyl, halo, cyano, nitro, aryl, heteroaryl, heterocyclealkyl, -SR<sub>a</sub>, -S(O)R<sub>a</sub>, -S(O)<sub>2</sub>R<sub>a</sub>, -OR<sub>k</sub>, -N(R<sub>a</sub>)(R<sub>b</sub>), -C(O)R<sub>a</sub>, -C(O)OR<sub>a</sub> and -C(O)NR<sub>a</sub>R<sub>b</sub>, then R<sup>1</sup> is not hydrogen, alkenyl, alkyl, alkynyl, aryl, arylalkenyl, arylalkyl, cycloalkyl, (cycloalkyl)alkenyl, (cycloalkyl)alkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heterocyclealkenyl or heterocyclealkyl;

20 and with the further proviso that when A is

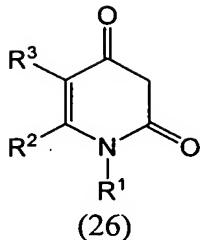


25 and R<sup>4</sup> is hydroxy or R<sub>e</sub>S-, and R<sup>5</sup> is hydrogen, unsubstituted alkyl, halo or -OR<sub>k</sub>, and R<sup>6</sup> is hydrogen, alkyl, alkenyl, alkynyl, halo, cyano, nitro, aryl, heteroaryl, heterocyclealkyl, -SR<sub>a</sub>, -S(O)R<sub>a</sub>, -S(O)<sub>2</sub>R<sub>a</sub>, -OR<sub>k</sub>, -N(R<sub>a</sub>)(R<sub>b</sub>), -C(O)R<sub>a</sub>, -C(O)OR<sub>a</sub> and -C(O)NR<sub>a</sub>R<sub>b</sub>, then R<sup>1</sup> is not hydrogen, alkenyl, alkyl, alkynyl, aryl, arylalkenyl, arylalkyl, cycloalkyl, (cycloalkyl)alkenyl, (cycloalkyl)alkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heterocyclealkenyl or heterocyclealkyl;

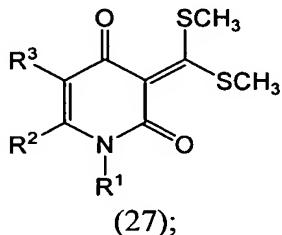
30

comprising:

(a) contacting a compound of formula (26)



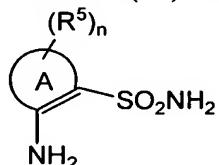
with tris(methylthio)methyl methyl sulfate in the presence of a base to provide a compound of formula (27)



5

and

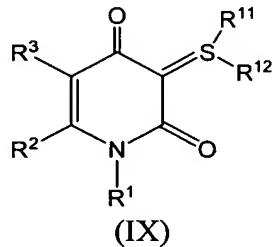
(b) contacting the compound of formula (27) with a compound of formula (13)



10

(13).

87. A compound having formula (IX),



15

or a pharmaceutically acceptable salt form, tautomer or stereoisomer thereof, wherein

1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>e</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>e</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>e</sub>;

5

R<sup>2</sup> and R<sup>3</sup> are independently selected from the group consisting of hydrogen, alkenyl, alkynyl, alkoxyalkyl, alkoxy carbonyl, alkyl, aryl, arylalkyl, heteroaryl, heterocycle, heteroarylalkyl, cyano, halo, -N(R<sub>a</sub>)(R<sub>b</sub>), R<sub>a</sub>R<sub>b</sub>NC(O)-, -SR<sub>a</sub>, -S(O)R<sub>a</sub>, -S(O)<sub>2</sub>R<sub>a</sub> and R<sub>a</sub>C(O)-; wherein R<sup>2</sup> and R<sup>3</sup> are independently substituted with 0, 1, 2 or 3 substituents independently

10 selected from the group consisting of R<sub>a</sub>, alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, -(alkyl)(OR<sub>k</sub>), -(alkyl)(NR<sub>a</sub>R<sub>b</sub>), -SR<sub>a</sub>, -S(O)R<sub>a</sub>, -S(O)<sub>2</sub>R<sub>a</sub>, -OR<sub>k</sub>, -N(R<sub>a</sub>)(R<sub>b</sub>), -C(O)R<sub>a</sub>, -C(O)OR<sub>a</sub> and -C(O)NR<sub>a</sub>R<sub>b</sub>;

15 alternatively, R<sup>2</sup> and R<sup>3</sup>, together with the carbon atoms to which they are attached form a five- or six-membered ring selected from the group consisting of aryl, cycloalkyl, heteroaryl and heterocycle, wherein said aryl, cycloalkyl, heteroaryl and heterocycle is optionally substituted with (R<sup>6</sup>)<sub>m</sub>;

20 R<sup>6</sup> is independently selected at each occurrence from the group consisting of alkyl, alkenyl, alkynyl, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, heterocycle alkyl, -(alkyl)(OR<sub>k</sub>), -(alkyl)(NR<sub>a</sub>R<sub>b</sub>), -SR<sub>a</sub>, -S(O)R<sub>a</sub>, -S(O)<sub>2</sub>R<sub>a</sub>, -OR<sub>k</sub>, -N(R<sub>a</sub>)(R<sub>b</sub>), -C(O)R<sub>a</sub>, -C(O)OR<sub>a</sub> and -C(O)NR<sub>a</sub>R<sub>b</sub>; wherein each R<sup>6</sup> is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, haloalkyl, cyano, nitro, -OR<sub>a</sub>, -NR<sub>a</sub>R<sub>b</sub>, -SR<sub>a</sub>, -SOR<sub>a</sub>, -SO<sub>2</sub>R<sub>a</sub>, -C(O)OR<sub>a</sub>, -C(O)NR<sub>a</sub>R<sub>b</sub> and -NC(O)R<sub>a</sub>;

25 R<sub>a</sub> and R<sub>b</sub>, at each occurrence, are independently selected from the group consisting of hydrogen, alkenyl, alkyl, alkylsulfanylalkyl, aryl, arylalkenyl, arylalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, formylalkyl, haloalkyl, heteroaryl, heteroarylalkenyl, heteroarylalkyl, heterocycle, heterocycle alkyl, heterocycle, hydroxyalkyl carbonyl, nitroalkyl, R<sub>c</sub>R<sub>d</sub>N-, R<sub>k</sub>O-. R<sub>k</sub>Oalkyl-, R<sub>c</sub>R<sub>d</sub>Nalkyl-, R<sub>c</sub>R<sub>d</sub>NC(O)alkyl-, R<sub>c</sub>SO<sub>2</sub>-, R<sub>c</sub>SO<sub>2</sub>alkyl-, R<sub>c</sub>C(O)-, R<sub>c</sub>C(O)alkyl-, R<sub>c</sub>OC(O)-, R<sub>c</sub>OC(O)alkyl-, R<sub>c</sub>R<sub>d</sub>NalkylC(O)-, R<sub>c</sub>R<sub>d</sub>NC(O)-, R<sub>c</sub>R<sub>d</sub>NC(O)Oalkyl-, R<sub>c</sub>R<sub>d</sub>NC(O)N(R<sub>e</sub>)alkyl-, wherein R<sub>a</sub> and R<sub>b</sub> are substituted with 0, 1 or 2 substituents selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

alternatively,  $R_a$  and  $R_b$ , together with the nitrogen atom to which they are attached form a three- to six-membered ring selected from the group consisting of heteroaryl and heterocycle, wherein the heteroaryl and heterocycle are independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, 5 oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -alkylSO<sub>2</sub>NR<sub>c</sub>R<sub>d</sub>, -alkylC(O)NR<sub>c</sub>R<sub>d</sub>, -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

10  $R_c$  and  $R_d$ , at each occurrence, are independently selected from the group consisting of hydrogen, -NR<sub>f</sub>R<sub>h</sub>, -OR<sub>f</sub>, -CO(R<sub>f</sub>), -SR<sub>f</sub>, -SOR<sub>f</sub>, -SO<sub>2</sub>R<sub>f</sub>, -C(O)NR<sub>f</sub>R<sub>h</sub>, -SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, -C(O)OR<sub>f</sub>, alkenyl, alkyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, arylalkyl, haloalkyl, heteroaryl, heteroarylalkyl, heterocycle and heterocyclealkyl; wherein each  $R_c$  and  $R_d$  is independently substituted with 0, 1, 2, or 3 15 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>f</sub>), -(alkyl)(NR<sub>f</sub>R<sub>h</sub>), -SR<sub>f</sub>, -S(O)R<sub>f</sub>, -S(O)<sub>2</sub>R<sub>f</sub>, -OR<sub>f</sub>, -N(R<sub>f</sub>)(R<sub>h</sub>), -C(O)R<sub>f</sub>, -C(O)OR<sub>f</sub>, -C(O)NR<sub>f</sub>R<sub>h</sub>, -C(O)N(H)NR<sub>f</sub>R<sub>h</sub>, -N(R<sub>e</sub>)C(O)OR<sub>f</sub>, -N(R<sub>e</sub>)SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, -N(R<sub>e</sub>)C(O)NR<sub>f</sub>R<sub>h</sub>, -alkylN(R<sub>e</sub>)C(O)OR<sub>f</sub>, -alkylN(R<sub>e</sub>)SO<sub>2</sub>NR<sub>f</sub>R<sub>h</sub>, and 20 -alkylN(R<sub>e</sub>)C(O)NR<sub>f</sub>R<sub>h</sub>;

alternatively,  $R_c$  and  $R_d$ , together with the nitrogen atom to which they are attached form a three- to six-membered ring selected from the group consisting of heteroaryl and heterocycle, wherein the heteroaryl and heterocycle are independently substituted with 0, 1, 2 25 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>f</sub>), -(alkyl)(NR<sub>f</sub>R<sub>h</sub>), -SR<sub>f</sub>, -S(O)R<sub>f</sub>, -S(O)<sub>2</sub>R<sub>f</sub>, -OR<sub>f</sub>, -N(R<sub>f</sub>)(R<sub>h</sub>), -C(O)R<sub>f</sub>, -C(O)OR<sub>f</sub> and -C(O)NR<sub>f</sub>R<sub>h</sub>;

30  $R_e$  is selected from the group consisting of hydrogen, alkenyl, alkyl and cycloalkyl;

$R_f$ ,  $R_g$  and  $R_h$ , at each occurrence, are independently selected from the group consisting of hydrogen, alkyl, alkenyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, heterocycle, heterocyclealkyl, heteroaryl and 35 heteroarylalkyl; wherein each  $R_f$ ,  $R_g$  and  $R_h$  is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, cyano, halo, oxo, nitro, aryl, arylalkyl, cycloalkyl, cycloalkenyl, heterocycle, heteroaryl, heteroarylalkyl, -OH, -O(alkyl), -NH<sub>2</sub>, -N(H)(alkyl), -N(alkyl)<sub>2</sub>, -S(alkyl), -S(O)(alkyl),

-SO<sub>2</sub>alkyl, -alkyl-OH, -alkyl-O-alkyl, -alkylNH<sub>2</sub>, -alkylN(H)(alkyl), -alkylN(alkyl)<sub>2</sub>, -alkylS(alkyl), -alkylS(O)(alkyl), -alkylSO<sub>2</sub>alkyl, -N(H)C(O)NH<sub>2</sub>, -C(O)OH, -C(O)O(alkyl), -C(O)alkyl, -C(O)NH<sub>2</sub>, -C(O)NH<sub>2</sub>, -C(O)N(H)(alkyl), and -C(O)N(alkyl)<sub>2</sub>;

5 alternatively, R<sub>f</sub> and R<sub>g</sub> together with the carbon atom to which they are attached form a three- to seven-membered ring selected from the group consisting of cycloalkyl, cycloalkenyl and heterocycle;

10 alternatively, R<sub>f</sub> and R<sub>h</sub> together with the nitrogen atom to which they are attached form a three- to seven-membered ring selected from the group consisting of heterocycle and heteroaryl; wherein each of the heterocycle and heteroaryl is independently substituted with 0, 1, 2 or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, cyano, halo, oxo, nitro, aryl, arylalkyl, cycloalkyl, cycloalkenyl, heterocycle, heteroaryl, heteroarylalkyl, -OH, -O(alkyl), -NH<sub>2</sub>, -N(H)(alkyl), -N(alkyl)<sub>2</sub>, -S(alkyl), -S(alkyl), -S(O)(alkyl), -alkyl-OH, -alkyl-O-alkyl, -alkylNH<sub>2</sub>, -alkylN(H)(alkyl), -alkylS(alkyl), -alkylS(O)(alkyl), -alkylSO<sub>2</sub>alkyl, -alkylN(alkyl)<sub>2</sub>, -N(H)C(O)NH<sub>2</sub>, -C(O)OH, -C(O)O(alkyl), -C(O)alkyl, -C(O)NH<sub>2</sub>, -C(O)NH<sub>2</sub>, -C(O)N(H)(alkyl); and -C(O)N(alkyl)<sub>2</sub>;

20 R<sub>k</sub> is selected from the group consisting of hydrogen, alkenyl, alkyl, aryl, arylalkyl, cyanoalkyl, cycloalkenyl, cycloalkenylalkyl, cycloalkyl, cycloalkylalkyl, formylalkyl, haloalkyl, heteroaryl, heteroarylalkyl, heterocycle, heterocyclealkyl, nitroalkyl, R<sub>a</sub>R<sub>b</sub>Nalkyl-, R<sub>a</sub>Oalkyl-, R<sub>a</sub>R<sub>b</sub>NC(O)-, R<sub>a</sub>R<sub>b</sub>NC(O)alkyl, R<sub>a</sub>S-, R<sub>a</sub>S(O)-, R<sub>a</sub>SO<sub>2</sub>-, R<sub>a</sub>Salkyl-, R<sub>a</sub>(O)Salkyl-, R<sub>a</sub>SO<sub>2</sub>alkyl-, R<sub>a</sub>OC(O)-, R<sub>a</sub>OC(O)alkyl-, R<sub>a</sub>C(O)-, R<sub>a</sub>C(O)alkyl-, wherein each R<sub>k</sub> is substituted with 0, 1, 2, or 3 substituents independently selected from the group consisting of alkyl, alkenyl, alkynyl, oxo, halo, cyano, nitro, haloalkyl, haloalkoxy, aryl, heteroaryl, heterocycle, arylalkyl, heteroarylalkyl, alkoxyalkoxyalkyl, -(alkyl)(OR<sub>c</sub>), -(alkyl)(NR<sub>c</sub>R<sub>d</sub>), -SR<sub>c</sub>, -S(O)R<sub>c</sub>, -S(O)<sub>2</sub>R<sub>c</sub>, -OR<sub>c</sub>, -N(R<sub>c</sub>)(R<sub>d</sub>), -C(O)R<sub>c</sub>, -C(O)OR<sub>c</sub> and -C(O)NR<sub>c</sub>R<sub>d</sub>;

25 m is 0, 1, 2, 3, or 4; and

30 R<sup>11</sup> and R<sup>12</sup> are independently selected from the group consisting of alkyl, alkenyl and alkynyl.

35 88. The compound of claim 87, or a pharmaceutically acceptable salt form, tautomer or stereoisomer thereof selected from the group consisting of:

1-benzyl-3-(bis(methylthio)methylene)-1H-quinoline-2,4(1H,3H)-dione;  
3-[bis(methylthio)methylene]-1-butyl-1,8-naphthyridine-2,4(1H,3H)-dione;  
3-[bis(methylthio)methylene]-1-(1,3-dioxo-1,3-dihydro-2H-isoindol-2-yl)quinoline-

2,4(1*H*,3*H*)-dione;

3-[bis(methylthio)methylene]-1-[(cyclopropylmethyl)amino]quinoline-2,4(1*H*,3*H*)-dione;

3-[bis(methylthio)methylene]-1-(3-methylbutyl)pyridine-2,4(1*H*,3*H*)-dione;

5 1-benzyl-3-[bis(methylthio)methylene]pyridine-2,4(1*H*,3*H*)-dione;

3-[bis(methylthio)methylene]-1-(cyclobutylamino)quinoline-2,4(1*H*,3*H*)-dione; and

3-[bis(methylthio)methylene]-1-(cyclobutylmethyl)pyridine-2,4(1*H*,3*H*)-dione.